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=> d his

     (FILE 'HOME' ENTERED AT 16:47:29 ON 27 DEC 2004)

     FILE 'REGISTRY' ENTERED AT 16:47:33 ON 27 DEC 2004
L1             STRUCTURE UPLOADED
L2             QUE L1
L3           42 S L2
L4         6646 S L2 SSS FUL
L5          373 S L4 AND NRS<3
L6         6273 S L4 NOT L5

     FILE 'CAPLUS' ENTERED AT 16:49:15 ON 27 DEC 2004
L7          193 S L6

     FILE 'REGISTRY' ENTERED AT 16:51:02 ON 27 DEC 2004

     FILE 'CAPLUS' ENTERED AT 16:51:21 ON 27 DEC 2004

     FILE 'REGISTRY' ENTERED AT 16:52:28 ON 27 DEC 2004
L8             STRUCTURE UPLOADED
L9             QUE L8
L10            STRUCTURE UPLOADED
L11            QUE L10
L12          50 S L9  SUB=L6 SAM
L13        1253 S L9  SUB=L6 FUL
L14          11 S L11  SUB=L6 SAM
L15         244 S L11  SUB=L6 FUL
L16        1469 S L13 OR L15

     FILE 'CAPLUS' ENTERED AT 16:54:48 ON 27 DEC 2004
L17          91 S L16
L18         ANALYZE L17 1- RN HIT :     287 TERMS

     FILE 'REGISTRY' ENTERED AT 16:55:18 ON 27 DEC 2004
L19         100 S 327065?/RN
L20        1100 S 74875?/RN
L21        1100 S 75561?/RN
L22         100 S 104924?/RN
L23         100 S 109030?/RN
L24         100 S 109051?/RN
L25           2 S L16 AND L19
L26           5 S L16 AND L20
L27           2 S L16 AND L21
L28           1 S L16 AND L22
L29           1 S L16 AND L23
L30           1 S L16 AND L24
L31        1461 S L16 NOT (L26 OR L27 OR L28)

     FILE 'CAPLUS' ENTERED AT 16:57:49 ON 27 DEC 2004
L32          85 S L31
L33          55 S L32 AND PATENT/DT
L34          30 S L32 NOT L33
L35           1 S L34 AND 2004/SO
L36           1 S L34 AND 2003/SO
L37           0 S L34 AND 2002/SO
L38           1 S L34 AND 2001/SO
L39          82 S L32 NOT (L35 OR L36 OR L38)
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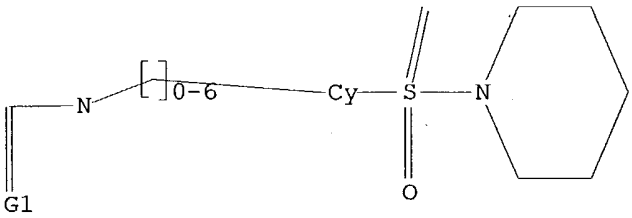
L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.
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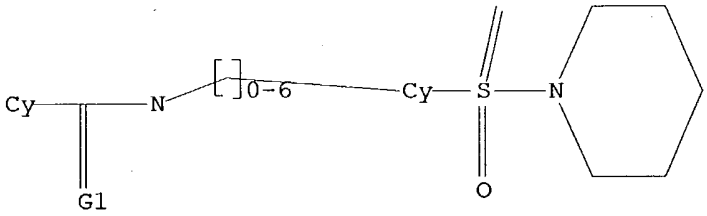

G1 O,S

Structure attributes must be viewed using STN Express query preparation.
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L11 HAS NO ANSWERS
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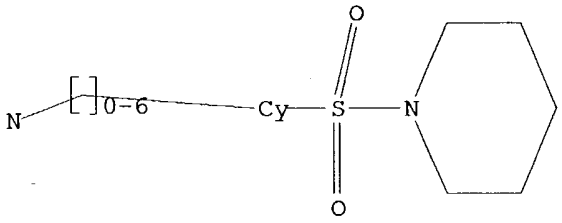

G1 O,S

Structure attributes must be viewed using STN Express query preparation.
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=> => d ibib abs hitstr l39 1-82
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L9 ANSWER 1 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:965231 CAPLUS
DOCUMENT NUMBER: 141:410933
TITLE: Preparation of [1,2,4]triazole-3-thiones as inhibitors of myeloperoxidase for the treatment of neuroinflammatory disorders
INVENTOR(S): Svensson, Mats; Tiden, Anna-Karin; Turek, Dominika
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096781	A1	20041111	WO 2004-SE618	20040422

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2003-1232 A 20030425
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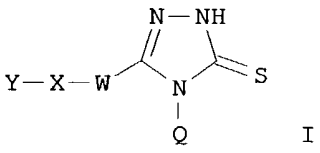

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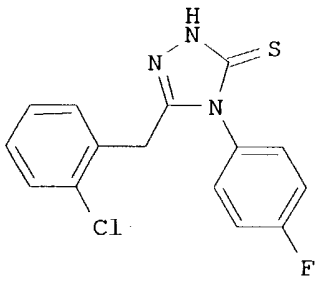


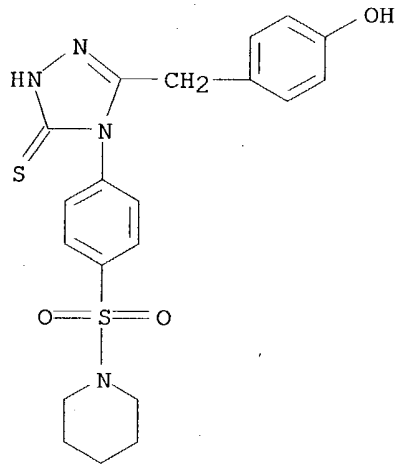
II

AB [1,2,4]Triazole-3-thiones I [Q, Y = (un)substituted Ph, naphthyl, mono- or bicyclic heteroaryl, alkyl, heterocyclylalkyl, heteroarylalkyl, cycloalkyl; W = bond, CHR1; X = bond, O, CH2, NH, (alkyl)N; R1 = H, Me, F, HO, HOCH2, Ph] such as II are prepared as inhibitors of myeloperoxidase for the treatment of neuroinflammatory disorders. Stirring 2-chlorophenylacetic acid hydrazide and 4-fluorophenyl isocyanate at room temperature in isopropanol for 1-21 h, precipitation of a solid by pouring the reaction mixture onto ice, addition of the solid to aqueous 2% sodium hydroxide solution along

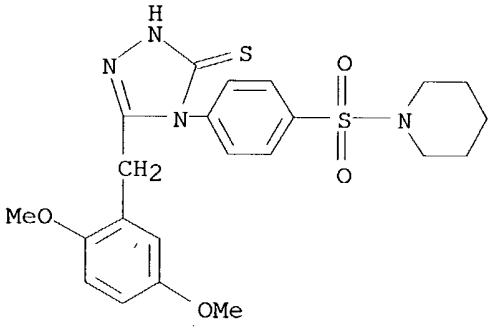
with methanol and stirring at reflux for 2 h, and cooling and neutralization of the mixture with 2M HCl yields II in 81% yield. I inhibit myeloperoxidase with IC50 values of < 60 μM (data given for four compds.); for example, II inhibits myeloperoxidase with an IC50 value of 3.9 μM. Processes for preparing I from thiosemicarbazides and esters, acids, or acid chlorides, from isothiocyanates and acyl hydrazides, or from isocyanates and acyl hydrazides followed by thionation with Lawesson's reagent are claimed.

IT **791716-54-6P 791716-55-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(invention compound; preparation of [1,2,4]triazole-3-thiones as inhibitors of
myeloperoxidase for the treatment of neuroinflammatory disorders)

RN 791716-54-6 CAPLUS
CN Piperidine, 1-[[4-[1,5-dihydro-3-[(4-hydroxyphenyl)methyl]-5-thioxo-4H-1,2,4-triazol-4-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 791716-55-7 CAPLUS
CN Piperidine, 1-[[4-[3-[(2,5-dimethoxyphenyl)methyl]-1,5-dihydro-5-thioxo-4H-1,2,4-triazol-4-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L89 ANSWER 2 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:878302 CAPLUS
DOCUMENT NUMBER: 141:360694
TITLE: Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent for the treatment of metabolic syndrome and related diseases and disorders
INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 297 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089416	A2	20041021	WO 2004-DK254	20040406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

DK 2003-565	A	20030411
DK 2003-566	A	20030411
DK 2003-567	A	20030411
DK 2003-569	A	20030411
DK 2003-570	A	20030411
DK 2003-571	A	20030411
US 2003-467284P	P	20030502
US 2003-467362P	P	20030502
US 2003-467363P	P	20030502
US 2003-467437P	P	20030502
US 2003-467453P	P	20030502
US 2003-467800P	P	20030502
DK 2003-776	A	20030522
DK 2003-777	A	20030522
US 2003-474421P	P	20030530
US 2003-475157P	P	20030602
DK 2003-972	A	20030627
DK 2003-988	A	20030630
DK 2003-989	A	20030630
DK 2003-990	A	20030630
DK 2003-998	A	20030702
US 2003-486078P	P	20030710
US 2003-486094P	P	20030710
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US 2003-486097P	P	20030710
US 2003-486098P	P	20030710
DK 2003-1910	A	20031222
DK 2004-9	A	20040106

US 2004-537099P P 20040116

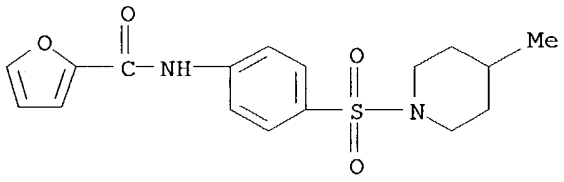
OTHER SOURCE(S): MARPAT 141:360694

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT **327065-73-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 327065-73-6 CAPLUS

CN 2-Furancarboxamide, N-[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 3 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:878301 CAPLUS
DOCUMENT NUMBER: 141:360721
TITLE: Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist to treat cancer and inflammation-associated diseases and to minimize the side effects associated with glucocorticoid receptor agonist therapy
INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089415	A2	20041021	WO 2004-DK248	20040406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

DK 2003-565	A	20030411
DK 2003-566	A	20030411
DK 2003-568	A	20030411
DK 2003-569	A	20030411
DK 2003-570	A	20030411
DK 2003-571	A	20030411
US 2003-467284P	P	20030502
US 2003-467362P	P	20030502
US 2003-467363P	P	20030502
US 2003-467443P	P	20030502
US 2003-467453P	P	20030502
US 2003-467800P	P	20030502
DK 2003-776	A	20030522
DK 2003-778	A	20030522
US 2003-475157P	P	20030602
US 2003-475195P	P	20030602
DK 2003-972	A	20030627
DK 2003-988	A	20030630
DK 2003-989	A	20030630
DK 2003-990	A	20030630
DK 2003-998	A	20030702
US 2003-486078P	P	20030710
US 2003-486094P	P	20030710
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US 2003-486097P	P	20030710
US 2003-486098P	P	20030710

DK 2003-1910	A	20031222
DK 2004-9	A	20040106
US 2004-537099P	P	20040116

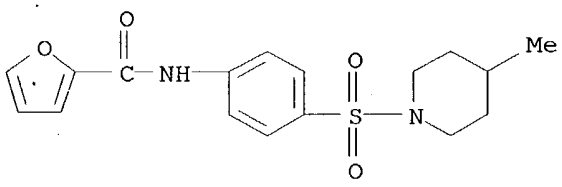
OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects associated with glucorticoid receptor agonist therapy.

IT **327065-73-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-associated diseases and minimize side effects associated with glucocorticoid agonist therapy)

RN 327065-73-6 CAPLUS

CN 2-Furancarboxamide, N-[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L89 ANSWER 4 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:872724 CAPLUS
DOCUMENT NUMBER: 141:366223
TITLE: Pharmaceutical use of substituted amides as 11β-hydroxysteroid dehydrogenase type 1 modulators, especially inhibitors, for treating metabolic
INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Christensen, Inge Thoger; Mogensen, John Patrick; Larsen, Annette Rosendal; Kilburn, John Paul
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089470	A2	20041021	WO 2004-DK250	20040406

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:

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DK 2003-998	A	20030702
US 2003-486078P	P	20030710
US 2003-486094P	P	20030710
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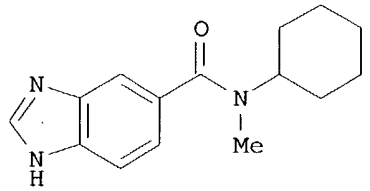
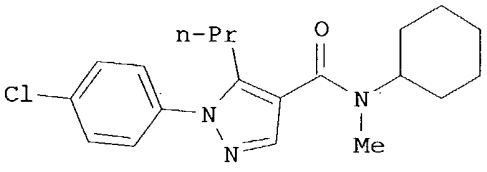
US 2003-486097P	P	20030710
US 2003-486098P	P	20030710
DK 2003-1910	A	20031222
DK 2004-9	A	20040106
US 2004-537099P	P	20040116

GI



II



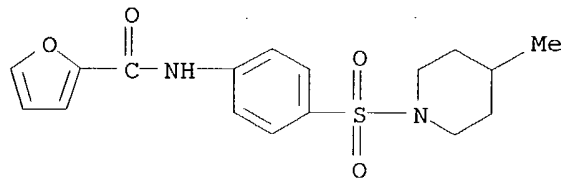
III

AB The invention is directed to the use of substituted amides of formula R3CONR1R2 (I), and their optical isomers or mixture of optical isomers, including racemates, and tautomers, their prodrugs, pharmaceutically acceptable salts, [wherein R1 = (un)substituted cyclo/hetcyclo/aryl/hetaryl/alkyl, het/aryl, etc.; R2 = H, (un)substituted aryl/cycloalkyl/alkylcarboxy/alkyl, het/aryl; or R1NR2 = (un)substituted (un)saturated bi/tricyclic ring containing 4-10 carbons, and 0-2 heteroatoms; R3 = (un)substituted cyclo/hetcyclo/aryl/alkyloxy/hetaryl/arylalkyl/alkyl, alkenyl, alkynyl, het/aryl] for modulating, especially inhibiting, the activity of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) and use of their pharmaceutical compns. in the treatment, prevention, prophylaxis of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable. The invention is also directed to the preparation of certain title compds. I. For instance, acylation of 1H-benzimidazole-5-carboxylic acid with N-cyclohexyl-N-methylamine in THF in the presence of HOBT/EDAC/DIPEA gave amide II in 49% yield. Pyrazole-4-carboxamide (III) inhibited 11β-HSD1 enzyme with an IC50 = 0.04 μM. I are useful for treating metabolic disorders, type II diabetes, impaired glucose tolerance, impaired fasting glucose, dyslipidemia, obesity, hypertension, diabetic late complications, neurodegenerative and psychiatric disorders and adverse effects of treatment or therapy with glucocorticoid receptor agonists.

IT **327065-73-6P**, Furan-2-carboxylic acid N-[4-[(4-methylpiperidin-1-yl)sulfonyl]phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted amides as 11β-hydroxysteroid dehydrogenase type 1 modulators, especially inhibitors, for treating metabolic disorders, type II diabetes and related diseases)

RN 327065-73-6 CAPLUS

CN 2-Furancarboxamide, N-[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



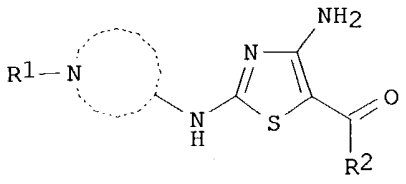
L39 ANSWER 5 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:718536 CAPLUS
DOCUMENT NUMBER: 141:243546
TITLE: Preparation of N-heterocyclyl-substituted amino-thiazole derivatives as protein kinase inhibitors
INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu, Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines, William Henry, III; Yang, Yi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-448843P P 20030221
OTHER SOURCE(S): MARPAT 141:243546
GI



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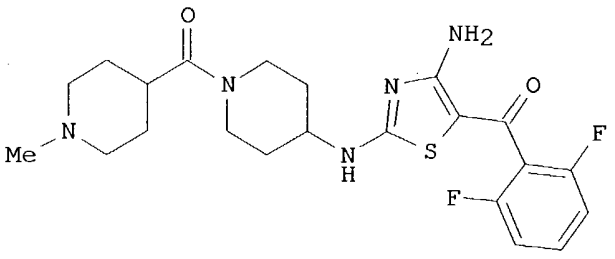


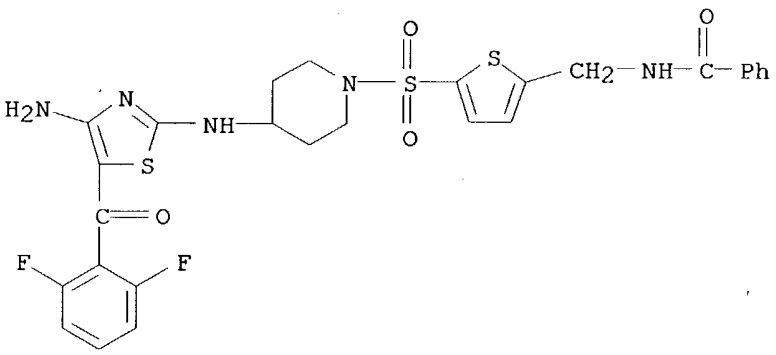
II

AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl](2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46 μM against CDK2, Ki of 0.13 μM against CDK4, and IC50 of >5 μM in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

IT **750577-40-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

RN 750577-40-3 CAPLUS

CN Benzamide, N-[[5-[[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

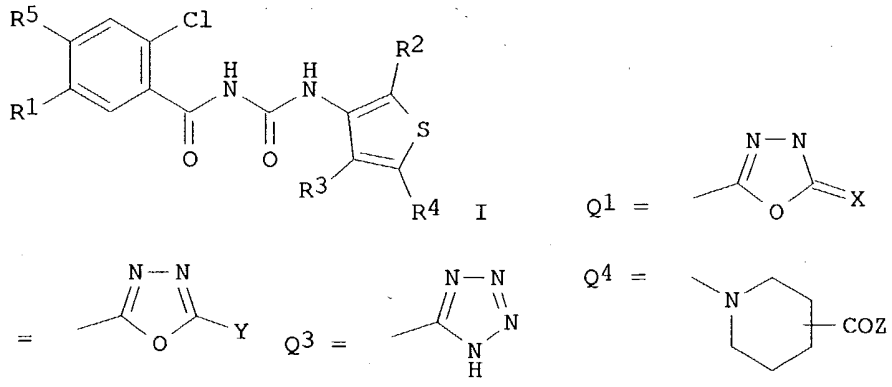


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 6 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:696365 CAPLUS
DOCUMENT NUMBER: 141:225301
TITLE: Preparation of 3-(benzoylureido)thiophenes as glycogen phosphorylase inhibitors.
INVENTOR(S): Schoenafinger, Karl; Defossa, Elisabeth; Von Roedern, Erich; Kadereit, Dieter; Herling, Andreas; Burger, Hans-joerg; Klabunde, Thomas; Wendt, Karl-ulrich
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004072060	A1	20040826	WO 2004-EP993		20040204
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10306502	A1	20040909	DE 2003-10306502		20030217
US 2004198742	A1	20041007	US 2004-780344		20040217
PRIORITY APPLN. INFO.:			DE 2003-10306502	A	20030217
			US 2003-487502P	P	20030715

OTHER SOURCE(S): MARPAT 141:225301
GI



AB Title compds. [I; R1 = H, F, Cl, Br; R2 = R1, alkyl, CF3, OCF3, NO2,

cyano, alkoxy, alkylcarbonyl, CO2H, CONH2, alkylsulfonyl A, etc.; R3 = H, alkyl, alkylsulfonyl, (substituted) alkylphenyl, Ph, phenylsulfonyl, etc.; R4 = H, alkyl, alkoxycarbonyl alkylsulfonyl, (substituted) alkylphenyl, piperidinylsulfonyl, piperazinylsulfonyl; R5 = F, Cl, Br; A = Q1-Q4; X = O, NH; Y = OH, NH2; Z = OH, alkoxy, NH2, alkylamino, dialkylamino], were prepared Thus, 5-(3-aminothiophen-2-yl)-3H-[1,3,4]-oxadiazol-2-one hydrochloride (preparation given) and 2-chloro-4,5-difluorobenzoyl isocyanate were stirred 3 h in MeCN to give 1-(2-chloro-4,5-difluorobenzoyl)-3-[2-(5-oxo-4,5-dihydro-[1,3,4]-oxadiazol-2-yl)thiophen-3-yl]urea. This inhibited glycogen phosphorylase a with IC50 = 0.03 μM.

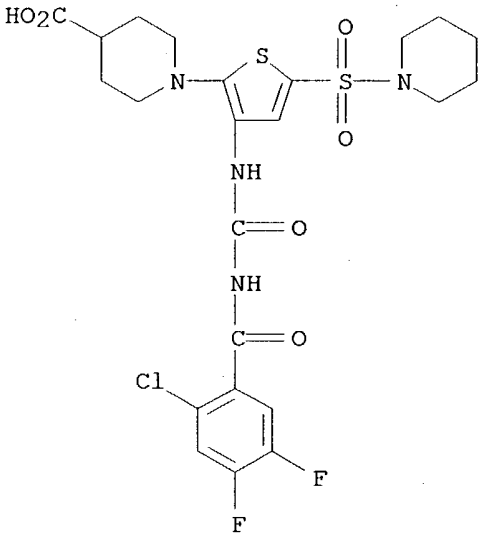
IT **745835-24-9P 745835-33-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(benzoylureido)thiophenes as glycogen phosphorylase inhibitors)

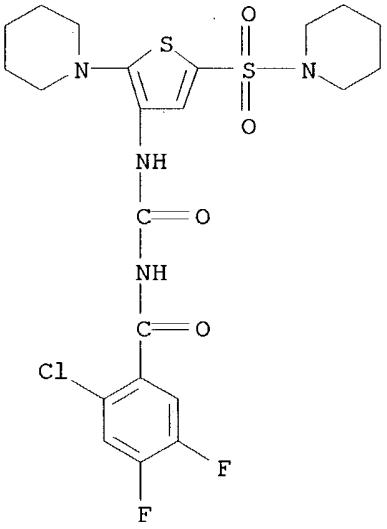
RN 745835-24-9 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[[(2-chloro-4,5-difluorobenzoyl)amino]carbonyl]amino]-5-(1-piperidinylsulfonyl)-2-thienyl]- (9CI) (CA INDEX NAME)



RN 745835-33-0 CAPLUS

CN Benzamide, 2-chloro-4,5-difluoro-N-[[[2-(1-piperidinyl)-5-(1-piperidinylsulfonyl)-3-thienyl]amino]carbonyl]- (9CI) (CA INDEX NAME)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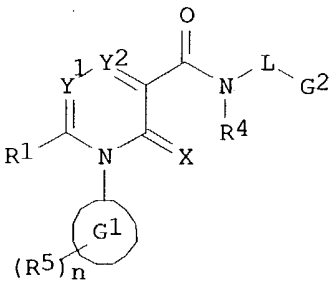
139 ANSWER 7 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:428910 CAPLUS
DOCUMENT NUMBER: 141:7027
TITLE: Preparation of 2-pyridone derivatives as inhibitors of neutrophile elastase
INVENTOR(S): Bladh, Hakan; Klingstedt, Tomas; Larsson, Joakim; Lawitz, Karolina; Lepistoe, Matti; Loenn, Hans; Nikitidis, Grigorios
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043924	A1	20040527	WO 2003-SE1739	20031111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
SE 2002-3348	A	20021112
SE 2003-388	A	20030212
SE 2003-2120	A	20030722

OTHER SOURCE(S): MARPAT 141:7027
GI



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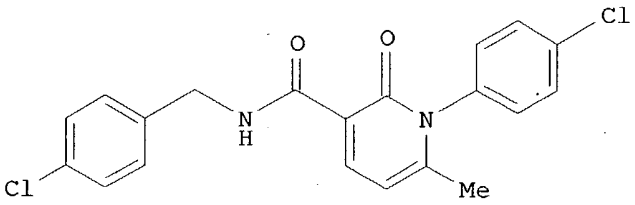


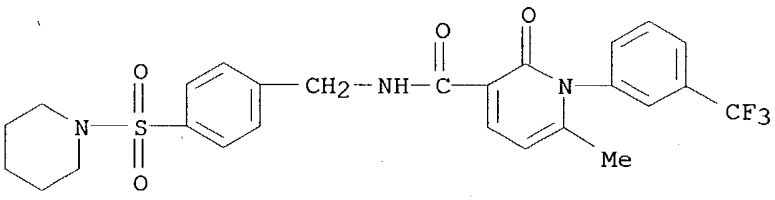
II

AB Title compds. I [X = O, S; Y1 = N, CR2 and when R1 = OH, Y1 may also, in the tautomeric form, represent NR6; Y2 = CR3 and when Y1 = CR2, then Y2 may also represent N; R1 = H, alkyl; R2 = H, halo, alkyl; R3 = H, F; G1 = Ph, 5-6 membered heterocycle, etc.; R5 = H, halo, alkyl, etc.; n = 1-3; R4, R6 = H, alkyl, etc.; L = O, amino, alkyl, etc.; G2 = Ph, phenoxy, etc.] are prepared For instance, Et 3-[(4-chlorophenyl)amino]-3-oxopropanoate is reacted with 4-methoxy-3-buten-2-one (EtOH, NaOMe, reflux, 5 h) to give Et 1-(4-chlorophenyl)-6-methyl-2-oxo-1,2-dihydropyridine-3-carboxylate. This intermediate is saponified and coupled to 4-chlorobenzylamine (NMP, HBTu, HOBt, DIEA) to give II. Selected compds. have IC50 < 30 μM for human neutrophil elastase. I are useful in the treatment of inflammatory disorders.

IT **694482-56-9P**, 6-Methyl-2-oxo-N-[4-(piperidin-1-ylsulfonyl)benzyl]-1-[3-(trifluoromethyl)phenyl]-1,2-dihydropyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-pyridone derivs. as inhibitors of neutrophile elastase)

RN 694482-56-9 CAPLUS

CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-2-oxo-N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

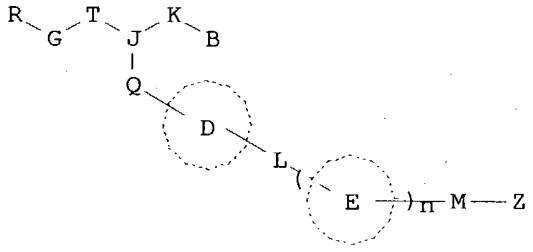
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 8 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:308396 CAPLUS
DOCUMENT NUMBER: 140:339072
TITLE: Preparation of benzamide derivatives as LPA receptor antagonists
INVENTOR(S): Terakado, Masahiko; Nakade, Shinji; Seko, Takuya; Takaoka, Yoshikazu
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 304 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031118	A1	20040415	WO 2003-JP6680	20030528

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-291137 A 20021003
OTHER SOURCE(S): MARPAT 140:339072
GI



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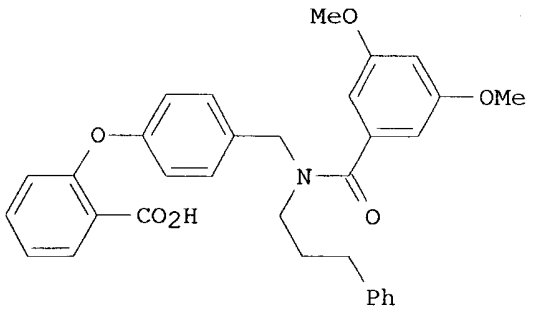


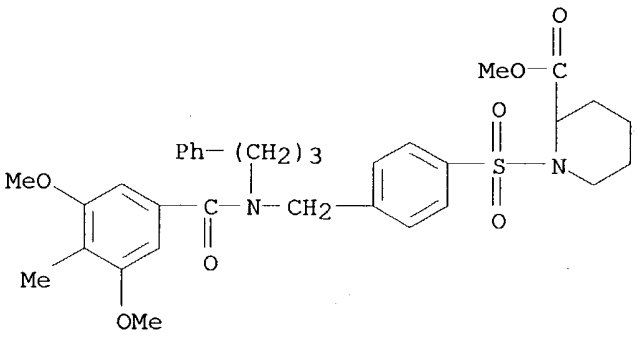
II

AB The title compds. I [wherein R = (un)substituted aliphatic hydrocarbyl or cyclyl; G = a bond or a spacer; T = CH2 or a spacer; J = N or CH; B = (un)substituted aliphatic hydrocarbyl or cyclyl; K = a bond or a spacer; Q = a bond or a spacer; ring D = (un)substituted cyclic ring; L = a bond or a spacer; ring E = (un)substituted cyclic ring; n = 0 or 1; M = a bond or a spacer; Z = a acid group] or prodrugs, or salts thereof are prepared as lysophosphatidic acids (LPA) receptor antagonists. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.095 μM against human EDG-2. I are useful for the treatment of urinary diseases, cancer-related diseases, proliferative diseases, inflammatory immune diseases, diseases caused by secretion failures, brain-related diseases, etc. (no data). Formulations containing I as an active ingredient were also described.

IT **679793-04-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzamide derivs. as LPA receptor antagonists)

RN 679793-04-5 CAPLUS

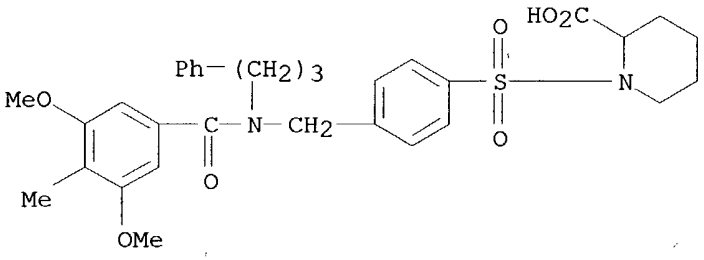
CN 2-Piperidinecarboxylic acid, 1-[[4-[[(3,5-dimethoxy-4-methylbenzoyl)(3-phenylpropyl)amino]methyl]phenyl]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



IT **679793-05-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzamide derivs. as LPA receptor antagonists)

RN 679793-05-6 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-[[4-[[(3,5-dimethoxy-4-methylbenzoyl)(3-phenylpropyl)amino]methyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

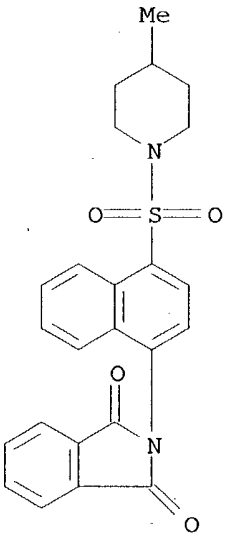
L39 ANSWER 9 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:290470 CAPLUS
DOCUMENT NUMBER: 140:297550
TITLE: Methods and compositions using small organic molecules for modification of splicing of pre-mRNA, screening method, and therapeutic use
INVENTOR(S): Kole, Ryszard
PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028464	A2	20040408	WO 2003-US30423	20030926
WO 2004028464	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004137472	A1	20040715	US 2003-672501	20030926
PRIORITY APPLN. INFO.:			US 2002-414141P P	20020927

AB The invention provides a method for preventing a splicing event in a pre-mRNA mol., comprising contacting the pre-mRNA and/or elements of the splicing machinery with a small mol. compound identified according to the methods of the invention to prevent the splicing event in the pre-mRNA mol. Also provided is a method for inducing a splicing event in a pre-mRNA mol., comprising contacting the pre-mRNA and/or elements of the splicing machinery with a small mol. compound identified according to the methods of the invention to induce the splicing event in the pre-mRNA mol. Furthermore, a method is provided for treating a patient having a disorder associated with an alternative or aberrant splicing event in a pre-mRNA mol., comprising administering to the patient a therapeutically effective amount of a compound identified according to the methods of the invention to prevent an alternative or aberrant splicing event in a pre-mRNA mol., thereby treating the patient.

IT **419539-02-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small organic mols. for modification of splicing of pre-mRNA, screening method, and therapeutic use)

RN 419539-02-9 CAPLUS
CN Piperidine, 1-[[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)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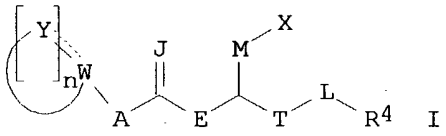
L9 ANSWER 10 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:269913 CAPLUS
DOCUMENT NUMBER: 140:287277
TITLE: Preparation of carboxylic acid derivatives that inhibit the binding of integrins to their receptors
INVENTOR(S): Biediger, Ronald J.; Chen, Qi; Decker, E. Radford; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Li, Jian
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 98 pp., Cont.-in-part of U.S. Ser. No. 707,068.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004063955	A1	20040401	US 2001-973142	20011009
ZA 2001008777	A	20030124	ZA 2001-8777	20011024
NZ 515252	A	20040130	NZ 2001-515252	20011102
NO 2001005394	A	20020507	NO 2001-5394	20011105
EP 1203766	A2	20020508	EP 2001-125494	20011106
EP 1203766	A3	20041208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200103179	A2	20020621	TR 2001-200103179	20011106
CN 1412181	A	20030423	CN 2001-145182	20011229
JP 2003119181	A2	20030423	JP 2002-31953	20020208

PRIORITY APPLN. INFO.: US 1999-132971P P 19990507
US 2000-565920 A2 20000505
US 2000-707068 A2 20001106
US 2001-973142 A 20011009

OTHER SOURCE(S): MARPAT 140:287277
GI



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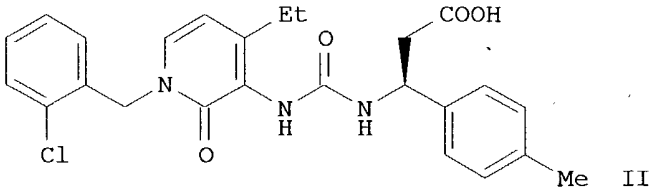


II

AB The invention relates to a method for the inhibition of the binding of

α4β1 integrin to its receptors [e.g., VCAM-1 (vascular cell adhesion mol.-1) and fibronectin], compds. that inhibit this binding, and the use of such compds. for the control or prevention of diseases states in which α4β1 is involved. The claims include compds. of general formula I [n is 3-10; Y is CO, N, CR1, CR2R3, NR5, CH, O, S; A is O, S, CR16R17, NR6; E is CH2, O, S, NR7; J is O, S, NR8; T is CO, (CH2)0-3; M is R9R10, (CH2)0-3; L is O, NR11, S, (CH2)0-1; X is CO2B, PO3H2, SO3H, SO2NH2, SO2NHCOR12, OPO3H2, CONHCOR13, CONHSO2R14, OH, tetrazolyl, H; W is C, CR15, N; B, R1-R17 are H, halo, alkyl, alkoxy, acyl, CF3, CO2H, etc.]. Thus, pyridine-containing 3-aminopropionic acid derivative II was prepared by a multistep procedure and showed IC50 = 10 nM in a fibronectin inhibition assay.

IT **422516-68-5P**

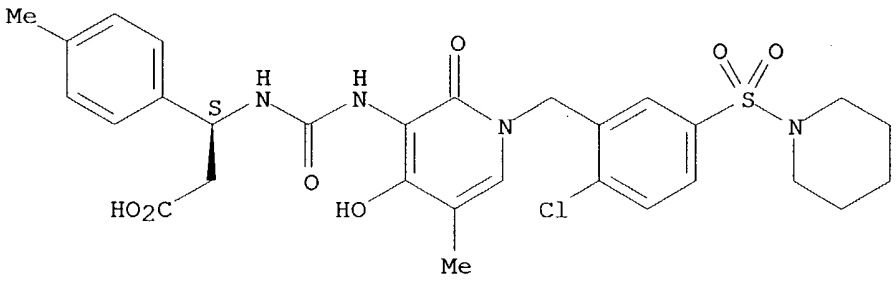
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid derivs. that inhibit the binding of integrins to their receptors)

RN 422516-68-5 CAPLUS

CN Benzenepropanoic acid, β-[[[[1-[[2-chloro-5-(1-piperidinylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-5-methyl-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



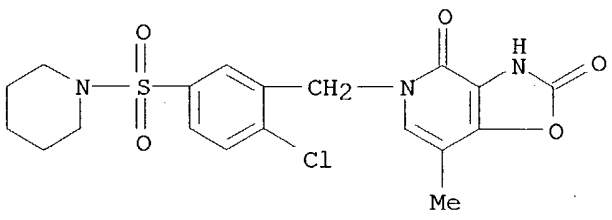
IT **422519-63-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxylic acid derivs. that inhibit the binding of integrins to their receptors)

RN 422519-63-9 CAPLUS

CN Piperidine, 1-[[4-chloro-3-[(2,3-dihydro-7-methyl-2,4-dioxooxazolo[4,5-c]pyridin-5(4H)-yl)methyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 11 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:252512 CAPLUS
DOCUMENT NUMBER: 140:287376
TITLE: Preparation of pyrazolo[3,4-b]pyridines as phosphodiesterase inhibitors for treatment of COPD, asthma, or allergic rhinitis
INVENTOR(S): Allen, David George; Coe, Diane Mary; Cook, Caroline Mary; Dowle, Michael Dennis; Edlin, Christopher David; Hamblin, Julie Nicole; Johnson, Martin Redpath; Jones, Paul Spencer; Knowles, Richard Graham; Lindvall, Mika Kristian; Mitchell, Charlotte Jane; Redgrave, Alison Judith; Trivedi, Naimisha; Ward, Peter
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

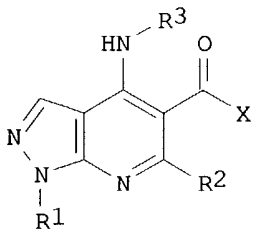
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024728	A2	20040325	WO 2003-EP11814	20030912
WO 2004024728	A3	20041021		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

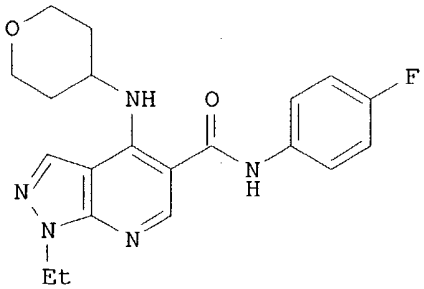
PRIORITY APPLN. INFO.:

GB 2002-21455	A	20020916
GB 2002-30045	A	20021223
GB 2003-6595	A	20030321
GB 2003-8017	A	20030407
GB 2003-19708	A	20030821
GB 2003-21074	A	20030909

OTHER SOURCE(S): MARPAT 140:287376
GI



I

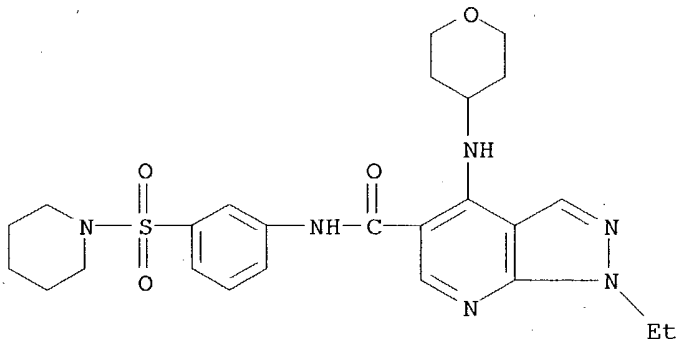


II

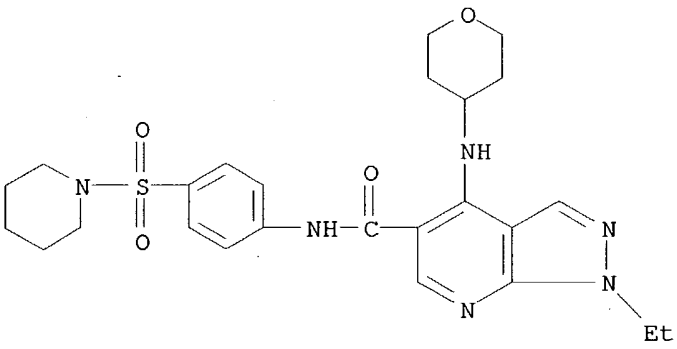
AB Title compds. I [wherein R1 = (fluoro)alkyl, (CH2)2OH, (CH2)2CO2-alkyl; R2 = HMe, fluoroalkyl; R3 = (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; X = NR4R5, OR5a; R4 = H, (fluoro)alkyl, (un)substituted cycloalkyl(alkyl); R5 = substituted alkyl, acyl(alkyl), carboxy(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), alkylsulfonyl(alkyl), or cyano(alkyl); R5a = (fluoro)alkyl, cycloalkyl(alkyl), substituted Ph; and salts thereof] were prepared as phosphodiesterase (PDE) inhibitors, in particular PDE4 inhibitors. The invention also provides for the use of I or pharmaceutically acceptable salts thereof for the treatment and/or prophylaxis of an inflammatory and/or allergic disease, such as chronic obstructive pulmonary disease (COPD), asthma, or allergic rhinitis. For example, 4-chloro-1-ethyl-N-(4-fluorophenyl)1H-pyrazolo[3,4-b]pyridine-5-carboxamide (preparation given) was coupled with 4-aminotetrahydropyran in EtOH using TEA to give II. The latter inhibited human recombinant PDE 4B with a pIC50 of 7.9 and suppressed LPS-induced pulmonary neutrophilia in rats with an ED50 in the range of about 0.5 mg/kg to about 2 mg/kg. In the rat pica model of emesis, II exhibited pica response values (ED50 ranging from 4.8 mg/kg to 40 mg/kg) higher than the neutrophilia-inhibition doses and displayed a therapeutic index >2. Thus, II showed anti-inflammatory effects with low emetic side effects.

IT **675116-31-1P**, 1-Ethyl-N-[3-(1-piperidinylsulfonyl)phenyl]-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
675116-39-9P, 1-Ethyl-N-[4-(1-piperidinylsulfonyl)phenyl]-4-[(tetrahydro-2H-pyran-4-yl)amino]-1H-pyrazolo[3,4-b]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; preparation of pyrazolo[3,4-b]pyridines as PDE4 inhibitors for treatment of inflammatory and/or allergic disease)

RN 675116-31-1 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-N-[3-(1-piperidinylsulfonyl)phenyl]-4-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 675116-39-9 CAPLUS
CN 1H-Pyrazolo[3,4-b]pyridine-5-carboxamide, 1-ethyl-N-[4-(1-piperidinylsulfonyl)phenyl]-4-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182862 CAPLUS
DOCUMENT NUMBER: 140:217665
TITLE: Preparation of piperidinylphthalazinone derivatives as PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan; Weinbrenner, Steffen
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018449	A1	20040304	WO 2003-EP8673	20030806
WO 2004018449	C1	20040506		

W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: EP 2002-17979 A 20020810
OTHER SOURCE(S): MARPAT 140:217665
GI

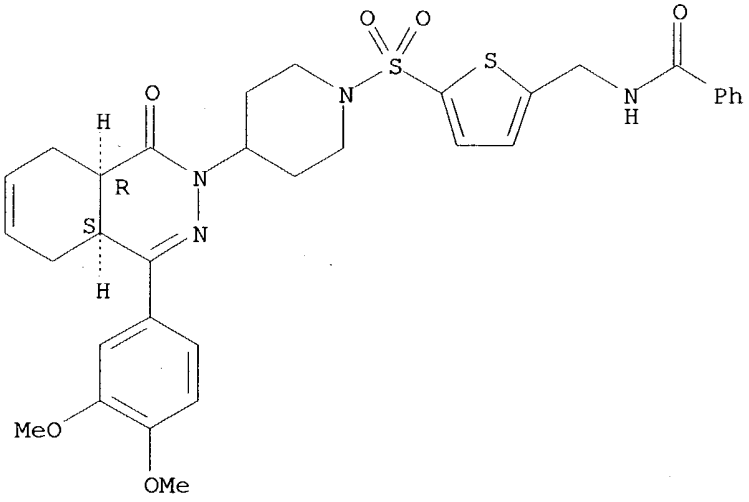
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I [R1, R2 = H or together form an addnl. bond; R3 = benzene derivative Q1 or Q2; R4 = (substituted)arylsulfonyl; R5 = alkoxy or polyfluoroalkyoxy; R6, R7 = (cyclo)alkoxy, cycloalkylmethoxy, or polyfluoroalkyoxy; R8 = alkyl; R9 = H or alkyl; or R7 and R8 together with the 2 intervening C atoms form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by O or S] were prepared as PDE4 inhibitors. Thus, reaction of (4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with naphthalene-1-sulfonyl chloride gave compound II. The prepared compds. inhibited PDE4 with -log(IC50) ≥ 8.8.

IT **666737-18-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylphthalazinone derivs. as PDE4 inhibitors)

RN 666737-18-4 CAPLUS
CN Benzamide, N-[[5-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

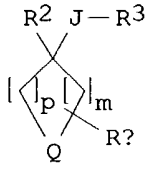


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 13 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:855758 CAPLUS
DOCUMENT NUMBER: 139:364829
TITLE: Preparation of heterocyclo inhibitors of potassium channel function
INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
SOURCE: PCT Int. Appl., 330 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004110793	A1	20040610	US 2003-417355	20030416
PRIORITY APPLN. INFO.:			US 2002-374279P P	20020419
OTHER SOURCE(S):	MARPAT 139:364829			

GI



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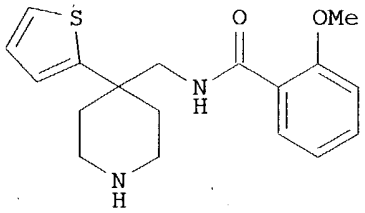


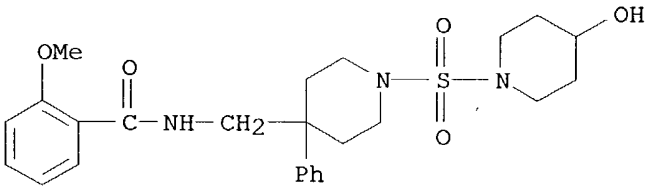
II

AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the

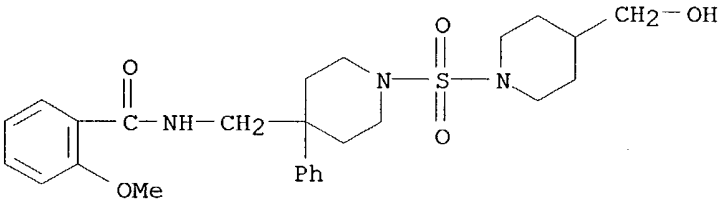
compound I is claimed.

IT **619293-23-1P 619293-47-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as inhibitors of potassium channel function)

RN 619293-23-1 CAPLUS
CN Benzamide, N-[[1-[(4-hydroxy-1-piperidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 619293-47-9 CAPLUS
CN Benzamide, N-[[1-[[4-(hydroxymethyl)-1-piperidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (9CI) (CA INDEX NAME)



L39 ANSWER 14 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656749 CAPLUS
DOCUMENT NUMBER: 139:197386
TITLE: Preparation of isoquinolinone derivatives as JNK inhibitors
INVENTOR(S): Itoh, Fumio; Kimura, Hiroyuki; Igata, Hideki; Kawamoto, Tomohiro; Sasaki, Mitsuru; Kitamura, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

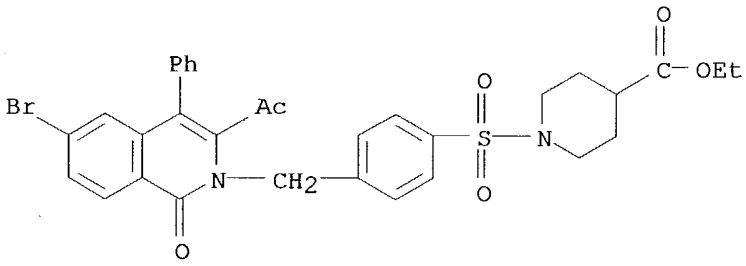
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068750	A1	20030821	WO 2003-JP1429	20030212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1484320	A1	20041208	EP 2003-705075	20030212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004143134	A2	20040520	JP 2003-35096	20030213
PRIORITY APPLN. INFO.:			JP 2002-35073 A	20020213
			JP 2002-251997 A	20020829
			WO 2003-JP1429 W	20030212

OTHER SOURCE(S): MARPAT 139:197386

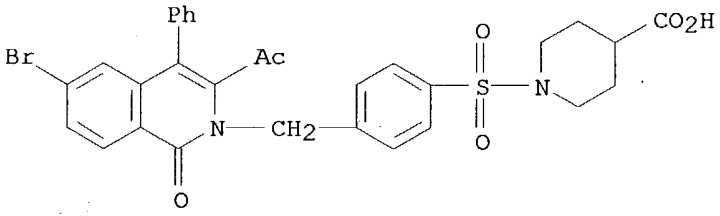
AB Claimed are JNK (c-Jun N-terminal kinase) inhibitors containing isoquinolinones or salts thereof. The second claim specifies that said isoquinolinones are 1-isoquinolinones. Compds. of this invention in vitro showed IC50 values of 0.0067 μM to 0.095 μM against JNK1. Formulations are given.

IT **583836-25-3P 583836-40-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinone derivs. as JNK inhibitors)

RN 583836-25-3 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[4-[(3-acetyl-6-bromo-1-oxo-4-phenyl-2(1H)-isoquinolinyl)methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 583836-40-2 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[4-[(3-acetyl-6-bromo-1-oxo-4-phenyl-2(1H)-isoquinolinyl)methyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

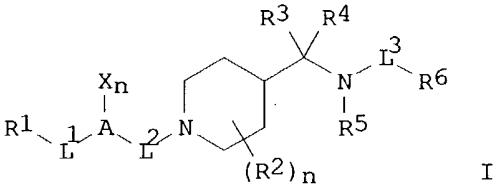


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

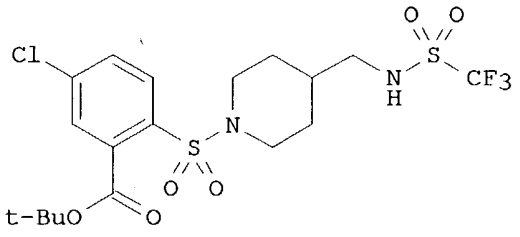
L89 ANSWER 15 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:396851 CAPLUS
DOCUMENT NUMBER: 138:401607
TITLE: Preparation of piperidino cannabinoid receptor ligands
INVENTOR(S): Friary, Richard J.; Kozlowski, Joseph A.; Shankar, Bandarpalle B.; Wong, Michael K. C.; Zhou, Guowel; Lavey, Brian J.; Shih, Neng-Yang; Tong, Ling; Chen, Lei; Shu, Youheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003042174	A1	20030522	WO 2002-US36185		20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2004010013	A1	20040115	US 2002-292778		20021112
EP 1444203	A1	20040811	EP 2002-784433		20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014164	A	20040928	BR 2002-14164		20021112
PRIORITY APPLN. INFO.:			US 2001-332911P	P	20011114
			WO 2002-US36185	W	20021112

OTHER SOURCE(S): MARPAT 138:401607
GI



I



II

AB Title compds. I [L1 = bond, CH2, CO, CO2, SO2, etc.; L2 = CH2, CH(alkyl), C(alkyl)2, etc.; L3 = bond, CO, SO2; R1 = H, halo, alkyl, haloalkyl, cycloalkyl, etc.; R2 = H, OH, halo, CF3, alkoxy, etc.; R3-4 = H, alkyl, taken together form a carbonyl group; R5 = H, alkyl; R6 = H, alkyl, haloalkyl, cycloalkyl, amino, etc.; n = 0-3] are prepared For instance, 4-(trifluoroacetamidomethyl)piperidine•TFA salt is reacted with p-chlorobenzenesulfonyl chloride (CH2Cl2, Et3N), the resulting sulfonamide functionalized ortho to the sulfonyl group (THF, n-BuLi, Boc2O), the trifluoroacetyl group removed (MeOH, K2CO3) and the amine refunctionalized with trifluoromethanesulfonic anhydride to give II. Compds. of the invention are found to exhibit cannabinoid CB2 receptor binding activity in the range of 0.1 to 1000 nM and possess anti-inflammatory and immunomodulatory activity.

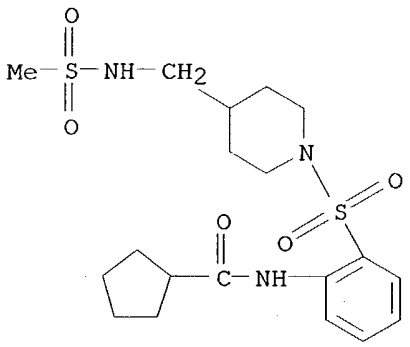
IT **530114-86-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidino cannabinoid receptor ligands for treatment of inflammatory disorders)

RN 530114-86-4 CAPLUS

CN Cyclopentanecarboxamide, N-[2-[[4-[[(methylsulfonyl)amino]methyl]-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

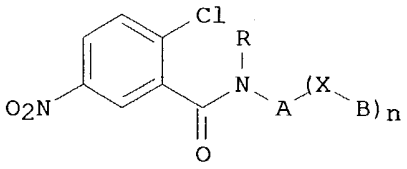


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 16 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:335065 CAPLUS
DOCUMENT NUMBER: 138:368620
TITLE: Preparation of 2-chloro-5-nitrobenzamides as lipid modulators for treatment of osteoporosis and diabetes
INVENTOR(S): Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Kitayama, Ken
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035602	A1	20030501	WO 2002-JP11068	20021024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003201271	A2	20030718	JP 2002-310549	20021025
PRIORITY APPLN. INFO.:			JP 2001-327189 A	20011025

OTHER SOURCE(S): MARPAT 138:368620
GI



I

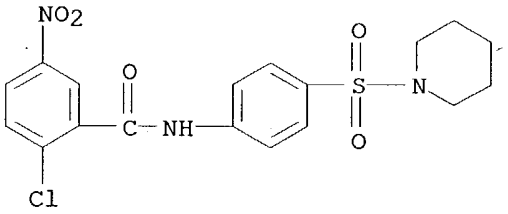
AB The title compds. I [wherein A = (un)substituted Ph, naphthyl, acenaphthenyl, Py, (iso)quinolyl, pyrimidyl, (benzo)furyl, pyranyl, chromanyl, (benzo)thienyl, pyrrolyl, (iso)indolyl, imidazolyl, pyrazolyl, pyridazinyl, pyrazinyl, (iso)oxazolyl, pyrrolidinyl, piperidyl, piperazyl, benzoxazolyl, benzoisooxazolyl, (iso)thiazolyl, benzothiazolyl, or biphenyl; B = (un)substituted aryl, cycloalkyl, or heterocyclyl; R = H or alkyl; X = a bond, O, S, CH2, CO, NH, SO2NH, NHSO2, CONH, NHCO, or OCH2; n = 0-1] and pharmaceutically acceptable salts thereof are prepared as lipid modulators for treatment of osteoporosis and diabetes. For example, 4-phenylaniline hydrochloride was reacted with 2-chloro-5-nitrobenzoyl chloride in pyridine to afford N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide. The above N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide showed IC50 of 1.9 nM against human PPAR γ. I are useful for the treatment of osteoporosis, and diabetes, etc.

IT **372095-22-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

RN 372095-22-2 CAPLUS

CN Benzamide, 2-chloro-5-nitro-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



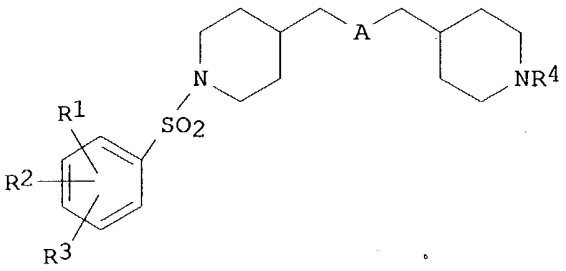
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:22851 CAPLUS
DOCUMENT NUMBER: 138:55878
TITLE: Preparation of bispiperidines as antibacterial agents and inhibitors of phosphopantetheine adenylyl transferase.
INVENTOR(S): Lampe, Thomas; Ehlert, Kerstin; Freiberg, Christoph; Schiffer, Guido
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002534	A1	20030109	WO 2002-EP6640	20020617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10138234	A1	20030109	DE 2001-10138234	20010803

PRIORITY APPLN. INFO.: DE 2001-10131134 A 20010628
DE 2001-10138234 A 20010803

OTHER SOURCE(S): MARPAT 138:55878
GI



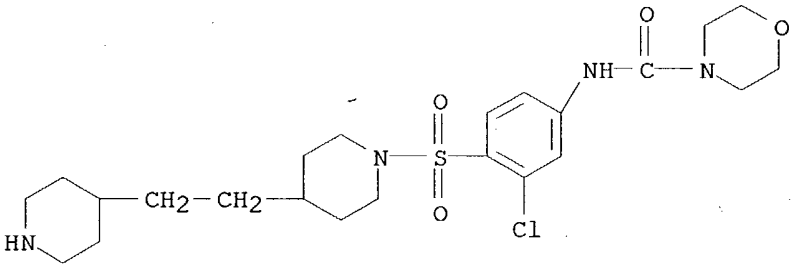
I

AB Use of title compds. [I; A = O, (CH2)n; n = 0-2; R1-R3 = H, halo, alkyl, cycloalkyl, alkoxy, alkoxycarbonyl, etc.; or R1R2 = C6 aryl, 5-8 membered heterocyclyl; R3 = H, halo, alkyl, cycloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, amino, etc.; R4 = H, alkyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, etc.], for treatment of bacterial infection is claimed. I are useful for the treatment of diseases caused by bacteria requiring phosphopantetheine adenylyl transferase (CoaD) enzyme for CoA synthesis. Tested I (general preparation given) inhibited CoaD

activity with IC50 = 0.65-12.5 μM, and showed min. inhibitory concns. of <0.2 μM to 100 μM against B. subtilis Al 796.

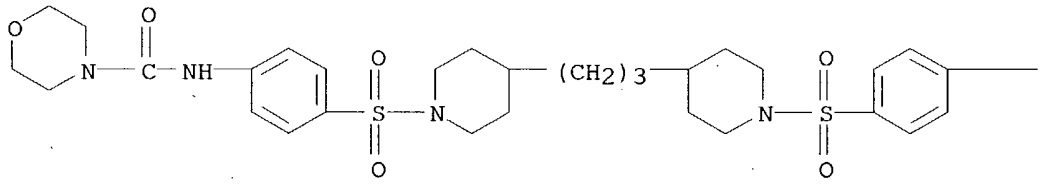
IT **479618-78-5P 479619-24-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bispiperidines as antibacterial agents and inhibitors of phosphopantetheine adenylyl transferase)

RN 479618-78-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[3-chloro-4-[[4-[2-(4-piperidinyl)ethyl]-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

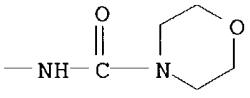


RN 479619-24-4 CAPLUS
CN 4-Morpholinecarboxamide, N,N'-[1,3-propanediylbis(4,1-piperidinediylsulfonyl-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 18 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:5775 CAPLUS
DOCUMENT NUMBER: 138:89797
TITLE: Preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Pernerstorfer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000256	A1	20030103	WO 2002-EP6237	20020607
WO 2003000256	C2	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10129725	A1	20030102	DE 2001-10129725	20010620
EE 200400020	A	20040415	EE 2004-20	20020607
EP 1411932	A1	20040428	EP 2002-738154	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010941	A	20040608	BR 2002-10941	20020607
JP 2004534083	T2	20041111	JP 2003-506901	20020607
US 2004242660	A1	20041202	US 2004-481297	20040628
PRIORITY APPLN. INFO.:			DE 2001-10129725 A	20010620
			WO 2002-EP6237 W	20020607

OTHER SOURCE(S): MARPAT 138:89797
GI

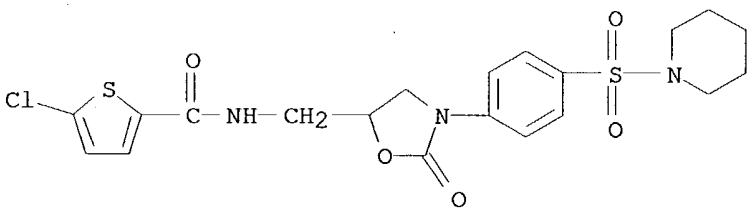
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to combinations of (A) oxazolidinones I [R1 = 5-X-2-thienyl (X = Cl, Br, Me, CF3); R2 = DA; A = phenylene; D = 5- or 6-membered heterocyclic ring containing S, N or O; R4 - R8 = H], or their pharmaceutically acceptable salts, hydrates, prodrugs or their mixts. and (B) other pharmaceutically active ingredients; to a method for producing said combinations; and to the use thereof as medicaments, in particular for the treatment and/or prophylaxis of thrombo-embolic diseases. Thus, the claimed oxazolone II was prepared from epoxide III via epoxide ring opening with aniline derivative IV, cyclization with carbonyldiimidazole, and

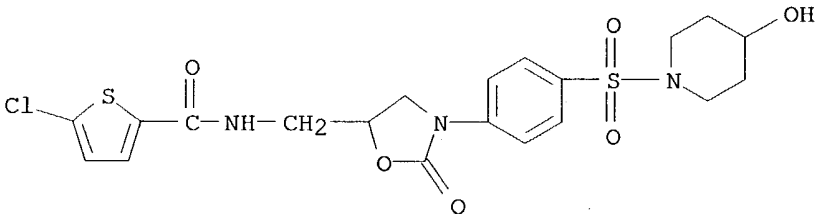
N-acylation with 5-chlorothiophene-2-sulfonyl chloride. II was tested for antithrombotic activity in the arteriovenous shunt model (Rat) after [ED50 = 3 mg/kg (p.o.); IC50 = 0.7 nM]; II had a synergistic effect when used in combination with clopidogrel.

IT **482307-07-3P 482307-08-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activity of; preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases)

RN 482307-07-3 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[[2-oxo-3-[4-(1-piperidinylsulfonyl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 482307-08-4 CAPLUS
CN 2-Thiophenecarboxamide, 5-chloro-N-[[3-[4-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

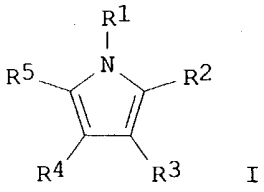


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 19 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:832756 CAPLUS
DOCUMENT NUMBER: 137:337775
TITLE: Preparation of pyrrole derivatives having antidiabetic activity
INVENTOR(S): Nagata, Ryu; Maruta, Katsunori; Iwai, Kiyotaka; Kitoh, Makoto; Ushiroda, Kantaro; Yoshida, Kozo
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 248 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085851	A1	20021031	WO 2002-JP3790	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1386913	A1	20040204	EP 2002-720442	20020417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004162331	A1	20040819	US 2003-474943	20031016
PRIORITY APPLN. INFO.:			JP 2001-120887	A 20010419
			WO 2002-JP3790	W 20020417

OTHER SOURCE(S): MARPAT 137:337775
GI



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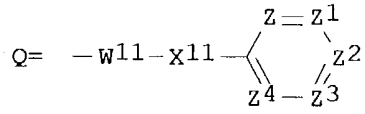
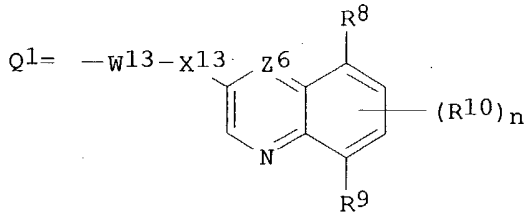




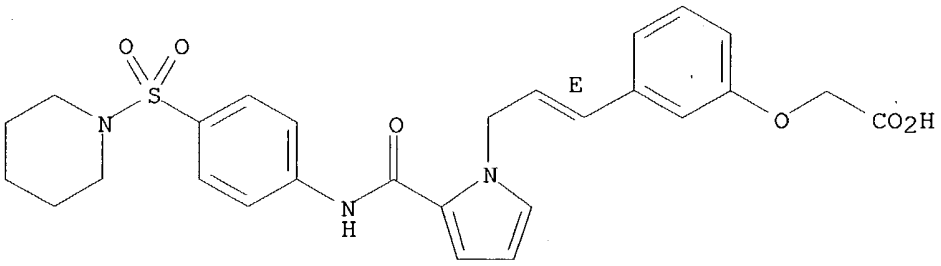
AB Novel pyrrole derivs. represented by the following formula (I) and salts thereof [R1 = Q, W12-X12-Ar1, Q1, etc. {wherein X11 = a single bond, O, S; W11 = each (un)substituted C2-5 alkylene, alkenylene, or alkynylene; one of Z1 and Z2 = a C atom substituted by X1-Y1-COR6 (wherein X1 = a single bond, O, S; Y1 = each (un)substituted C1-4 alkylene, C2-5 alkenylene, or C2-5 alkynylene; R6 = HO, each (un)substituted C1-4 alkoxy, C1-4 alkylsulfonylamino, or phenylsulfonylamino) and the other = H, HO, halo, cyano, CONH2, C2-5 alkylaminocarbonyl, etc.; Z3, Z4, Z5 = (un)substituted CH; Ar1 = substituted naphthyl; X12 = a single bond, O, S; W12 = (un)substituted C1-4 alkylene; X13 = a single bond, O, S; W13 = (un)substituted C1-4 alkylene; one of R8 and R9 = X3-Y3-COR11 (wherein X3 = a single bond, O, S; Y3 = (un)substituted C1-4 alkylene, C2-5 alkenylene, or C2-5 alkynylene; R11 = HO, (un)substituted C1-4 alkoxy, C1-4 alkylsulfonylamino, or phenylsulfonylamino) and the other = H, HO, (un)substituted C1-4 alkyl, C2-5 alkenyl, C2-5 alkynyl, C1-4 alkoxy, etc.}; one of R2 and R3 = W21-A21 (wherein W21 = (un)substituted C1-6 alkylene, (un)substituted alkenylene, CONH, or CONHCH2; A21 = (un)substituted C6-12 aryl or mono- or dicyclic unsatd. heterocyclyl containing same or different 1-3 heteroatoms selected from N, O, and S) and the other = H, (un)substituted C1-4 alkyl, halo; R4, R5 = H, (un)substituted C1-4 alkyl, halo] are prepared These compds. improve insulin resistance and high blood sugar, have antidiabetic activity, and safely control blood sugar. Thus, a solution of 240 mg 2-(4-methylbenzoyl)pyrrole (preparation given) in 2.0 mL THF was added to a solution of 160 mg potassium tert-butoxide in THF 3.0 mL, stirred at room temperature for 20 min, and ice-cooled followed by adding a solution of 370 mg Me [3-[(1E)-3-bromo-1-propenyl]phenoxy]acetate in 4.0 mL THF, and the resulting mixture was stirred at room temperature for 1.5 h to give 31% Me [3-[(1E)-3-[2-(4-methylbenzoyl)-1H-pyrrol-1-yl]-1-propenyl]phenoxy]acetate (II). A solution of II in 1 N aqueous LiOH 1.0, THF 1.0, and MeOH 1.0 mL was stirred at room temperature for 30 min, treated with dilute aqueous HCl, and extracted with EtOAc to give 100% [3-[(1E)-3-[2-(4-methylbenzoyl)-1H-pyrrol-1-yl]-1-propenyl]phenoxy]acetic acid (III). When male db/db mice were fed with a feed containing 0.1% III for 2 wk, the blood sugar was lowered by 70%.

IT **474008-67-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrole derivs. as antidiabetics for improving insulin resistance and lowering blood sugar)

RN 474008-67-8 CAPLUS

CN Acetic acid, [3-[(1E)-3-[2-[[[4-(1-piperidinylsulfonyl)phenyl]amino]carbonyl]-1H-pyrrol-1-yl]-1-propenyl]phenoxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

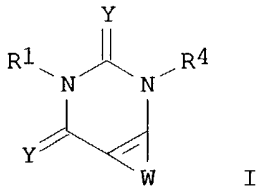


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

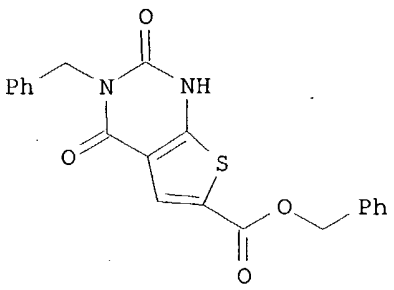
L89 ANSWER 20 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637683 CAPLUS
DOCUMENT NUMBER: 137:185504
TITLE: Preparation of thieno[2,3-d]pyrimidindiones as matrix metalloproteinase inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis
INVENTOR(S): Harter, William Glen; Li, Jie Jack; Ortwine, Daniel Fred; Shuler, Kevon Ray; Yue, Wen-song
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002064598	A1	20020822	WO 2002-IB204		20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2433778	AA	20020822	CA 2002-2433778		20020118
EP 1370562	A1	20031217	EP 2002-711123		20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002007216	A	20040309	BR 2002-7216		20020118
JP 2004518732	T2	20040624	JP 2002-564529		20020118
US 2003004172	A1	20030102	US 2002-75073		20020213
PRIORITY APPLN. INFO.:			US 2001-268756P	P	20010214
			WO 2002-IB204	W	20020118

OTHER SOURCE(S): MARPAT 137:185504
GI



I



II

AB Title fused pyrimidinones I [wherein C2W = 5-membered (hetero)cyclic

diradical substituted with ABR3 and optionally substituted with R2; A = CO or SO0-2; B = O or NR5; or AB = C.tplbond.C; R1, R4, and R5 = independently H, alkyl, alkenyl, alkynyl, (CH2)n-(hetero)aryl, (CH2)n-cycloalkyl, (CH2)n-heterocyclyl, or alkanoyl; R2 and R3 = independently H, alkyl, alkenyl, alkynyl CN, NO2, NR4R5, (CH2)n-cycloalkyl, or (CH2)n-(hetero)aryl; or R2 = halo; n = 0-5; or NR4R5 = (un)substituted heterocyclyl; with the proviso that R1 and R3 ≠ both H or alkyl; or pharmaceutically acceptable salts thereof] were prepared as matrix metalloproteinase (MMP) inhibitors, especially as selective MMP-13 inhibitors. For example, 3-benzyl-6-chloro-1H-pyrimidine-2,4-dione was coupled with mercaptoacetic acid Et ester using Na2CO3 in EtOH (67%) and the product cyclized with POCl3 in anhydrous DMF to give 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidine-6-carboxylic acid Et ester (95%). Saponification (96%) followed by esterification with benzyl alc. and 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate afforded II (12%). The latter selectively inhibited the hydrolytic activity of MMP-13 (0.61 μM) over MMP-1 (100 μM), MMP-2 (100 μM), MMP-3 (18 μM), MMP-7 (100 μM), MMP-9 (100 μM), MMP-12 (100 μM), and MMP-14 (100 μM) with the indicated IC50 values. I are useful for the treatment of diseases mediated by the MMP-13 enzyme, such as cancer, rheumatoid arthritis, or osteoarthritis (no data). Formulations of I are also disclosed.

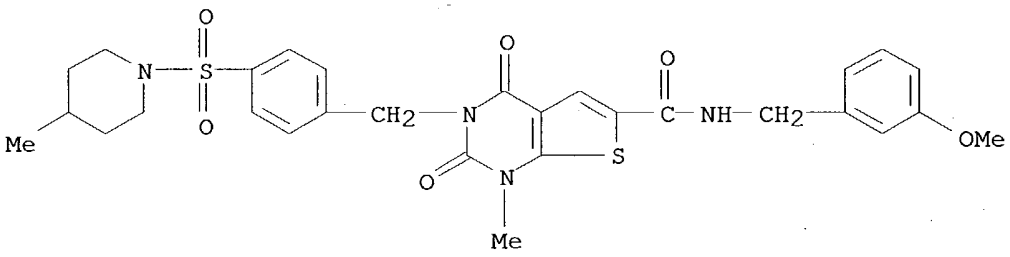
IT **448965-29-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MMP inhibitor; preparation of thienopyrimidinediones as MMP inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis)

RN 448965-29-5 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxamide, 1,2,3,4-tetrahydro-N-[(3-methoxyphenyl)methyl]-1-methyl-3-[[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)



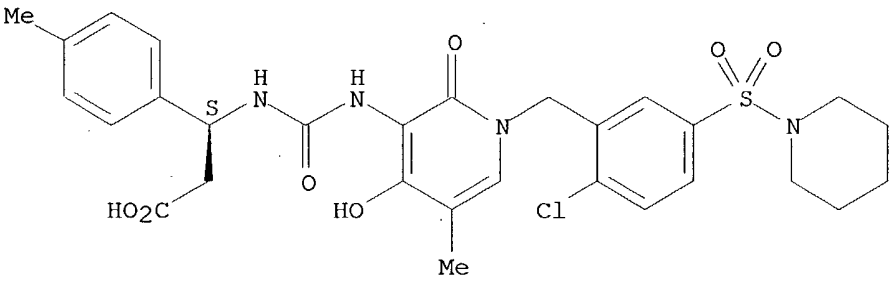
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 21 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:349146 CAPLUS
DOCUMENT NUMBER: 136:369608
TITLE: Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding
INVENTOR(S): Biediger, Ronald J.; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Decker, Radford E.; Li, Jian
PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
SOURCE: Eur. Pat. Appl., 131 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1203766	A2	20020508	EP 2001-125494	20011106
EP 1203766	A3	20041208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004063955	A1	20040401	US 2001-973142	20011009
ZA 2001008777	A	20030124	ZA 2001-8777	20011024
PRIORITY APPLN. INFO.:			US 2000-707068 A	20001106
			US 2001-973142 A	20011009
			US 1999-132971P P	19990507
			US 2000-565920 A2	20000505

OTHER SOURCE(S): MARPAT 136:369608
AB Title compds. were prepared Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl)(preparation given) was condensed with (S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after saponification, (S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol. activity of title compds. were given.
IT **422516-68-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding)
RN 422516-68-5 CAPLUS
CN Benzenepropanoic acid, β-[[[[1-[[2-chloro-5-(1-piperidinylsulfonyl)phenyl]methyl]-1,2-dihydro-4-hydroxy-5-methyl-2-oxo-3-pyridinyl]amino]carbonyl]amino]-4-methyl-, (βS)- (9CI) (CA INDEX NAME)

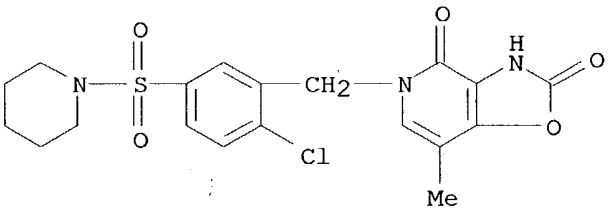
Absolute stereochemistry.



IT **422519-63-9P,** 5-[2-Chloro-5-(piperidin-1-ylsulfonyl)benzyl]-7-methyl-3,5-dihydro[1,3]oxazolo[4,5-c]pyridine-2,4-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of α4β1 integrin binding)

RN 422519-63-9 CAPLUS

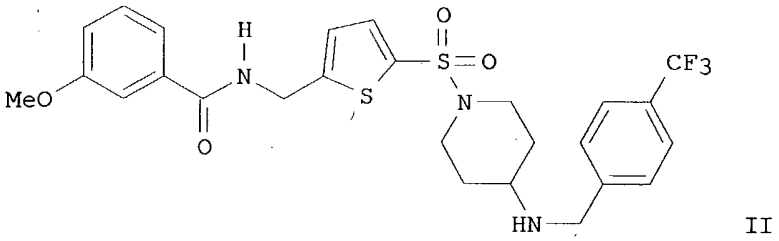
CN Piperidine, 1-[[4-chloro-3-[(2,3-dihydro-7-methyl-2,4-dioxooxazolo[4,5-c]pyridin-5(4H)-yl)methyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 22 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:253021 CAPLUS
DOCUMENT NUMBER: 136:279348
TITLE: Preparation of pharmaceutically active sulfonamides bearing both lipophilic and ionizable moieties as inhibitors of protein Jun kinases
INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkinstall, Stephen
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193268	A1	20020403	EP 2000-810887	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2421209	AA	20020404	CA 2001-2421209	20010927
WO 2002026733	A2	20020404	WO 2001-IB1772	20010927
WO 2002026733	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087991	A5	20020408	AU 2001-87991	20010927
BR 2001014223	A	20030701	BR 2001-14223	20010927
EP 1322642	A2	20030702	EP 2001-967622	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001746	A	20040303	ZA 2003-1746	20010927
JP 2004509957	T2	20040402	JP 2002-531117	20010927
NZ 524542	A	20040924	NZ 2001-524542	20010927
BG 107633	A	20031128	BG 2003-107633	20030313
NO 2003001375	A	20030326	NO 2003-1375	20030326
US 2004077854	A1	20040422	US 2003-381665	20031010
PRIORITY APPLN. INFO.:			EP 2000-810887 A	20000927
			WO 2001-IB1772 W	20010927

OTHER SOURCE(S): MARPAT 136:279348
GI



II

AB The title compds. Ar1C(:X)NR1(CH2)nAr2SO2Y [I; Ar1, Ar2 = (un)substituted aryl, heteroaryl; X = O, S, preferably O; R1 = H, alkyl, or R1 forms (un)substituted 5-6 membered (un)saturated ring with Ar1; n = 0-5, preferably between 1-3 and most preferred 1; Y = (un)substituted 4-12 membered saturated cyclic or bicyclic alkyl which is substituted with at least one ionizable moiety to which a lipophilic chain is attached and which is containing at least one N atom, whereby one N atom within said ring is forming a bond with the sulfonyl group thus providing a sulfonamide] which are efficient modulators of the JNK pathway, in particular efficient and selective inhibitors of JNK 2 and 3, were prepared and formulated. E.g., a multi-step synthesis of II which showed IC50 of 0.04 μM against JNK3, was given.

IT **406487-03-4P 406677-95-0P 406677-96-1P**
406677-98-3P 406677-99-4P 406678-00-0P
406678-01-1P 406678-02-2P 406678-03-3P
406678-04-4P 406678-05-5P 406678-06-6P
406678-07-7P 406678-08-8P 406678-09-9P
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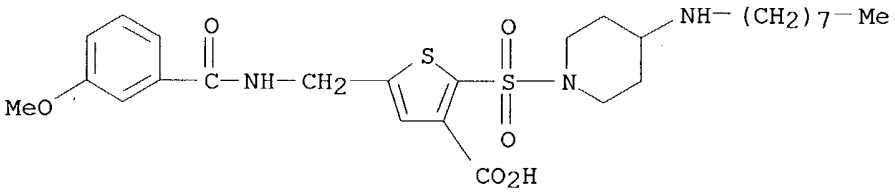
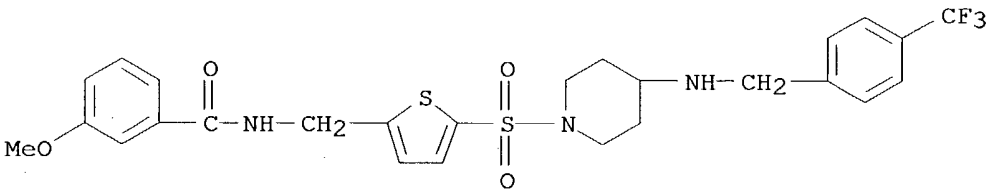
406679-00-3P 406679-01-4P 406679-30-9P
406679-44-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pharmaceutically active sulfonamides bearing both lipophilic and ionizable moieties as inhibitors of protein Jun kinases)

RN 406487-03-4 CAPLUS

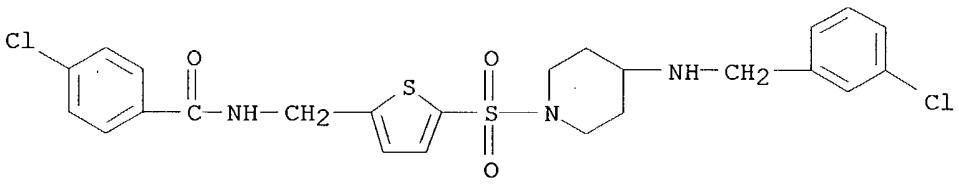
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-(octylamino)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



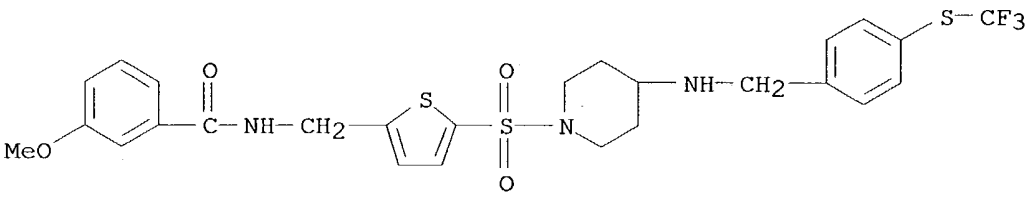
RN 406677-95-0 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



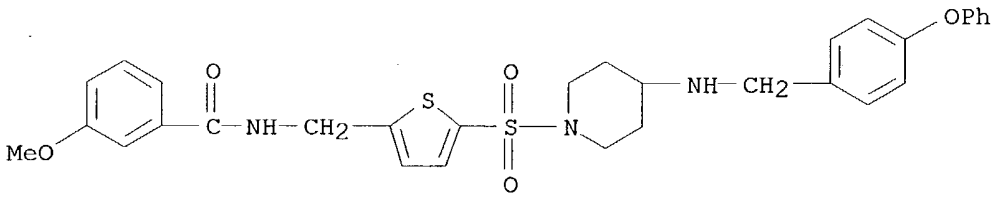
RN 406677-96-1 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[(3-chlorophenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



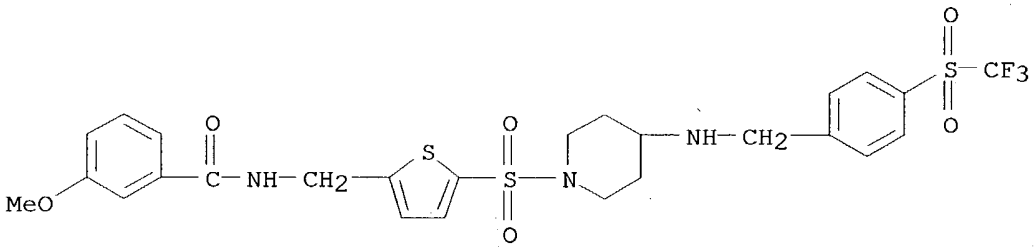
RN 406677-98-3 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[4-[(trifluoromethyl)thio]phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



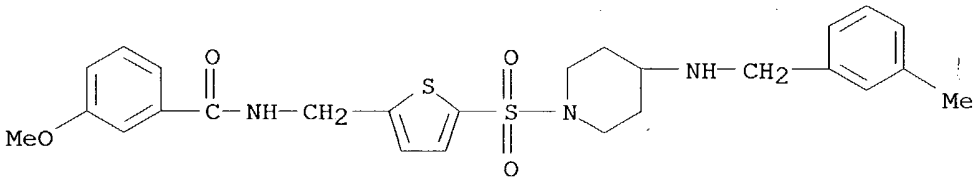
RN 406677-99-4 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(4-phenoxyphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



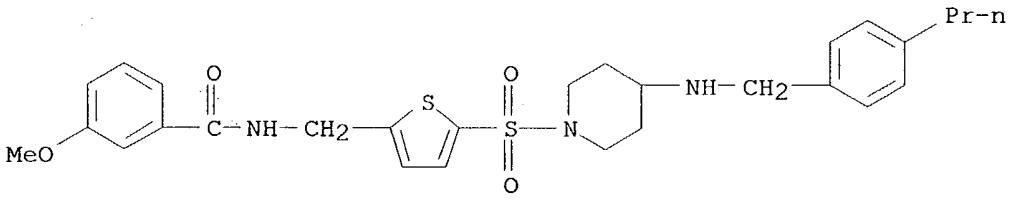
RN 406678-00-0 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[4-[(trifluoromethyl)sulfonyl]phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



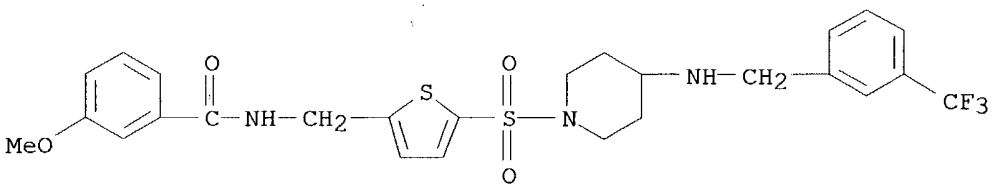
RN 406678-01-1 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(3-methylphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



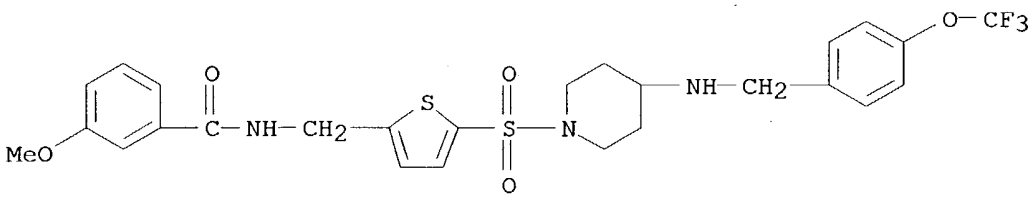
RN 406678-02-2 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(4-propylphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-03-3 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[3-(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

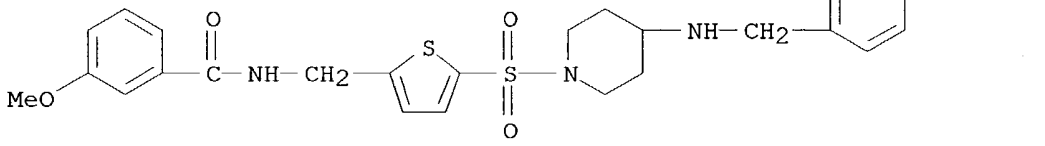


RN 406678-04-4 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[4-(trifluoromethoxy)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-05-5 CAPLUS
CN Benzamide, N-[[5-[[4-[[[4-(difluoromethoxy)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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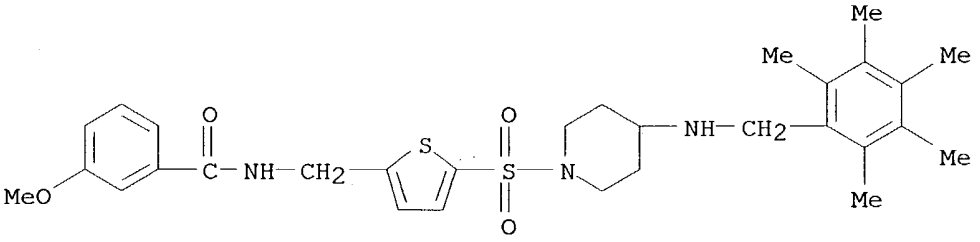
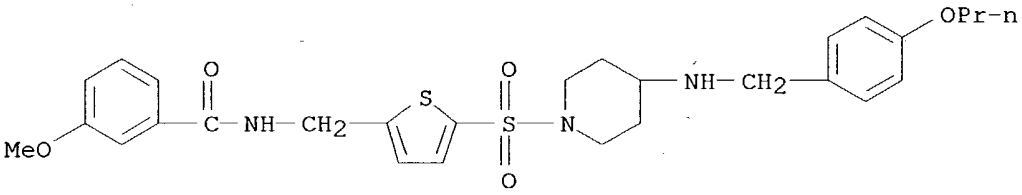
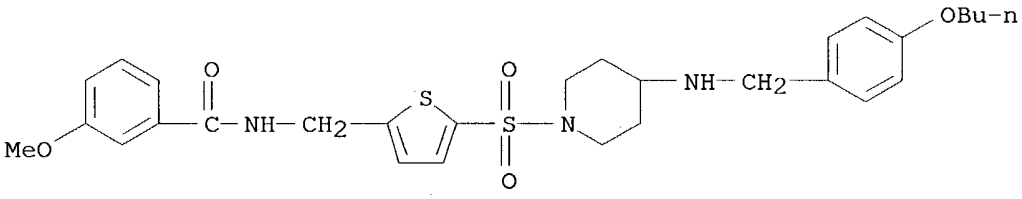
RN 406678-06-6 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(pentamethylphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



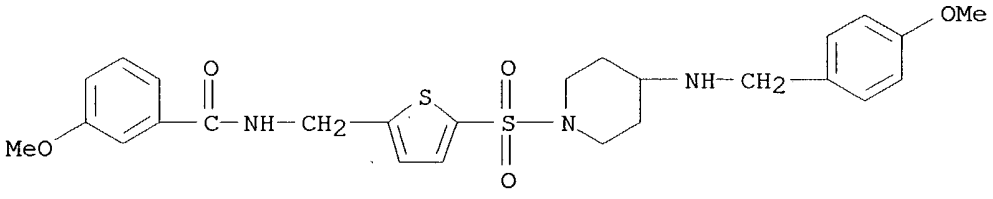
RN 406678-07-7 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(4-propoxyphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



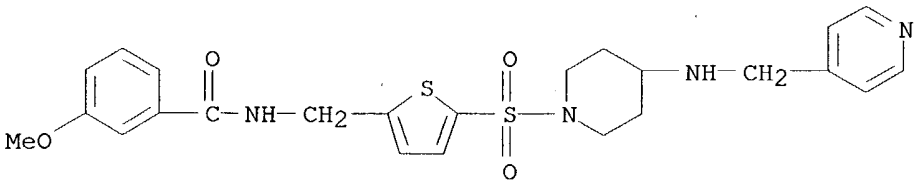
RN 406678-08-8 CAPLUS
CN Benzamide, N-[[5-[[4-[[(4-butoxyphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



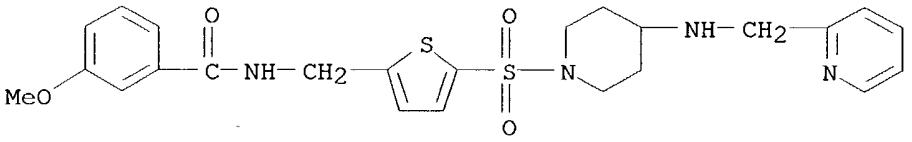
RN 406678-09-9 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(4-methoxyphenyl)methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



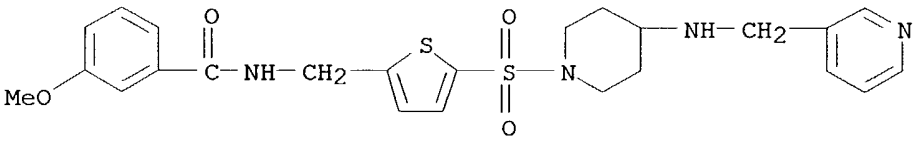
RN 406678-10-2 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(4-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-11-3 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(2-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-12-4 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(3-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-13-5 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(4-quinolinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

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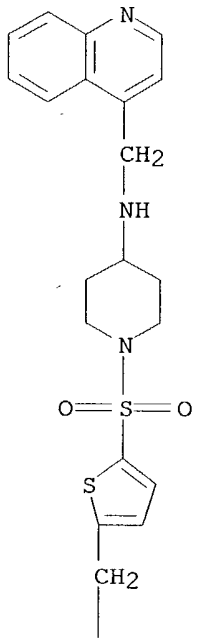

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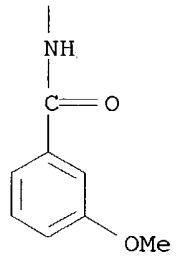
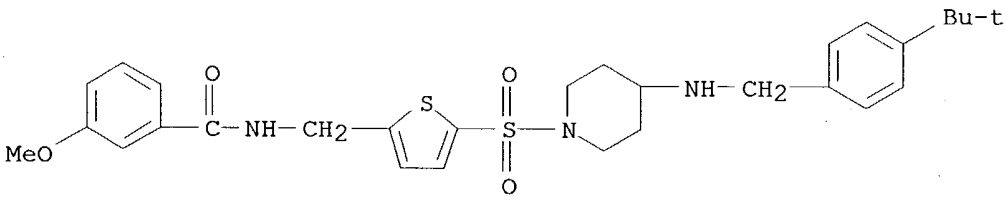
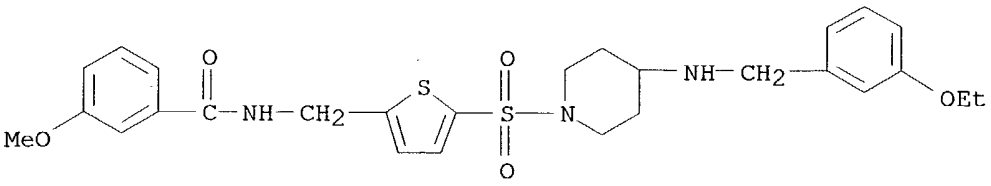


RN 406678-14-6 CAPLUS
CN Benzamide, N-[[5-[[4-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

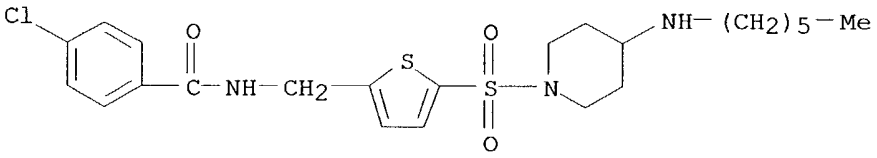


RN 406678-15-7 CAPLUS
CN Benzamide, N-[[5-[[4-[[(3-ethoxyphenyl)methyl]amino]-1-

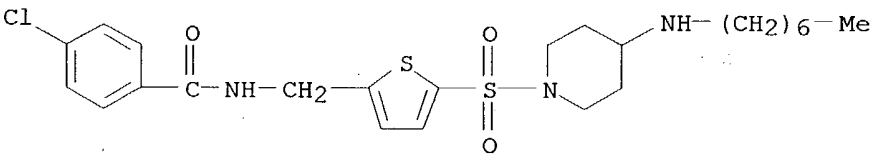
piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



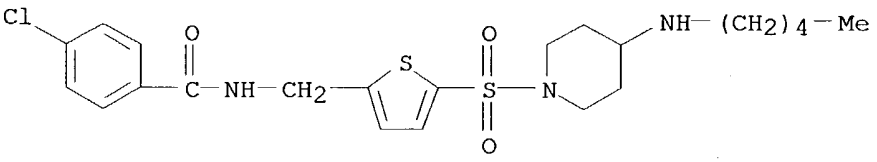
RN 406678-16-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(hexylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



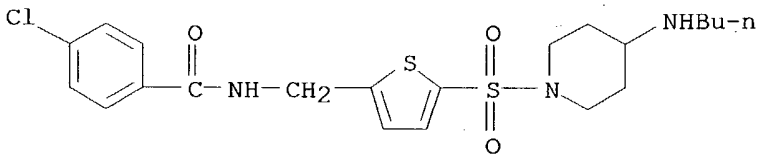
RN 406678-17-9 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(heptylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



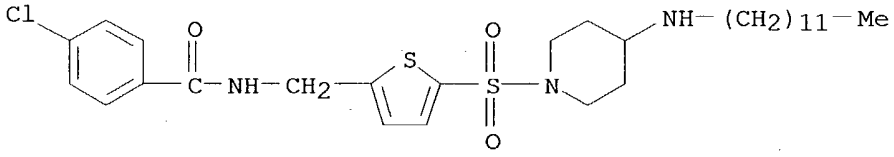
RN 406678-18-0 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(pentylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



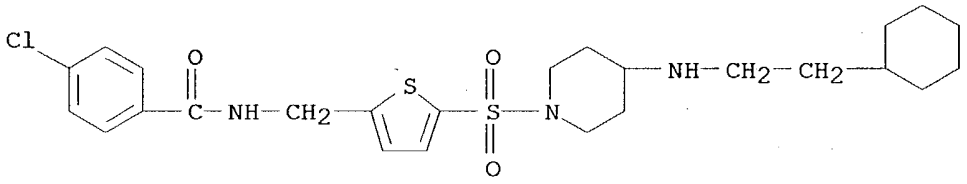
RN 406678-19-1 CAPLUS
CN Benzamide, N-[[5-[[4-(butylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



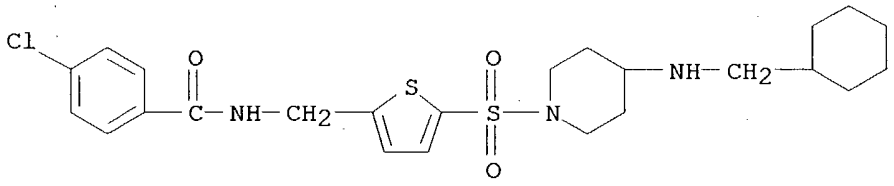
RN 406678-20-4 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(dodecylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-22-6 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(2-cyclohexylethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

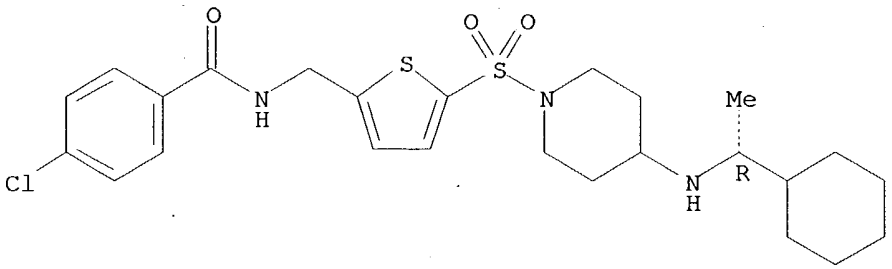


RN 406678-23-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(cyclohexylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



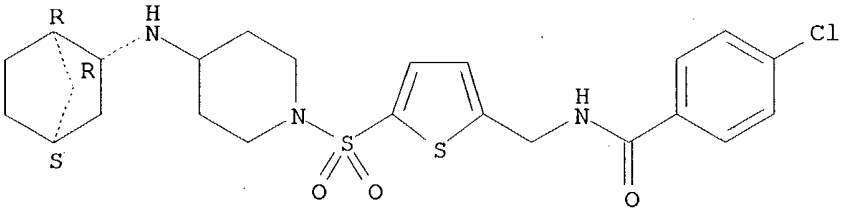
RN 406678-24-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[(1R)-1-cyclohexylethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

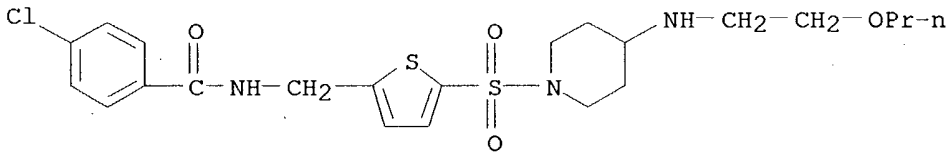


RN 406678-25-9 CAPLUS
CN Benzamide, N-[[5-[[4-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylamino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)

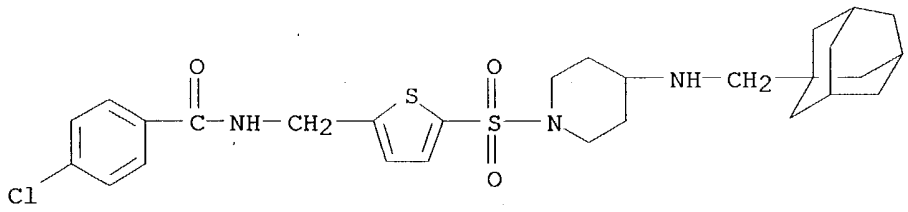
Absolute stereochemistry.



RN 406678-26-0 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(2-propoxyethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

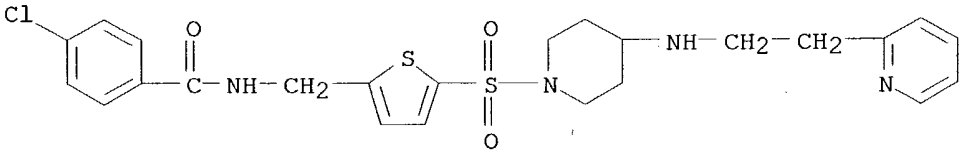


RN 406678-27-1 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

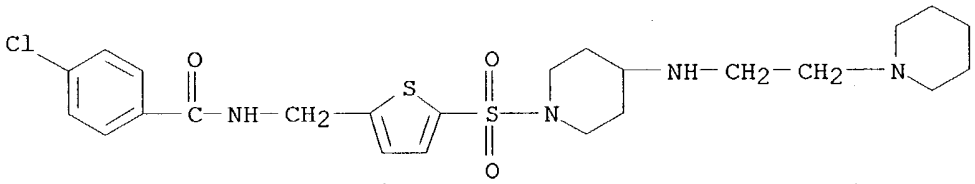


RN 406678-28-2 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[2-(2-pyridinyl)ethyl]amino]-1-

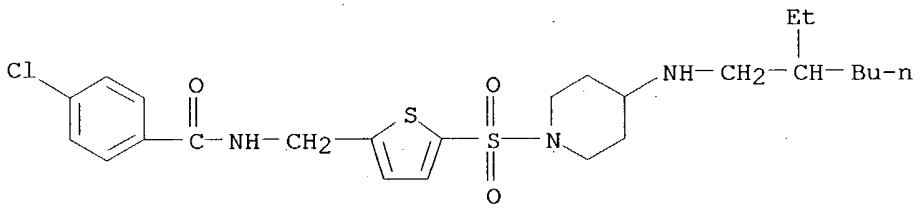
piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



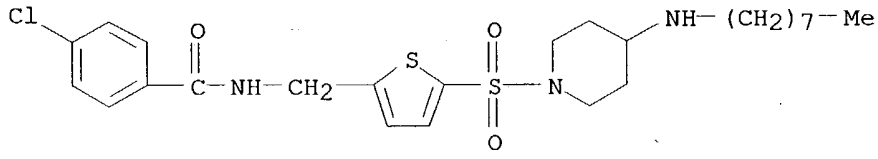
RN 406678-29-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[2-(1-piperidinyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



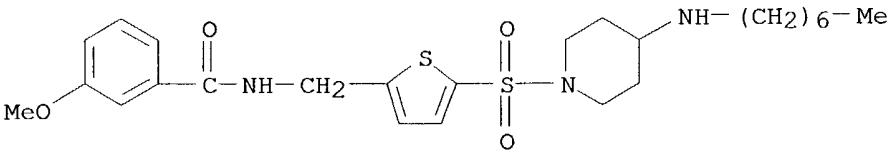
RN 406678-30-6 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(2-ethylhexyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



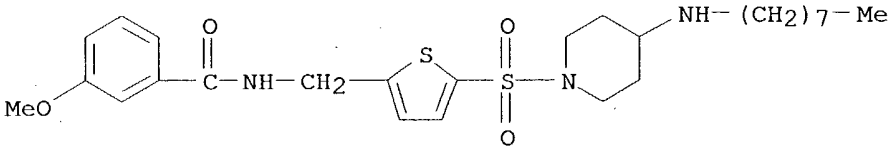
RN 406678-31-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(octylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



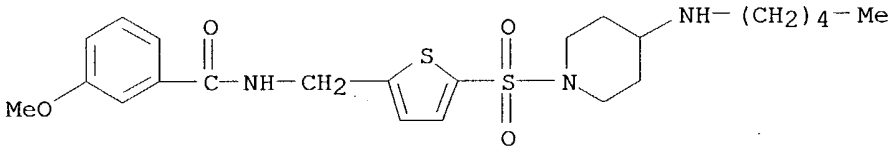
RN 406678-32-8 CAPLUS
CN Benzamide, N-[[5-[[4-(heptylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



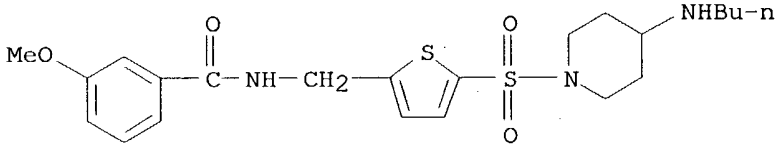
RN 406678-33-9 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-(octylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



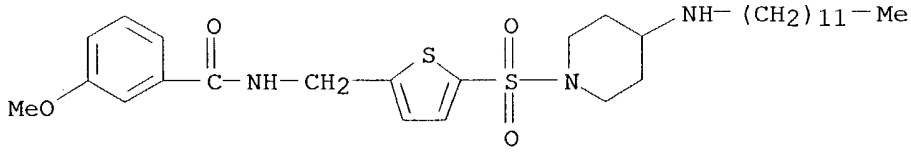
RN 406678-34-0 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-(pentylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-35-1 CAPLUS
CN Benzamide, N-[[5-[[4-(butylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

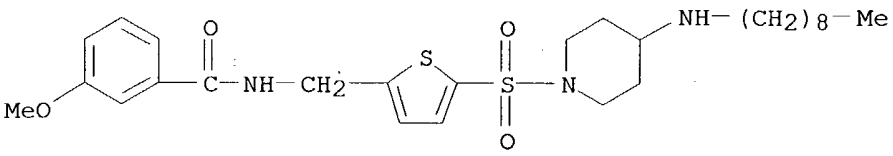


RN 406678-36-2 CAPLUS
CN Benzamide, N-[[5-[[4-(dodecylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

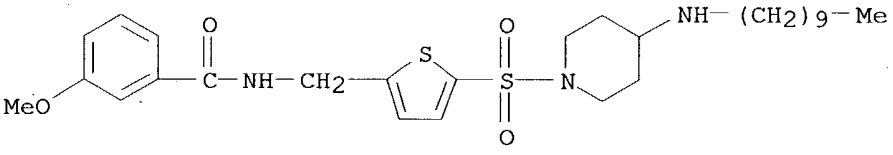


RN 406678-37-3 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-(nonylamino)-1-piperidinyl]sulfonyl]-2-

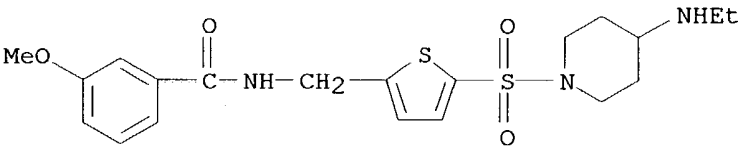
thienyl]methyl]- (9CI) (CA INDEX NAME)



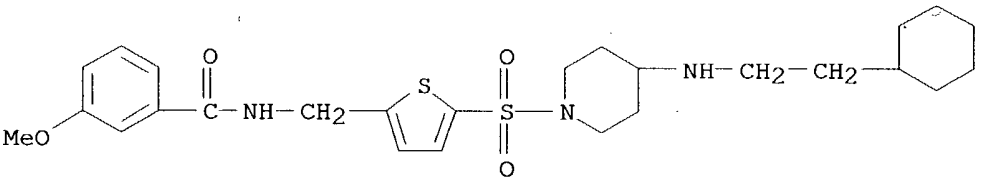
RN 406678-38-4 CAPLUS
CN Benzamide, N-[[5-[[4-(decylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 406678-39-5 CAPLUS
CN Benzamide, N-[[5-[[4-(ethylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

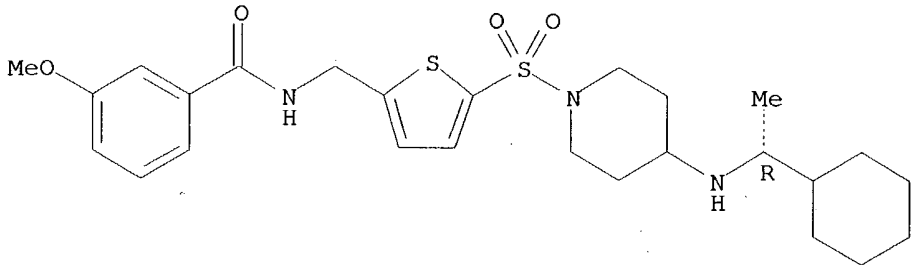


RN 406678-40-8 CAPLUS
CN Benzamide, N-[[5-[[4-[(2-cyclohexylethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



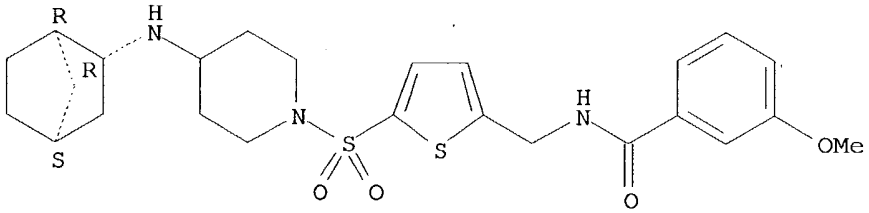
RN 406678-41-9 CAPLUS
CN Benzamide, N-[[5-[[4-[[(1R)-1-cyclohexylethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

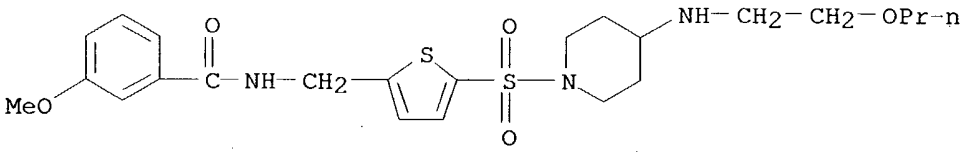


RN 406678-42-0 CAPLUS
CN Benzamide, N-[[5-[[4-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-ylamino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

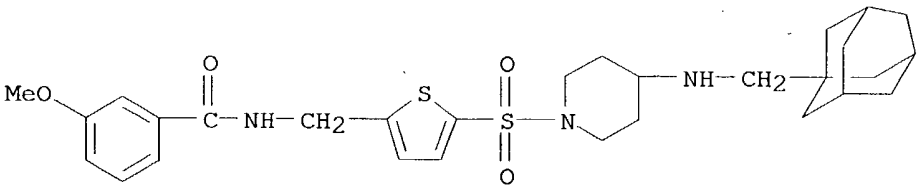
Absolute stereochemistry.



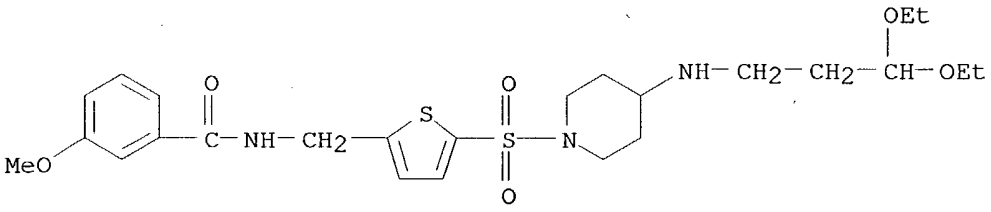
RN 406678-43-1 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(2-propoxyethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



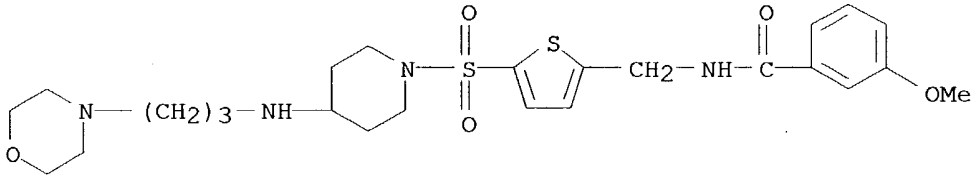
RN 406678-44-2 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(tricyclo[3.3.1.13,7]dec-1-ylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



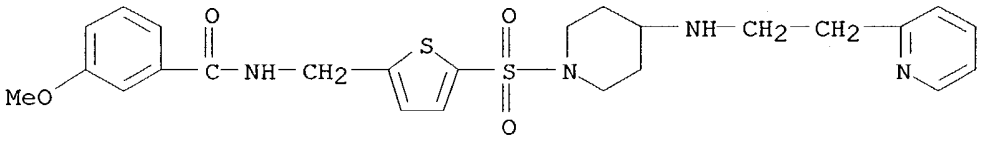
RN 406678-45-3 CAPLUS
CN Benzamide, N-[[5-[[4-[(3,3-diethoxypropyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



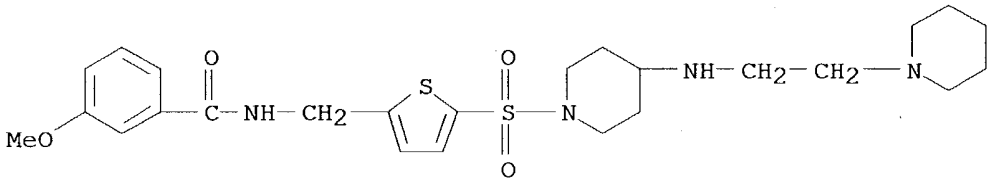
RN 406678-46-4 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[3-(4-morpholinyl)propyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



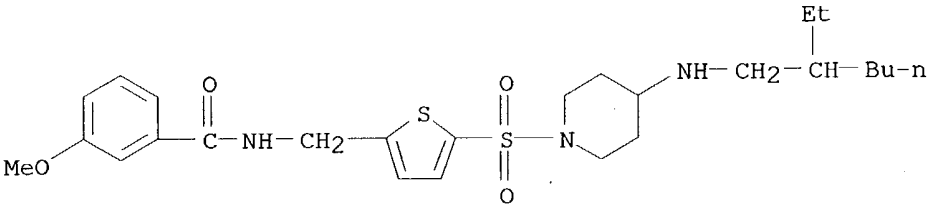
RN 406678-47-5 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[2-(2-pyridinyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



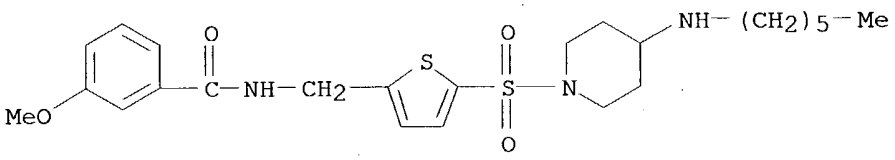
RN 406678-48-6 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[2-(1-piperidinyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-49-7 CAPLUS
CN Benzamide, N-[[5-[[4-[(2-ethylhexyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

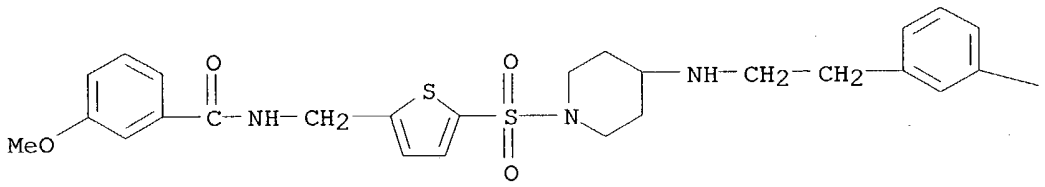


RN 406678-50-0 CAPLUS
CN Benzamide, N-[[5-[[4-(hexylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 406678-51-1 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[2-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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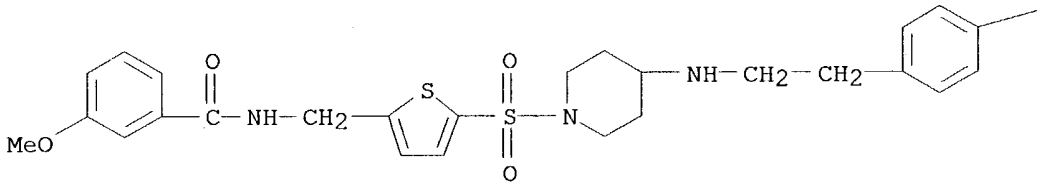
RN 406678-52-2 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[2-(4-methylphenyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

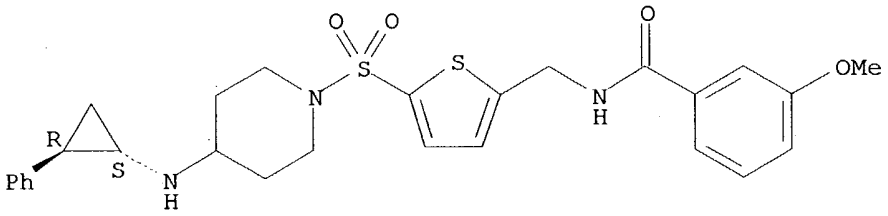


PAGE 1-B

Me

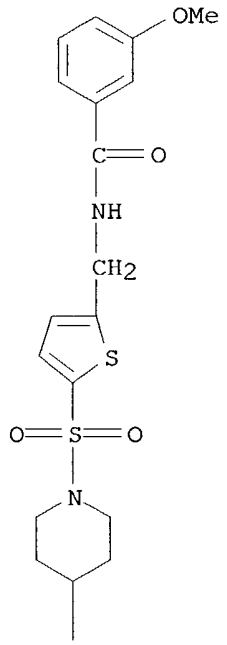
RN 406678-53-3 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[(1S,2R)-2-phenylcyclopropyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

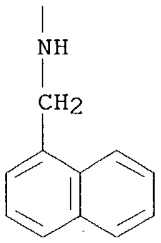


RN 406678-55-5 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(1-naphthalenylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

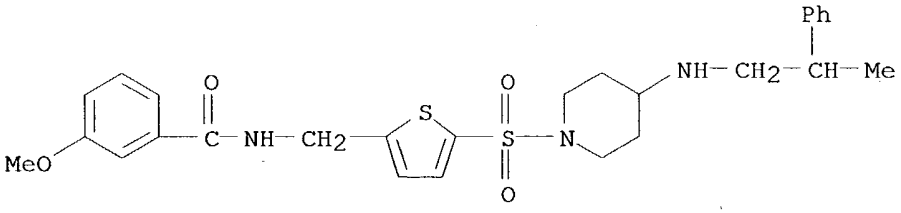
PAGE 1-A



PAGE 2-A



RN 406678-57-7 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(2-phenylpropyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-58-8 CAPLUS
CN Benzamide, N-[[5-[[4-[[2-(4-hydroxyphenyl)ethyl]amino]-1-

piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

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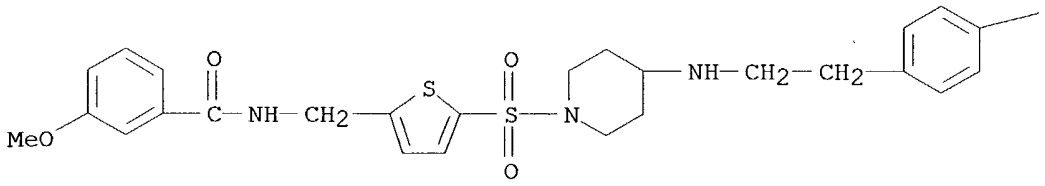

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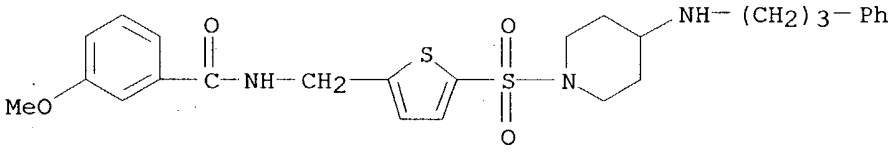
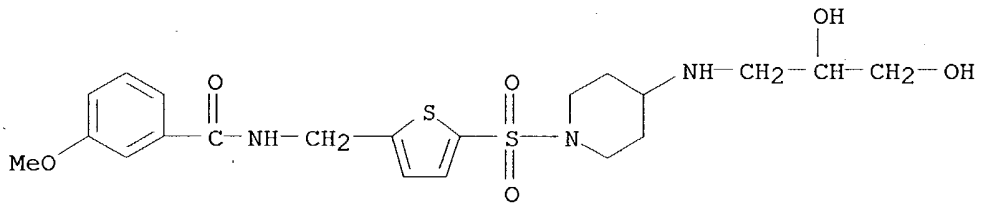
—OH

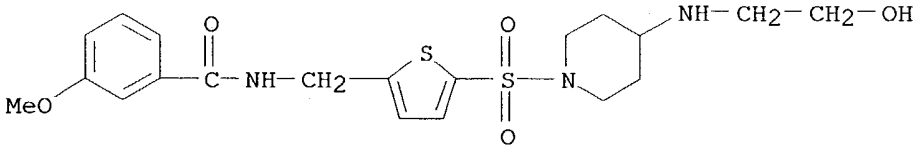
RN 406678-59-9 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(3-phenylpropyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406678-60-2 CAPLUS
CN Benzamide, N-[[5-[[4-[(2,3-dihydroxypropyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



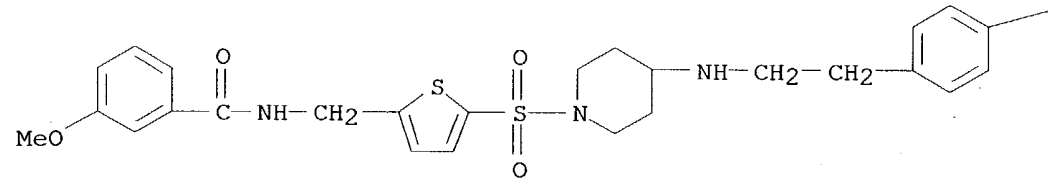
RN 406678-61-3 CAPLUS
CN Benzamide, N-[[5-[[4-[(2-hydroxyethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 406678-62-4 CAPLUS
CN Benzamide, N-[[5-[[4-[(2-[1,1'-biphenyl]-4-ylethyl)amino]-1-

piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



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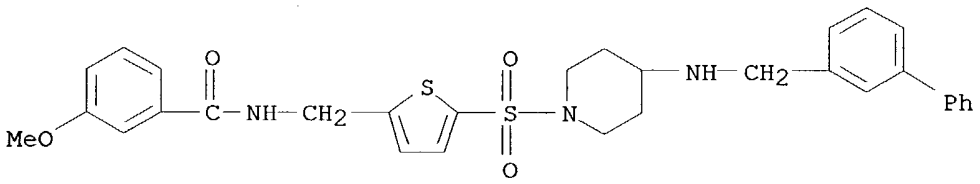
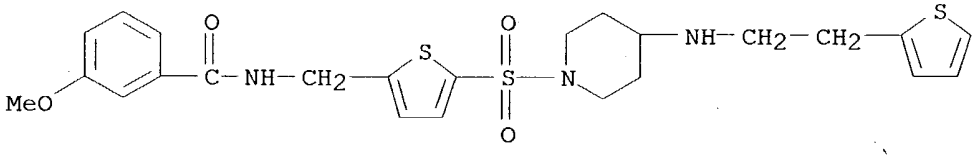
Ph

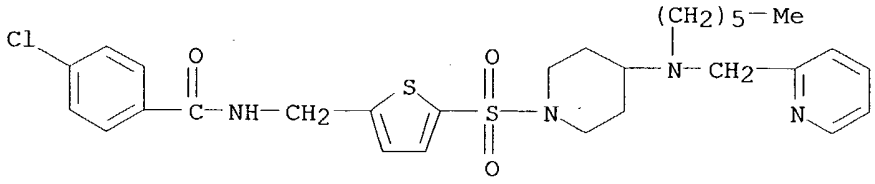
RN 406678-63-5 CAPLUS
CN Benzamide, N-[[5-[[4-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



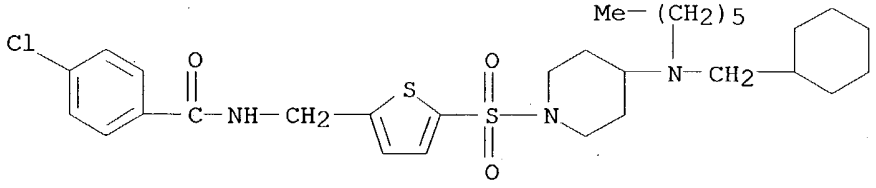
RN 406678-64-6 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[2-(2-thienyl)ethyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



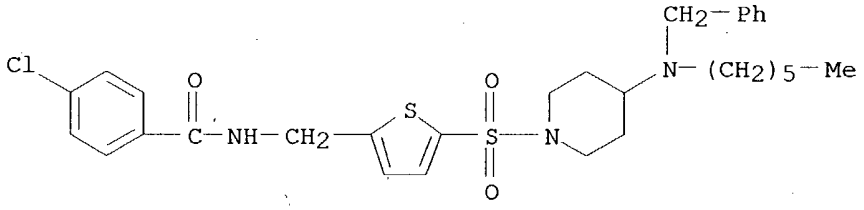
RN 406678-92-0 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(2-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



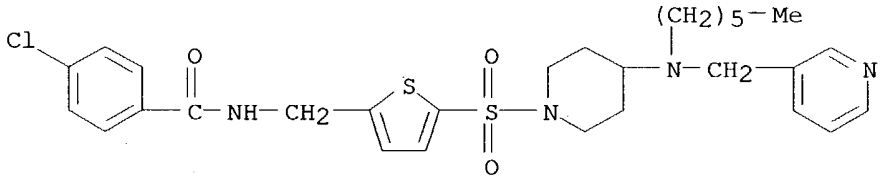
RN 406678-93-1 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[(cyclohexylmethyl)hexylamino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



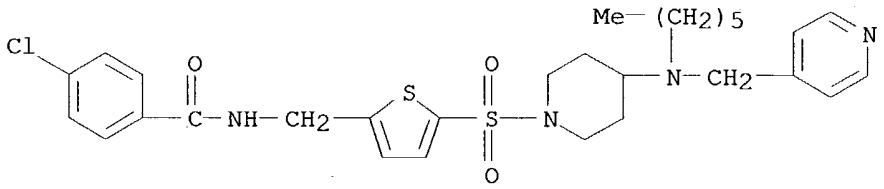
RN 406678-94-2 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(phenylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



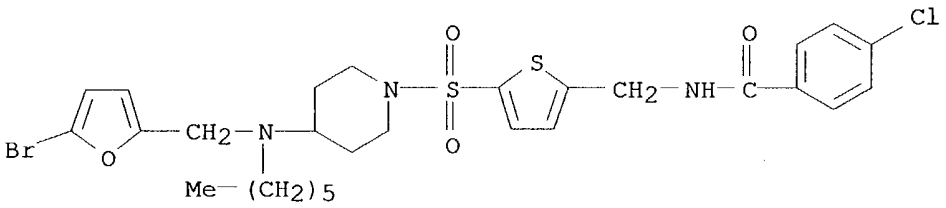
RN 406678-95-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(3-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



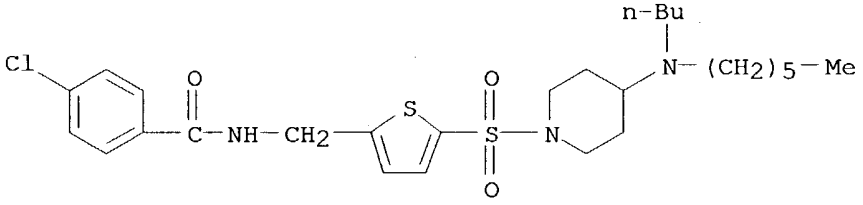
RN 406678-96-4 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(4-pyridinylmethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



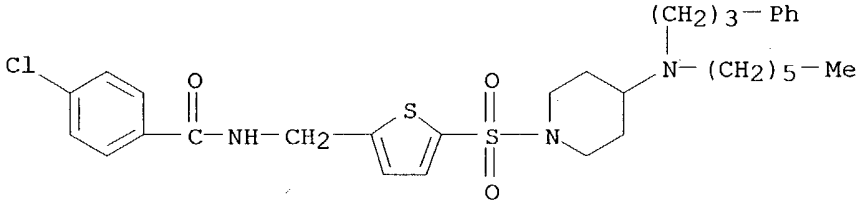
RN 406678-97-5 CAPLUS
CN Benzamide, N-[[5-[[4-[[(5-bromo-2-furanyl)methyl]hexylamino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



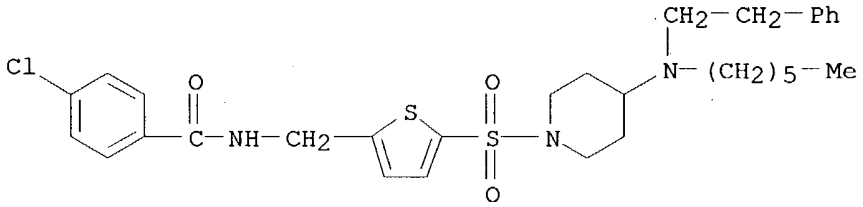
RN 406678-98-6 CAPLUS
CN Benzamide, N-[[5-[[4-(butylhexylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



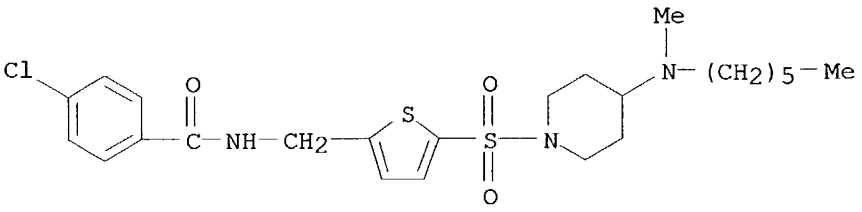
RN 406678-99-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(3-phenylpropyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



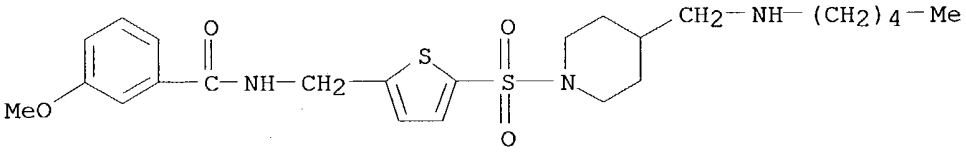
RN 406679-00-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[hexyl(2-phenylethyl)amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



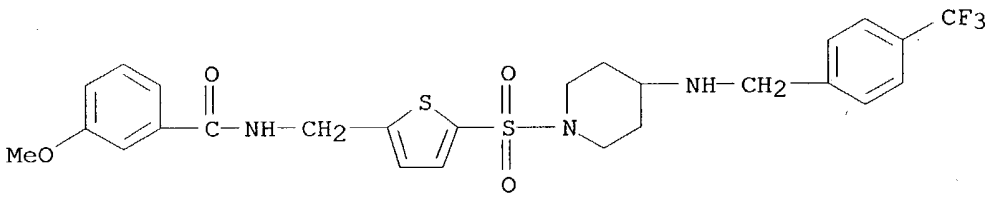
RN 406679-01-4 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(hexylmethylamino)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406679-30-9 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[(pentylamino)methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



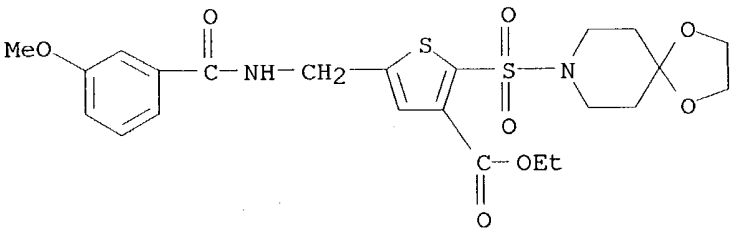
RN 406679-44-5 CAPLUS
CN Benzamide, 3-methoxy-N-[[5-[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



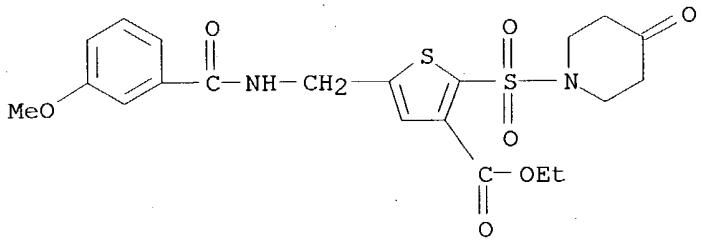
● HCl

IT **406486-98-4P 406486-99-5P 406679-36-5P**
406679-37-6P 406679-38-7P 406679-39-8P
406679-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pharmaceutically active sulfonamides bearing both lipophilic and ionizable moieties as inhibitors of protein Jun kinases)

RN 406486-98-4 CAPLUS
CN 3-Thiophenecarboxylic acid, 2-(1,4-dioxa-8-azaspiro[4.5]dec-8-ylsulfonyl)-5-[[(3-methoxybenzoyl)amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 406486-99-5 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[(4-oxo-1-piperidinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 406679-36-5 CAPLUS
CN Benzamide, N-[[5-[(4-amino-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 406679-35-4
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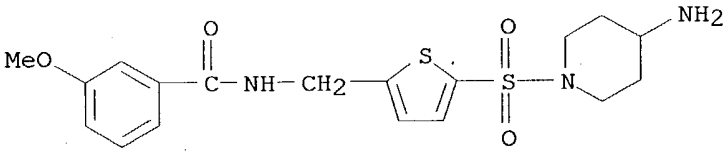

CM 2

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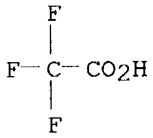
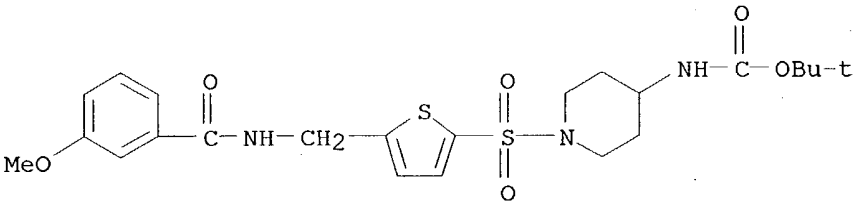
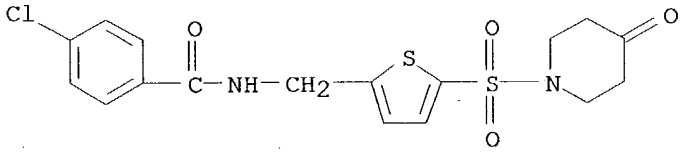


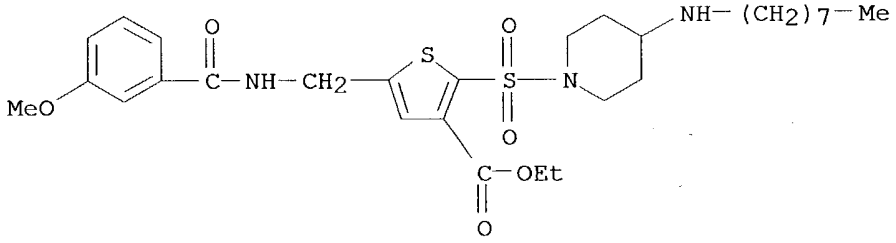
RN 406679-37-6 CAPLUS
CN Carbamic acid, [1-[[5-[[(3-methoxybenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



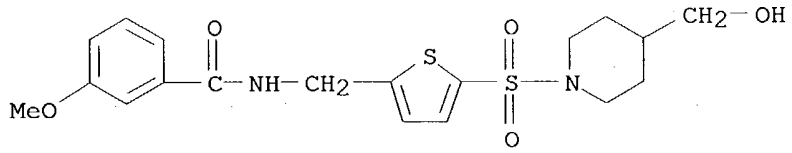
RN 406679-38-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[(4-oxo-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 406679-39-8 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-(octylamino)-1-piperidinyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 406679-43-4 CAPLUS
CN Benzamide, N-[[5-[[4-(hydroxymethyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)

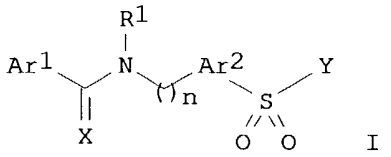


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

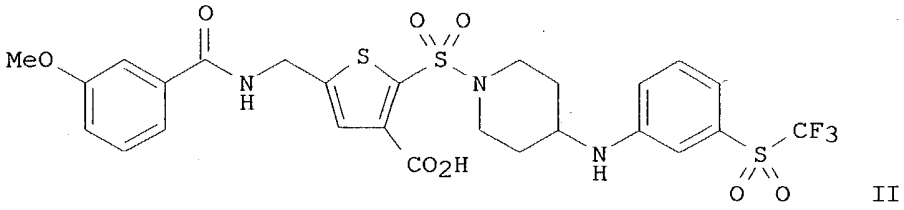
L39 ANSWER 23 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:253020 CAPLUS
DOCUMENT NUMBER: 136:279347
TITLE: Preparation of hydrophilic sulfonamide derivatives as inhibitors of protein jun kinases
INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkinstall, Stephen
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193267	A1	20020403	EP 2000-810886	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2421417	AA	20020411	CA 2001-2421417	20010927
WO 2002028856	A1	20020411	WO 2001-IB1771	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087990	A5	20020415	AU 2001-87990	20010927
EP 1322641	A1	20030702	EP 2001-967621	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510772	T2	20040408	JP 2002-532439	20010927
US 2004077632	A1	20040422	US 2003-381200	20030910
PRIORITY APPLN. INFO.:			EP 2000-810886 A	20000927
			WO 2001-IB1771 W	20010927

OTHER SOURCE(S): MARPAT 136:279347
GI



I



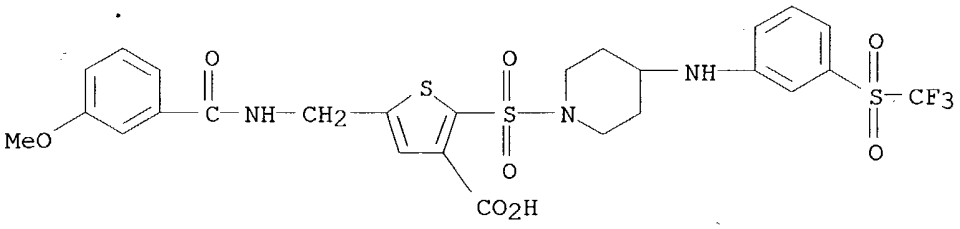
II

AB Title compds. I [Ar1= (un)substituted (hetero)aryl; Ar2 = (hetero)aryl group substituted with at least one hydrophilic substituent; X = O, S, preferably O; R1 = H, alkyl,or forms a 5-6-membered ring with Ar1; n = 0-5; Y = (un)substituted 4-12-membered saturated cyclic or bicyclic alkyl containing at least one nitrogen atom, whereby one nitrogen atom within said ring is forming a bond with the sulfonyl group] were prepared For instance, 5-((Diallylamino)methyl)thiophene-2-sulfonyl chloride (preparation given) was treated with 1,4-dioxa-8-azaspiro[4.5]decane to give the corresponding sulfonamide and subsequently converted to the 3-carboethoxy-thiophene derivative (THF, -78°C → -100°C, t-BuLi, EtO2CCl). Deallylation, acylation with 3-methoxybenzoyl chloride, ketal hydrolysis, reductive amination with 3-(trifluoromethylsulfonyl)aniline and saponification provided II in 8 steps in overall yield of 2.5%. I are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. II had IC50 = 0.01 μM for protein jun kinase 3 (JNK3). I are useful for the treatment of, e.g., neuronal disorders including epilepsy, Alzheimer's disease, Huntington's disease, Parkinson's disease, retinal diseases, spinal cord injury, etc.

IT **406486-95-1P**, 5-[[[3-Methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

RN 406486-95-1 CAPLUS

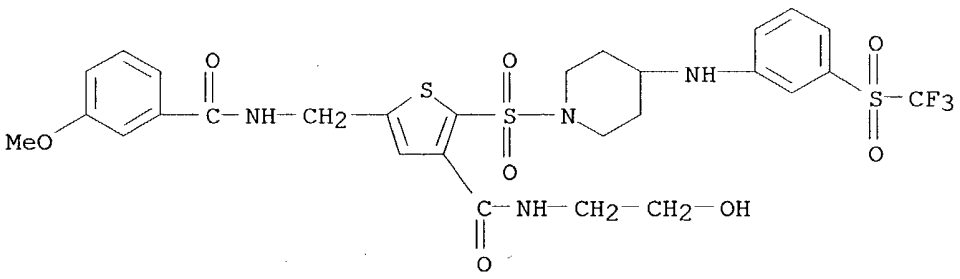
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



IT **406487-01-2P**, N-[2-Hydroxyethyl]-5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxamide **406487-02-3P**, N-[[4-[Hydroxymethyl]-5-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide **406487-03-4P**, 5-[[[3-Methoxybenzoyl]amino]methyl]-2-[[4-[octylamino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylic acid **406487-04-5P**, N-[[4-[Hydrazinocarbonyl]-5-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide **406487-05-6P**, 5-[[[3-Methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxamide **406487-06-7P**, N-[2-[Dimethylamino]ethyl]-5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

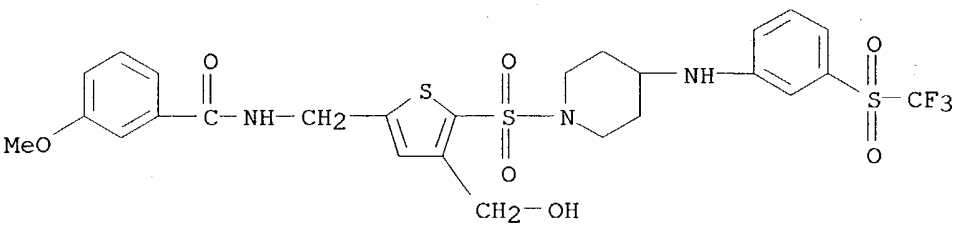
RN 406487-01-2 CAPLUS

CN 3-Thiophenecarboxamide, N-(2-hydroxyethyl)-5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

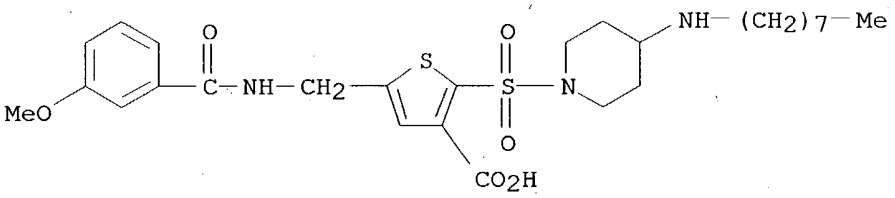


RN 406487-02-3 CAPLUS

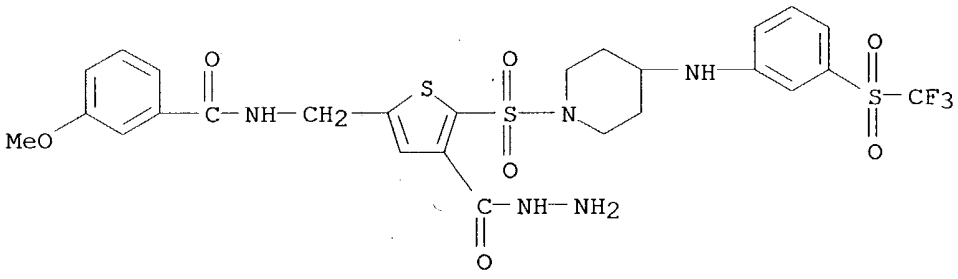
CN Benzamide, N-[[4-(hydroxymethyl)-5-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-3-methoxy- (9CI) (CA INDEX NAME)



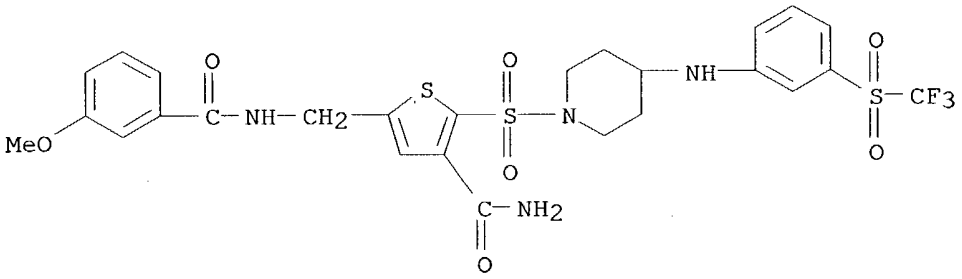
RN 406487-03-4 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-(octylamino)-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



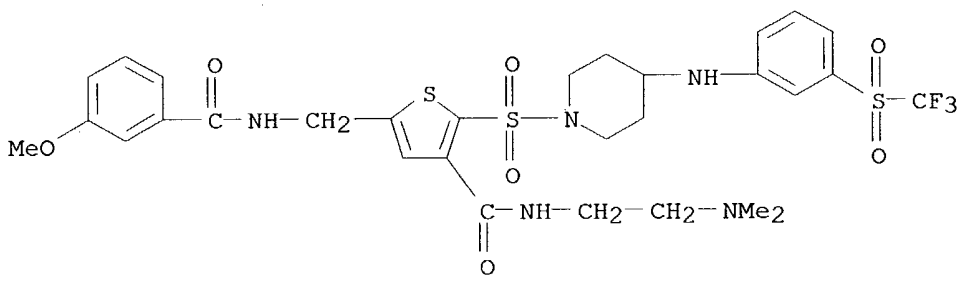
RN 406487-04-5 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-, hydrazide (9CI) (CA INDEX NAME)



RN 406487-05-6 CAPLUS
CN 3-Thiophenecarboxamide, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)

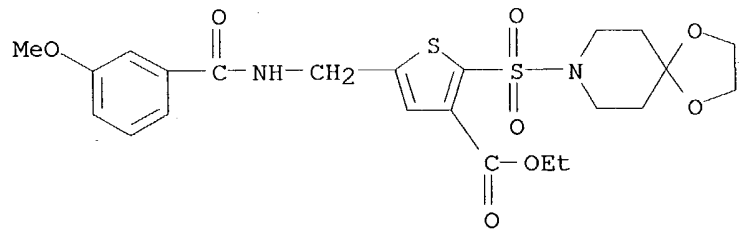


RN 406487-06-7 CAPLUS
CN 3-Thiophenecarboxamide, N-[2-(dimethylamino)ethyl]-5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



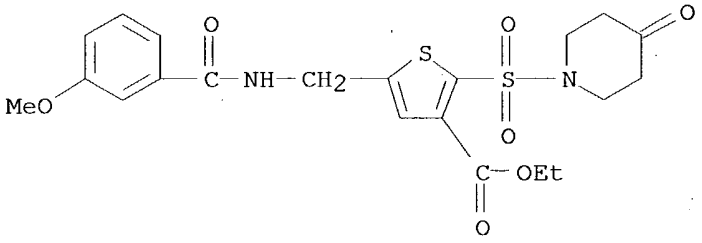
IT **406486-98-4P**, 3-Ethoxycarbonyl-2-[[1,4-dioxa-8-azaspiro[4.5]dec-8-yl]sulfonyl]-5-[[[3-methoxybenzoyl]amino]methyl]thiophene
406486-99-5P, Ethyl 5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-oxopiperidin-1-yl]sulfonyl]thiophene-3-carboxylate **406487-00-1P**, Ethyl 5-[[[3-methoxybenzoyl]amino]methyl]-2-[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thiophene-3-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

RN 406486-98-4 CAPLUS
CN 3-Thiophenecarboxylic acid, 2-(1,4-dioxa-8-azaspiro[4.5]dec-8-ylsulfonyl)-5-[[(3-methoxybenzoyl)amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

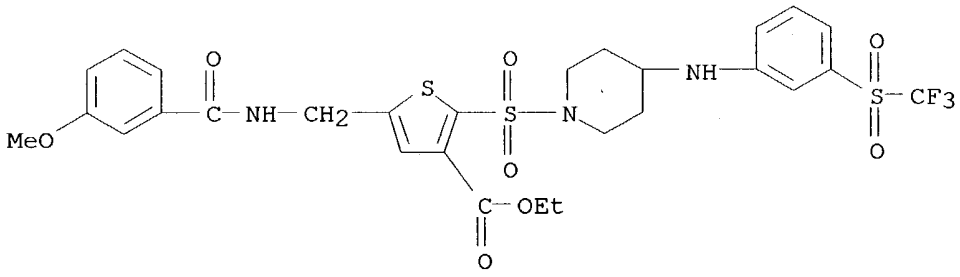


RN 406486-99-5 CAPLUS

CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[(4-oxo-1-piperidinyl)sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 406487-00-1 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[(3-methoxybenzoyl)amino]methyl]-2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 24 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:253015 CAPLUS
DOCUMENT NUMBER: 136:279217
TITLE: Pharmaceutically active benzsulfonamides as inhibitors of JNK proteins
INVENTOR(S): Halazy, Serge
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193256	A1	20020403	EP 2000-810888	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2420568	AA	20020404	CA 2001-2420568	20010927
WO 2002026711	A1	20020404	WO 2001-IB1773	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087992	A5	20020408	AU 2001-87992	20010927
EP 1320526	A1	20030625	EP 2001-967623	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523475	T2	20040805	JP 2002-531095	20010927
US 2004053917	A1	20040318	US 2003-381197	20030908
PRIORITY APPLN. INFO.:			EP 2000-810888 A	20000927
			WO 2001-IB1773 W	20010927

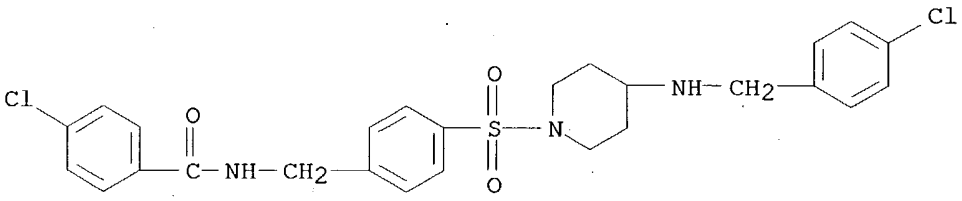
OTHER SOURCE(S): MARPAT 136:279217

AB The present invention is related to benzsulfonamide derivs. Ar1C(:X)NR1(CH2)nAr2SO2Y [I; Ar1 = (un)substituted aryl, heteroaryl; Ar2 = (un)substituted Ph; X = O, S, preferably O; R1 = H, alkyl, or R1 forms (un)substituted 5-6 membered (un)saturated ring with Ar1; n = 0-5, preferably between 1-3 and most preferred 1; Y = (un)substituted 4-12 membered saturated (bi)cyclic alkyl containing at least one N atom, whereby one N atom within said ring is forming a bond with the sulfonyl group thus providing a sulfonamide] notably for use as pharmaceutically active compds., as well as to pharmaceutical formulations containing such benzsulfonamide derivs. Said benzsulfonamide derivs. I are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. The present invention is furthermore related to novel benzsulfonamide derivs. as well as to methods of their preparation (no phys. data for intermediates and final compds. given).

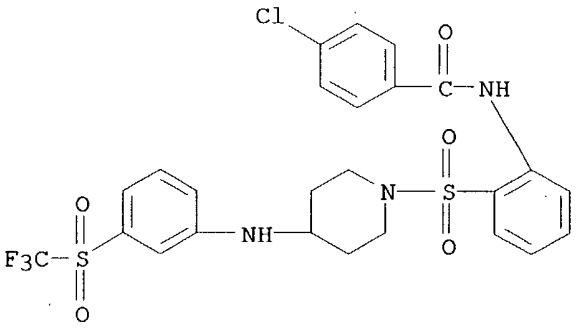
IT **406218-86-8P 406218-87-9P 406218-88-0P**
406218-89-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(benzsulfonamides as JNK2 and JNK3 inhibitors for treatment of neuronal disorders, autoimmune diseases, cancer, and cardiovascular disease)

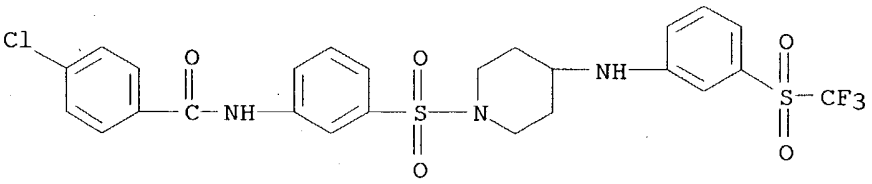
RN 406218-86-8 CAPLUS
CN Benzamide, 4-chloro-N-[[4-[[4-[[(4-chlorophenyl)methyl]amino]-1-piperidinyl]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



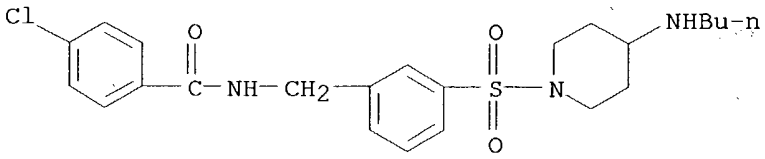
RN 406218-87-9 CAPLUS
CN Benzamide, 4-chloro-N-[2-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 406218-88-0 CAPLUS
CN Benzamide, 4-chloro-N-[3-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 406218-89-1 CAPLUS
CN Benzamide, N-[[3-[[4-(butylamino)-1-piperidinyl]sulfonyl]phenyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 25 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:107089 CAPLUS
DOCUMENT NUMBER: 136:167182
TITLE: Novel cdc25 phosphatase inhibitors
INVENTOR(S): Prevost, Gregoire; Brezak Pannetier, Marie-Christine; Galcera Contour, Marie-Odile; Thurieau, Christophe; Goubin-Grammatica, Francoise; Ducommun, Bernard; Lanco, Christophe
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (SCRAS), Fr.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

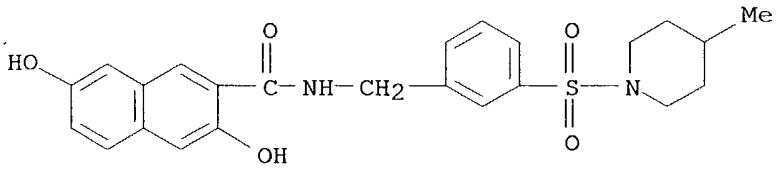
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009686	A2	20020207	WO 2001-FR2443	20010726
WO 2002009686	A3	20031009		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2812198	A1	20020201	FR 2000-9900	20000728
CA 2417262	AA	20020207	CA 2001-2417262	20010726
EP 1370255	A2	20031217	EP 2001-960837	20010726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012824	A	20040210	BR 2001-12824	20010726
JP 2004506618	T2	20040304	JP 2002-515239	20010726
NO 2003000421	A	20030319	NO 2003-421	20030127
US 2004034103	A1	20040219	US 2003-343171	20030127
PRIORITY APPLN. INFO.:			FR 2000-9900 A	20000728
			WO 2001-FR2443 W	20010726

OTHER SOURCE(S): MARPAT 136:167182

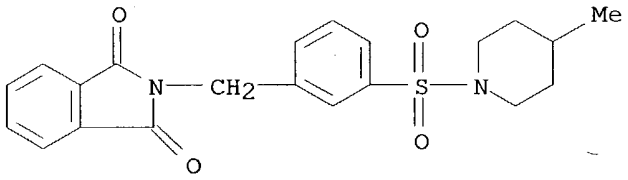
AB Novel cdc25 phosphatase inhibitors, particularly cdc25-C inhibitors, A-B-N(W)-X-Y [A = (un)substituted Ph, 2-naphthyl; B = CO, NHCO(CH2)n, (CH2)p; n = 0-3; p = 0, 1; W = H, alkyl; X = (CH2)q, (CH2)qNH, CO(CH2)r; q = 1-6; r = 0-6; N(W)X = (un)substituted diazacycloalkyl; Y = (un)substituted Ph] were prepared Thus, 4-O2NC6H4CH2CH2NMeCH2C6H3(NMe2)OH-5,2 was obtained from 4-O2NC6H4CH2CH2NHMe and 5,2-Me2N(HO)C6H3CHO by reductive alkylation. This compound had an IC50 < 100μM for inhibition of recombinant cdc25-C phosphatase and for inhibition of Mia-Paca2 cell proliferation.

IT **396074-15-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol and naphthol derivs. as inhibitors of cdc25-C phosphatase)

RN 396074-15-0 CAPLUS
CN 2-Naphthalenecarboxamide, 3,7-dihydroxy-N-[[3-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **396074-21-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenol and naphthol derivs. as inhibitors of cdc25-C phosphatase)
RN 396074-21-8 CAPLUS
CN Piperidine, 1-[[3-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



L39 ANSWER 26 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:72070 CAPLUS
DOCUMENT NUMBER: 136:134677
TITLE: Substituted 2-(S)-hydroxy-3-[(piperidin-4-yl-methyl)amino]propyl ethers and substituted 2-aryl-2-(R)-hydroxy-1-(piperidin-4-yl-methyl)ethylamines as beta-3 adrenergic receptor agonists, antidiabetics, and antiobesity agents
INVENTOR(S): Steffan, Robert John; Ashwell, Mark Anthony; Pelletier, Jeffrey Claude; Solvibile, William Ronald; Matelan, Edward Martin
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 216 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006255	A2	20020124	WO 2001-US22363	20010716
WO 2002006255	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002037907	A1	20020328	US 2001-903738	20010712
US 6506901	B2	20030114		
PRIORITY APPLN. INFO.:			US 2000-218753P P	20000717
OTHER SOURCE(S):	MARPAT 136:134677			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides title compds. I and their pharmaceutically acceptable salts [wherein A = OCH2, bond; R = (un)substituted aryl or certain N/O/S heterocyclyl; R1 = (cyclo)alkyl, alkoxy, (cyclo)alkylamino, (un)substituted aryl, arylamino, arylalkyl, or heterocyclyl; Z = bond, SO2, CO]. I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension, and frequent urination. The compds. are particularly useful in the treatment or inhibition of type II diabetes. They are also useful for increasing lean meat deposition and/or increasing the lean meat to fat ratio in animals, particularly mammals. Approx. 240 individual compds. and addnl. salts were prepared by either standard or combinatorial methods. For instance, invention compound II was prepared by reaction of the (S)-isomeric epoxide III with the corresponding amine. II had an EC50 of 0.001 μM against

cloned human β3 adrenoceptors in vitro, with a maximal response comparable to isoproterenol.

IT **392690-00-5P**, N-[[5-[[4-[[[(2S)-3-[4-(Benzyloxy)phenoxy]-2-hydroxypropyl]amino]methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide **392690-02-7P**, N-[[5-[[4-[[[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide **392690-04-9P**, N-[[5-[[4-[[[(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropyl]amino]methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide **392690-06-1P**, N-[[5-[[4-[[[(2S)-2-Hydroxy-3-[(2-oxo-2,3-dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide **392690-08-3P**, N-[[5-[[4-[[[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]benzamide

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

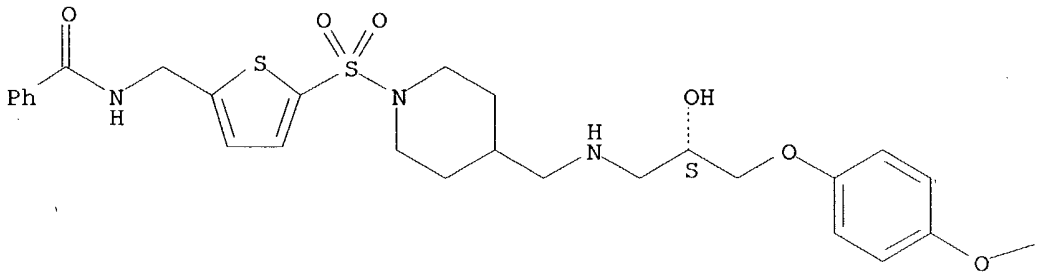
(drug candidate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as β3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 392690-00-5 CAPLUS

CN Benzamide, N-[[5-[[4-[[[(2S)-2-hydroxy-3-[4-(phenylmethoxy)phenoxy]propyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

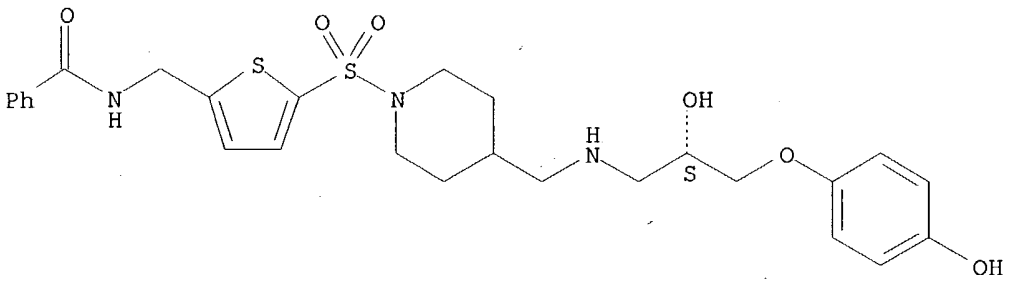


PAGE 1-B

RN 392690-02-7 CAPLUS

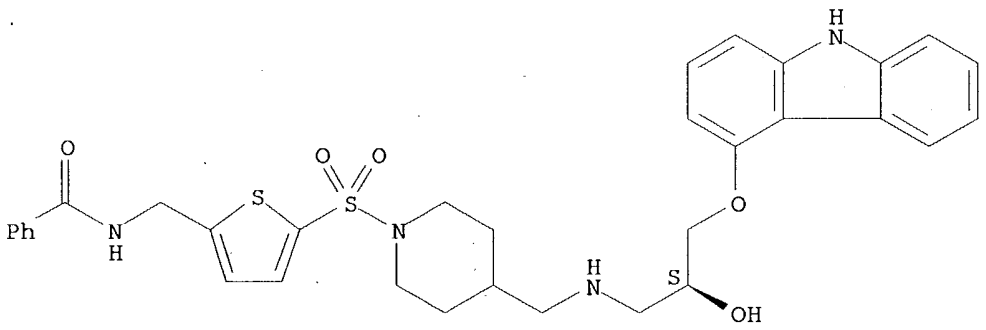
CN Benzamide, N-[[5-[[4-[[[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



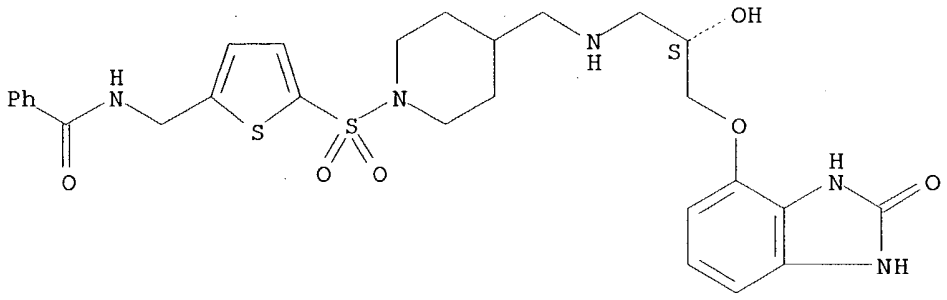
RN 392690-04-9 CAPLUS
CN Benzamide, N-[[5-[[4-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



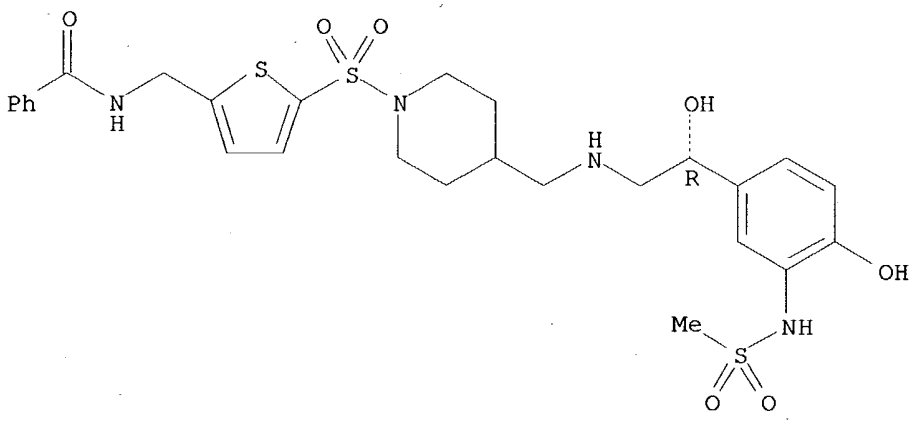
RN 392690-06-1 CAPLUS
CN Benzamide, N-[[5-[[4-[[[(2S)-3-[(2,3-dihydro-2-oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392690-08-3 CAPLUS
CN Benzamide, N-[[5-[[4-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

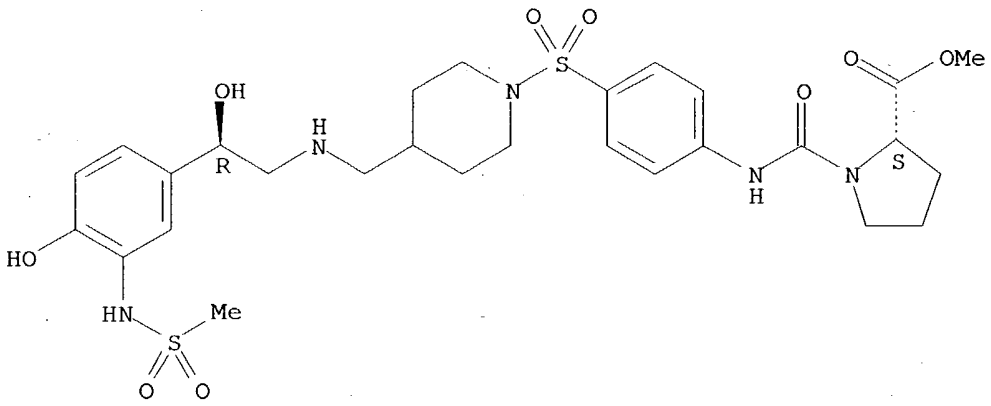


IT **392689-34-8P**, (2S)-1-[[4-[[4-[[[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]piperidin-1-yl]sulfonyl]anilino]carbonyl]pyrrolidine-2-carboxylic acid methyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as β3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 392689-34-8 CAPLUS

CN L-Proline, 1-[[[4-[[4-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]-1-piperidinyl]sulfonyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



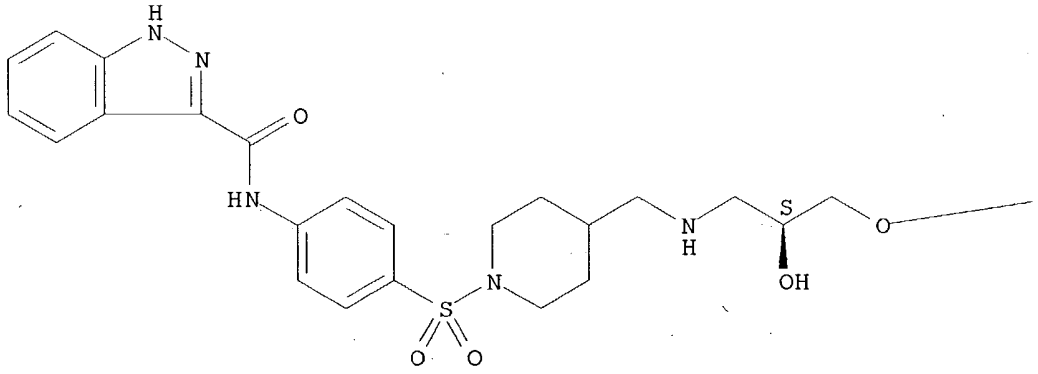
IT **392689-15-5P**, 1H-Indazole-3-carboxylic acid[4-[4-[[[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]methyl]piperidine-1-sulfonyl]phenyl]amide **392689-17-7P**, 1-[4-[4-[[[(2S)-2-Hydroxy-3-(4-hydroxyphenoxy)propyl]amino]methyl]piperidine-1-sulfonyl]phenyl]-3-methylimidazolidin-2-one **392689-35-9P**, (2S)-1-[[4-[[4-[[[(2R)-2-Hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]pi

peridin-1-yl]sulfonyl]anilino]carbonyl]pyrrolidine-2-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as β3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

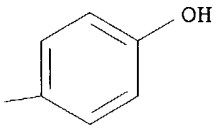
RN 392689-15-5 CAPLUS
CN 1H-Indazole-3-carboxamide, N-[4-[[4-[[[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]methyl]-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

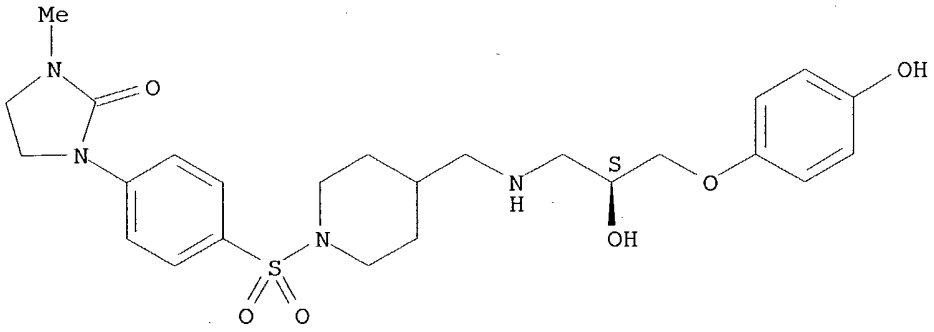


PAGE 1-B



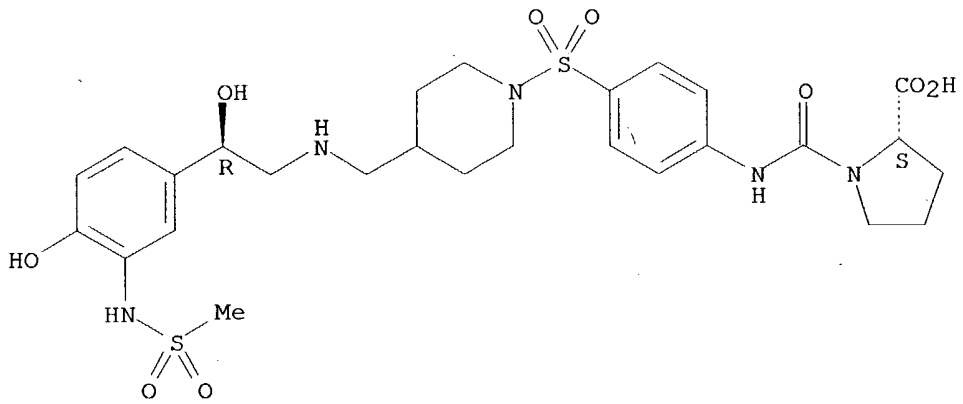
RN 392689-17-7 CAPLUS
CN 4-Piperidinemethanamine, N-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propyl]-1-[[4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392689-35-9 CAPLUS
CN L-Proline, 1-[[[4-[[4-[[[(2R)-2-hydroxy-2-[4-hydroxy-3-[(methylsulfonyl)amino]phenyl]ethyl]amino]methyl]-1-piperidinyl]sulfonyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

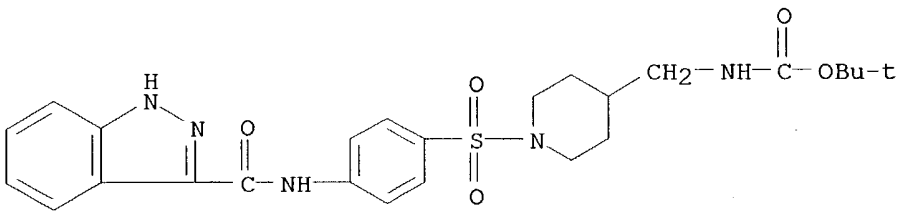
Absolute stereochemistry.



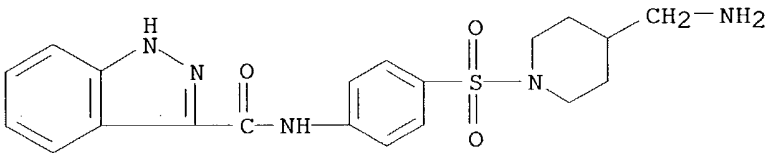
IT **392691-79-1P**, [[1-[[4-[(1H-Indazol-3-ylcarbonyl)amino]phenyl]sulfonyl]-4-piperidinyl]methyl]carbamic acid tert-butyl ester **392691-80-4P**, 1H-Indazole-3-carboxylic acid [4-[(4-(aminomethyl)-1-piperidinyl]sulfonyl)phenyl]amide **392691-84-8P**, [[1-[4-(3-Methyl-2-oxoimidazolidin-1-yl)benzenesulfonyl]piperidin-4-yl]methyl]carbamic acid tert-butyl ester **392691-85-9P**, 1-[4-[4-(Aminomethyl)piperidine-1-sulfonyl]phenyl]-3-methylimidazolidin-2-one **392692-13-6P**, (2S)-1-[[4-(4-Dimethoxymethylpiperidine-1-sulfonyl)phenyl]carbamoyl]pyrrolidine-2-carboxylic acid methyl ester **392692-14-7P**, (2S)-1-[[4-(4-Formylpiperidine-1-sulfonyl)phenyl]carbamoyl]pyrrolidine-2-carboxylic acid methyl ester **392692-46-5P**, 1H-Indazole-3-carboxylic acid [4-[[4-(aminomethyl)-1-piperidinyl]sulfonyl]phenyl]amide formate salt
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as β3 adrenergic receptor agonists, antidiabetics, and antiobesity agents)

RN 392691-79-1 CAPLUS
CN Carbamic acid, [[1-[[4-[(1H-indazol-3-ylcarbonyl)amino]phenyl]sulfonyl]-4-

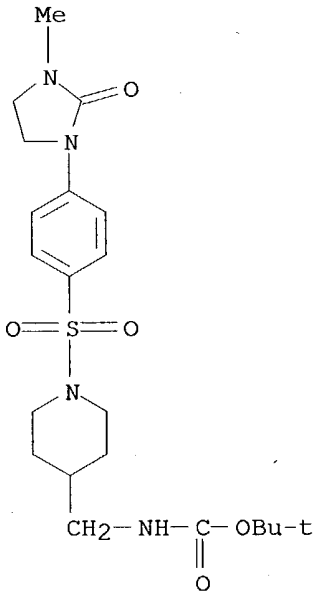
piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



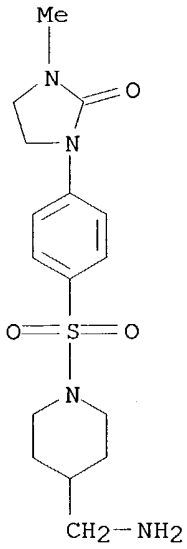
RN 392691-80-4 CAPLUS
CN 1H-Indazole-3-carboxamide, N-[4-[[4-(aminomethyl)-1-piperidinyl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 392691-84-8 CAPLUS
CN Carbamic acid, [[1-[[4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]sulfonyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

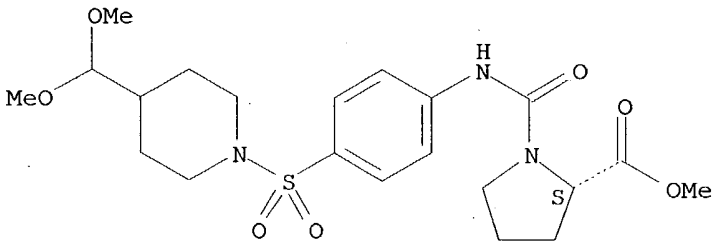


RN 392691-85-9 CAPLUS
CN 4-Piperidinemethanamine, 1-[[4-(3-methyl-2-oxo-1-imidazolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



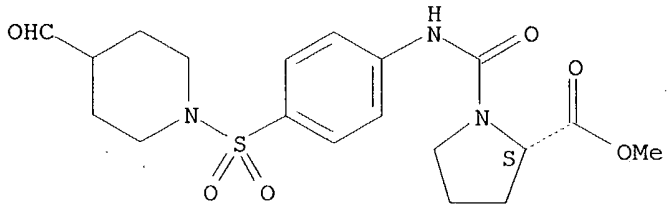
RN 392692-13-6 CAPLUS
CN L-Proline, 1-[[[4-[[4-(dimethoxymethyl)-1-piperidinyl]sulfonyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392692-14-7 CAPLUS
CN L-Proline, 1-[[[4-[(4-formyl-1-piperidinyl)sulfonyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

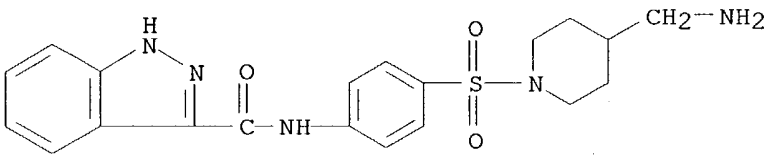
Absolute stereochemistry.



RN 392692-46-5 CAPLUS
CN Formic acid, compd. with N-[4-[[4-(aminomethyl)-1-piperidinyl]sulfonyl]phenyl]-1H-indazole-3-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 392691-80-4
CMF C20 H23 N5 O3 S



CM 2

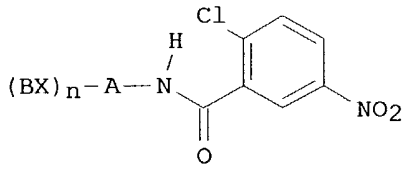
CRN 64-18-6
CMF C H2 O2

L39 ANSWER 27 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:816614 CAPLUS
DOCUMENT NUMBER: 135:357944
TITLE: Preparation of nitrophenylcarboxamide derivatives as peroxisome proliferator-activated receptor (PPAR) γ modulators
INVENTOR(S): Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Fukuda, Chie
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083427	A1	20011108	WO 2001-JP3655	20010426
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2407587	AA	20011108	CA 2001-2407587	20010426
AU 2001052612	A5	20011112	AU 2001-52612	20010426
EP 1277729	A1	20030122	EP 2001-925984	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2001010428	A	20030617	BR 2001-10428	20010426
JP 2002332266	A2	20021122	JP 2001-130983	20010427
ZA 2002008465	A	20040212	ZA 2002-8465	20021018
US 2003134859	A1	20030717	US 2002-278387	20021023
NO 2002005142	A	20021227	NO 2002-5142	20021025

PRIORITY APPLN. INFO.:
JP 2000-129565 A 20000428
JP 2001-60366 A 20010305
WO 2001-JP3655 W 20010426

OTHER SOURCE(S): MARPAT 135:357944
GI



I

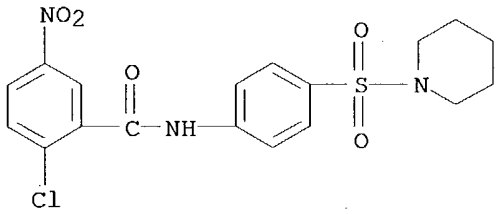
AB The title compds. I [A represents Ph, etc.; B represents aryl, etc.; X represents oxygen, etc.; and n is 0 or 1] are prepared I are remedies for involutional osteoporosis which inhibit the accelerated differentiation of adipocytes and promote the formation and differentiation of osteoblasts from stem cells; I are also remedies for diabetes. In an in vitro test for PPAR γ modulating activity, N-[4-(4-methylpiperazin-1-ylcarbonyl)phenyl]-(2-chloro-5-nitrophenyl)carboxamide showed IC50 value of 0.6 nM.

IT **372095-22-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrophenylcarboxamide derivs. as PPAR γ modulators)

RN 372095-22-2 CAPLUS

CN Benzamide, 2-chloro-5-nitro-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 28 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:396661 CAPLUS
DOCUMENT NUMBER: 135:19547
TITLE: Preparation of sulfonamides and sulfinamides as NPY Y5 antagonists
INVENTOR(S): Kawanishi, Yasuyuki; Takenaka, Hideyuki; Hanasaki, Kohji; Okada, Tetsuo
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001037826	A1	20010531	WO 2000-JP8197	20001121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2389681	AA	20010531	CA 2000-2389681	20001121
AU 2001014186	A5	20010604	AU 2001-14186	20001121
BR 2000015843	A	20020827	BR 2000-15843	20001121
EP 1249233	A1	20021016	EP 2000-976387	20001121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2002003306	A	20030425	ZA 2002-3306	20020425
US 6699891	B1	20040302	US 2002-111981	20020501
NO 2002002481	A	20020726	NO 2002-2481	20020524
US 2004176462	A1	20040909	US 2003-747034	20031230
US 2004180964	A1	20040916	US 2003-747359	20031230

PRIORITY APPLN. INFO.:
JP 1999-336469 A 19991126
JP 1999-353786 A 19991214
WO 2000-JP8197 W 20001121
US 2002-111981 A3 20020501

OTHER SOURCE(S): MARPAT 135:19547
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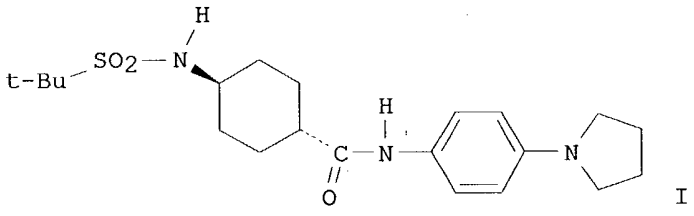


I

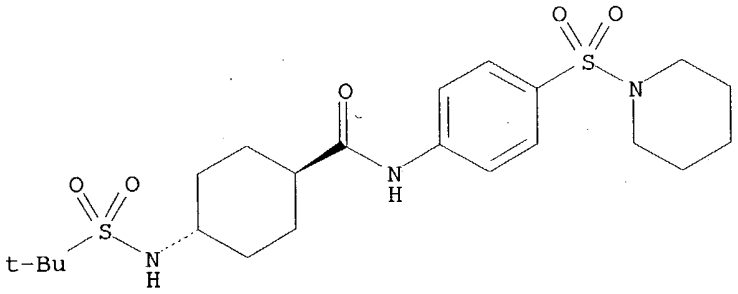
AB The title compds. R1S(O)nN(R2)XYZ [R1 represents lower alkyl, cycloalkyl, etc.; R2 represents hydrogen, lower alkyl, etc.; n is 1 or 2; X represents

lower alkylene, lower alkenylene, arylene, cycloalkylene, etc.; Y represents CONR7, CSNR7, NR7CO, NR7CS, etc. (wherein R7 represents hydrogen or lower alkyl); and Z represents lower alkyl, an optionally substituted hydrocarbon ring, an optionally substituted heterocycle, etc.] are prepared In an in vitro test for affinity for the neuropeptide Y5 receptors, the title compound I showed the IC50 value of 0.4 nM. Formulations are given.

IT **342577-45-1P 342577-46-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides and sulfinamides as NPY Y5 antagonists)

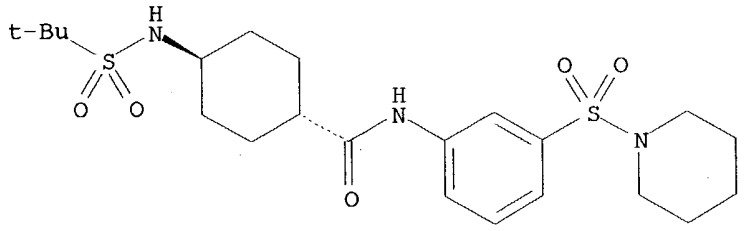
RN 342577-45-1 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(1,1-dimethylethyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 342577-46-2 CAPLUS
CN Cyclohexanecarboxamide, 4-[[(1,1-dimethylethyl)sulfonyl]amino]-N-[3-(1-piperidinylsulfonyl)phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



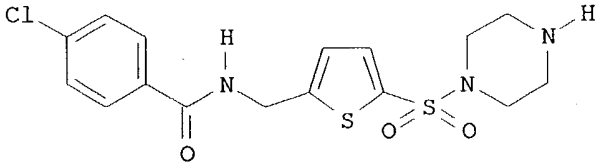
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

application

L39 ANSWER 29 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246567 CAPLUS
DOCUMENT NUMBER: 134:280858
TITLE: Preparation of N-thienylsulfonylpiperazines and analogs as c-Jun N-terminal kinase inhibitors
INVENTOR(S): Arkinstall, Stephen
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1088821	A1	20010404	EP 1999-810869	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2379575	AA	20010405	CA 2000-2379575	20000928
WO 2001023378	A1	20010405	WO 2000-IB1380	20000928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014641	A	20020611	BR 2000-14641	20000928
EP 1218374	A1	20020703	EP 2000-960921	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200789	T2	20020821	TR 2002-200200789	20000928
JP 2003510319	T2	20030318	JP 2001-526530	20000928
EE 200200165	A	20030415	EE 2002-165	20000928
NZ 517424	A	20040130	NZ 2000-517424	20000928
ZA 2002001509	A	20030224	ZA 2002-1509	20020222
BG 106527	A	20030228	BG 2002-106527	20020318
NO 2002001530	A	20020326	NO 2002-1530	20020326
PRIORITY APPLN. INFO.:			EP 1999-810869 A	19990928
			WO 2000-IB1380 W	20000928

OTHER SOURCE(S): MARPAT 134:280858
GI



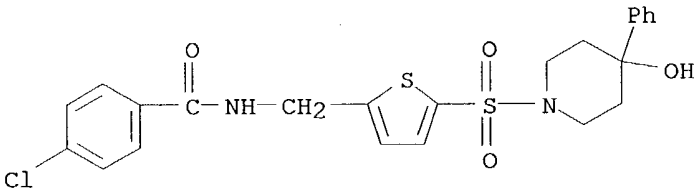
II

AB RC(:X)NR1(CH2)nZSO2R2 [I; R = (un)substituted (hetero)aryl; R1 = H or

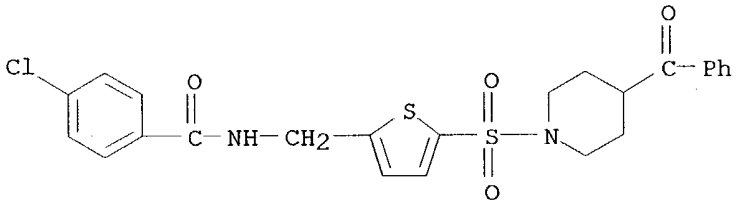
(un)substituted alkyl; RR1 = atoms to complete a ring; R2 = N-attached (poly)aza(bi)cycloalkyl; X = O or S; Z = (un)substituted (hetero)aryene; n = 0-5] were prepared Thus, 2-thiophenemethanamine was amidated by 4-ClC6H4COCl and the chlorosulfonated product amidated by piperazine to give title compound II. Data for biol. activity of I were given.

IT **332415-52-8P 332415-54-0P 332415-57-3P**
332415-59-5P 332415-61-9P 332415-65-3P
332415-75-5P 332415-79-9P 332416-11-2P
332416-15-6P 332416-22-5P 332416-25-8P
332416-27-0P 332416-32-7P 332416-33-8P
332416-34-9P 332416-35-0P 332416-40-7P
332416-41-8P 332421-97-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-thienylsulfonylpiperazines and analogs as c-Jun N-terminal kinase inhibitors)

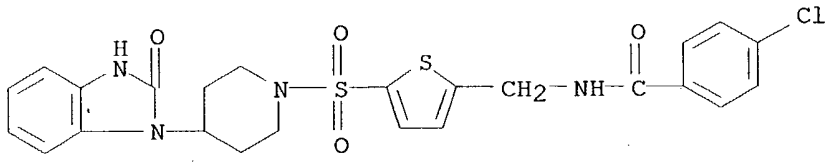
RN 332415-52-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[(4-hydroxy-4-phenyl-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



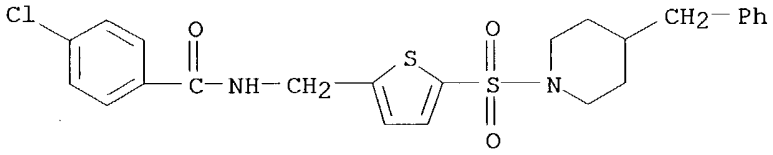
RN 332415-54-0 CAPLUS
CN Benzamide, N-[[5-[(4-benzoyl-1-piperidinyl)sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



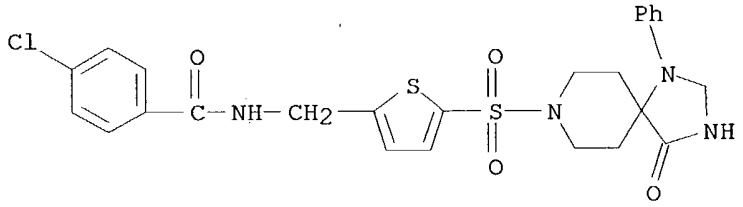
RN 332415-57-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



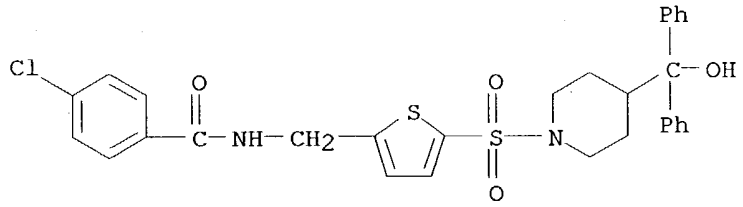
RN 332415-59-5 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(phenylmethyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



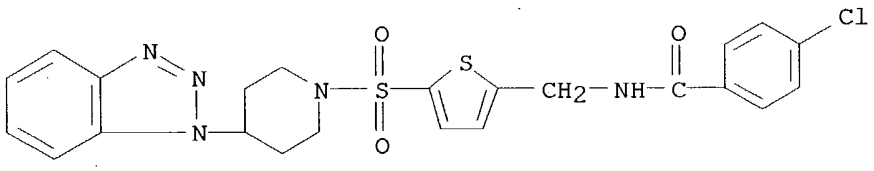
RN 332415-61-9 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-8-yl)sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



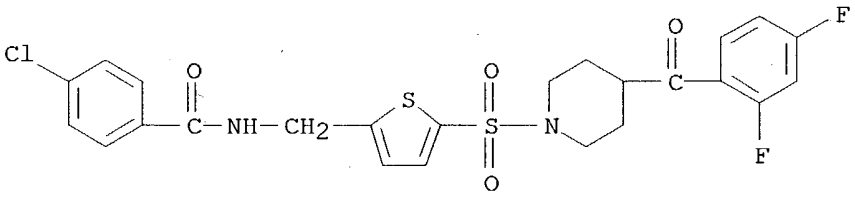
RN 332415-65-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(hydroxydiphenylmethyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



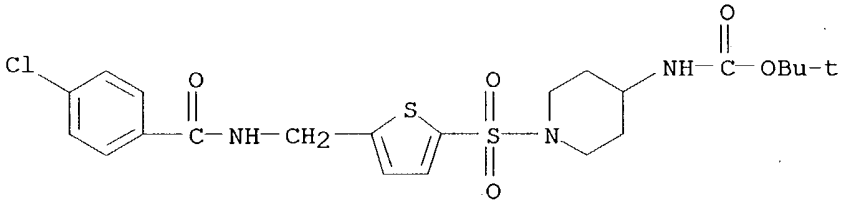
RN 332415-75-5 CAPLUS
CN Benzamide, N-[[5-[[4-(1H-benzotriazol-1-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



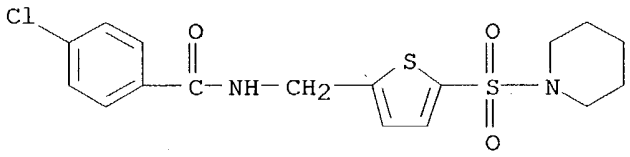
RN 332415-79-9 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(2,4-difluorobenzoyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



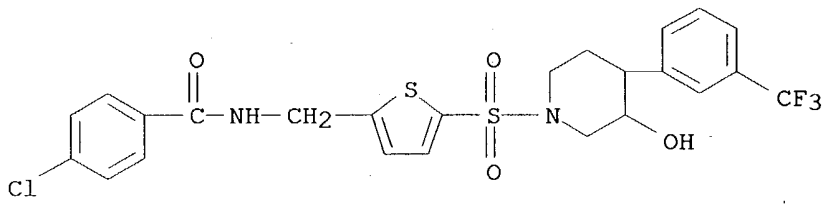
RN 332416-11-2 CAPLUS
CN Carbamic acid, [1-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



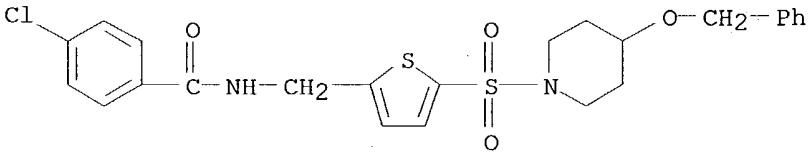
RN 332416-15-6 CAPLUS
CN Benzamide, 4-chloro-N-[[5-(1-piperidinylsulfonyl)-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



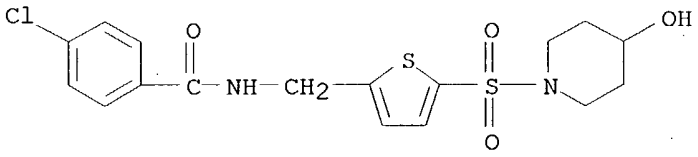
RN 332416-22-5 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[3-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



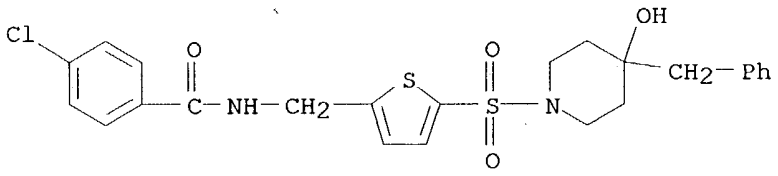
RN 332416-25-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(phenylmethoxy)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



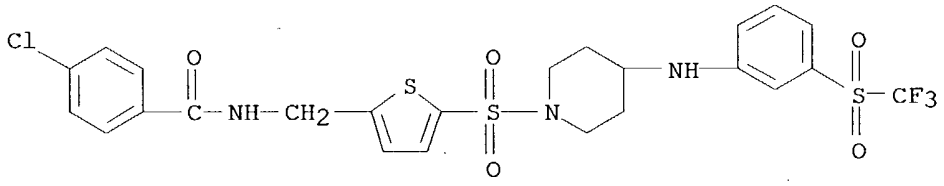
RN 332416-27-0 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[(4-hydroxy-1-piperidinyl)sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



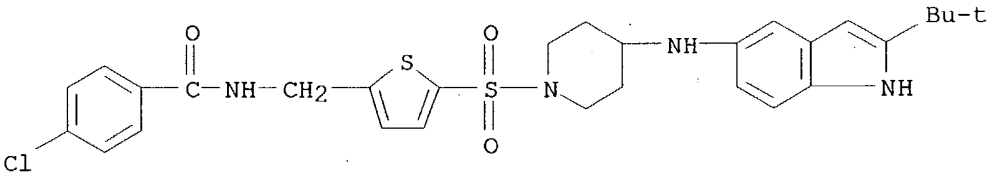
RN 332416-32-7 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



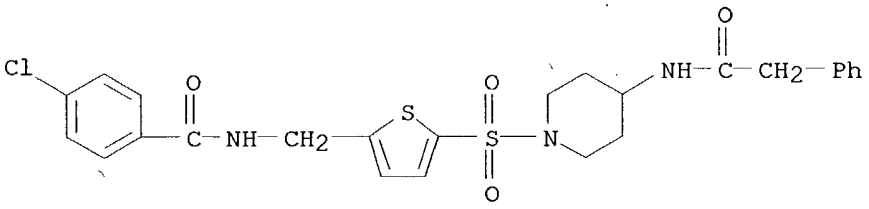
RN 332416-33-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[3-[(trifluoromethyl)sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



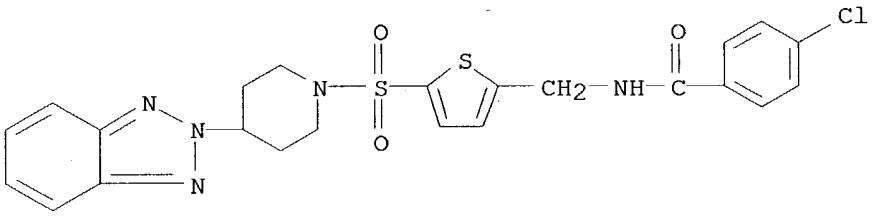
RN 332416-34-9 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-[[2-(1,1-dimethylethyl)-1H-indol-5-yl]amino]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



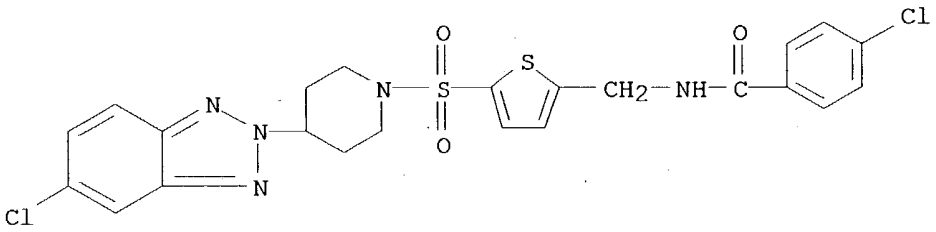
RN 332416-35-0 CAPLUS
CN Benzeneacetamide, N-[1-[[5-[[(4-chlorobenzoyl)amino]methyl]-2-thienyl]sulfonyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



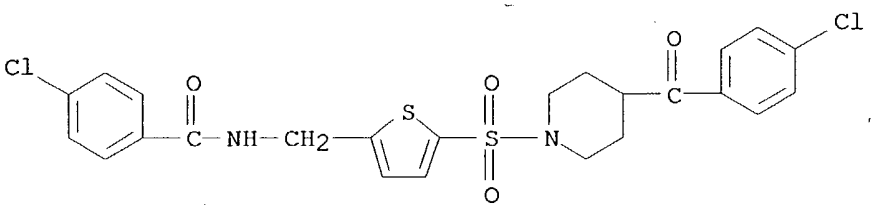
RN 332416-40-7 CAPLUS
CN Benzamide, N-[[5-[[4-(2H-benzotriazol-2-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 332416-41-8 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(5-chloro-2H-benzotriazol-2-yl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)



RN 332421-97-3 CAPLUS
CN Benzamide, 4-chloro-N-[[5-[[4-(4-chlorobenzoyl)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

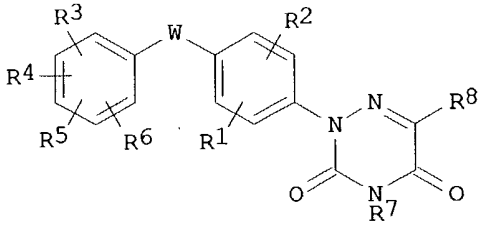


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 30 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:246566 CAPLUS
DOCUMENT NUMBER: 134:280864
TITLE: Preparation of 6-azauracil derivatives as thyroid receptor ligands
INVENTOR(S): Dow, Robert Lee; Chiang, Yuan-Ching Phoebe; Estep, Kimberly Gail
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 153 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1088819	A2	20010404	EP 2000-308112	20000918
EP 1088819	A3	20010411		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001114768	A2	20010424	JP 2000-282882	20000919
US 6787652	B1	20040907	US 2000-671668	20000927
CA 2321380	AA	20010330	CA 2000-2321380	20000928
BR 2000004539	A	20010417	BR 2000-4539	20000929
US 2004157844	A1	20040812	US 2004-763451	20040123
PRIORITY APPLN. INFO.:			US 1999-156842P	P 19990930
			US 2000-671668	A1 20000927

OTHER SOURCE(S): MARPAT 134:280864
GI



I

AB Title compds. [I; W = O, S, SO, SO2, NR30, CO, CH:CH, CH2, CHF, CF2, CH(OH); R1, R2 = H, halo, alkyl, cyano, OR12, CF3; R3 = H, halo, cyano, NO2, (substituted) alkyl, etc.; R4 = CR14R15R16, CONR19R20, aryl, heteroaryl, etc.; R3R4 = (CH2)b, Q(CH2)c, etc.; b = 3-7; c = 2-6; R5 = OR23; R4R5 = CR31:CR32NH, CR31:CR32S, etc.; R7 = H, alkyl, haloalkyl, (CH2)nCO2R9; n = 0-3; R8 = H, alkyl, CO2R9, CONR10R11; R9 = (substituted) alkyl, alkenyl, dialkenyl, cycloalkyl, aryl, heterocyclyl; R10, R11 = H, (substituted) alkyl, cycloalkyl, alkenyl, heterocyclyl; R10R11 = heterocyclyl; R12 = H, (substituted) alkyl; R14 = H, alkyl, OR34; R15 = H, alkyl; R14R15 = O; R16 = H, (substituted) alkyl, alkylcycloalkyl, alkylaryl, alkylheterocyclyl; R19, R20 = H, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, etc.; R23 = H, (substituted) alkyl, COR24; R24 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl; R30 = H, (substituted) alkyl, alkenyl, cycloalkyl, COR31, etc.; R31 = H, (substituted) alkyl, alkenyl,

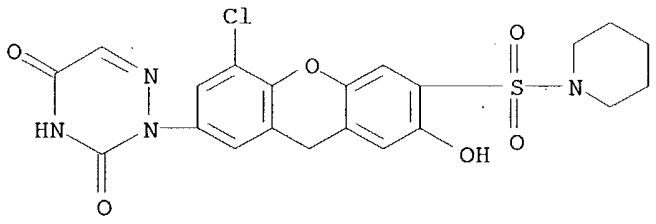
cycloalkyl, aryl, heteroaryl, etc.; R32 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl; R34 = (substituted) aryl, heterocyclyl, alkyl, alkenyl, cycloalkyl], were prepared for treatment of obesity, hyperlipidemia, thyroid disease, hypothyroidism, thyroid cancer, diabetes, atherosclerosis, hypertension, coronary heart disease, hypercholesteremia, depression, osteoporosis, cardiac arrhythmia, glaucoma and heart failure (no data). Thus, [[[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]hydrazono]cyanoacetyl]carbamic acid Et ester (preparation given) was heated with KOAc in HOAc at 120° for 5 h to give 2-[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]-3,5-dioxo-2,3,4,5-tetrahydro-1,2,4-triazine-6-carbonitrile.

IT **332927-26-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azauracil derivs. as thyroid receptor ligands)

RN 332927-26-1 CAPLUS

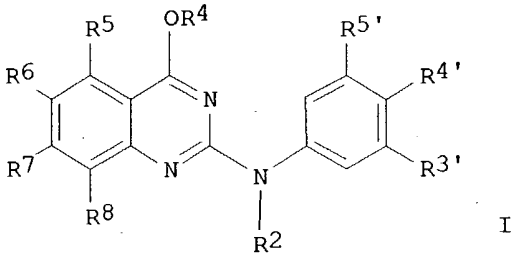
CN Piperidine, 1-[[5-chloro-7-(4,5-dihydro-3,5-dioxo-1,2,4-triazin-2(3H)-yl)-2-hydroxy-9H-xanthen-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 31 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228868 CAPLUS
DOCUMENT NUMBER: 134:252356
TITLE: Preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3
INVENTOR(S): Jacobs, Robert Toms; Folmer, James; Simpson, Thomas Richard; Chaudhari, Bipinchandra; Frazee, William Jackson; Davenport, Timothy Wayne
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001021598	A1	20010329	WO 2000-GB3555		20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1218358	A1	20020703	EP 2000-958907		20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003509501	T2	20030311	JP 2001-524977		20000918
US 6399603	B1	20020604	US 2000-668322		20000922
PRIORITY APPLN. INFO.:			US 1999-155623P	P	19990923
			WO 2000-GB3555	W	20000918

OTHER SOURCE(S): MARPAT 134:252356
GI



I

AB I (e.g. [2-[(3,4-dichlorophenyl)amino]-4-hydroxy-6-nitroquinazolin-8-yl]-N-[(4-fluorophenyl)methyl]carboxamide) or a pharmaceutically-acceptable salt thereof and methods of using such compds. for the treatment of various diseases and pharmaceutical compns. comprising such compds. are claimed.

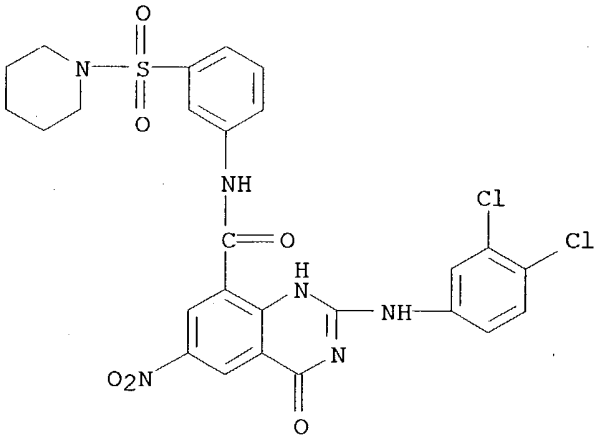
In I, R2 is H, acetyl or (C1-C5)alkyl. R4 is H, acetyl or (C1-C5)alkyl. R5, R6 and R7 are independently H, halogen, (C1-C2)alkyl, halo(C1-C2)alkyl, nitro and cyano. R8 is H, Ph, (C1-C6)alkyl, Ri, heterocycle, substituted heterocycle, -(CH2)mC(O)N-[(CH2)pRg]Rb, -(CH2)mN[(CH2)pRg]Rb, -CH:CHRC, halogen, -(CH2)mC(O)(CH2)mRo, -C(O)Rp, -(CH2)mC(O)O[(CH2)pRg], -(CH2)mN[(CH2)pRg]C(O)Rb, -(CH2)mOC(O)[(CH2)pRg], -CHORdORe, -CH2XRf, -S(O)2N[(CH2)pRg]Rb, -N[(CH2)pRg]S(O)2Rb, -S(O)2N[(CH2)pRg]Rb, -C(O)H, allyl and 4-hydroxybut-1-en-4-yl. R3', R4' and R5' are independently H, halogen, (C1-C4)alkyl, (C1-C4)alkoxy and halo(C1-C4)alkyl; wherein at least one of R5, R6, R7, R8, R3' and R5' is not H; and R4' is not equal to R7. Rb is H, (C1-C4)alkyl or substituted (C1-C4)alkyl. Rc is H, Ph, Ri, heterocycle, substituted heterocycle, -CO2Rb, -C(O)NRbRb, -S(O)n-Rf, 2-hydroxyisopropyl and cyano. Rd and Re are independently (C1-C4)alkyl; or Rd and Re together are -CH2CH2- or -CH2CH2CH2-. Rf is (C1-C4)alkyl, vinyl, -CH2CO2Rb, Ph or benzyl. Rg is (C1-C10)alkyl, substituted (C1-C10)alkyl, Ph, Ri, heterocycle, substituted heterocycle, -ORb, -NRbRb, -NRjRo, -N(Rj)SO2Rj, -CO2Rb, -C(O)NRjRj, -SO2phenyl and 2-oxopyrrolid-1-yl; or Rg and Rb together form -CH2CH2N(Rj)CH2CH2-, -(CH2)4-, -CH(Rh)CH2CH2CH2-, or -CH2CH2OCH2CH2-. Rh is -CO2Rf or -CH2O-Ph. Ri is Ph, containing 1-3 substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Rj)C(O)Rj, -NRjC(O)halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rj is H or (C1-C6)alkyl. Rk is -(CH2)nCH2OCH2Rb, -C(O)NRjRj or -C(O)Rj. Rm is heterocycle, containing one or two substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Ri)C(O)Rj, -NRjC(O)-halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rn is -C(O)Rj, -CH2ORj or -C(O)NRjRj. Ro is Ph, substituted Ph, heterocycle or substituted heterocycle. Rp is a heterocycle containing one or two substituents selected from substituted Ph, heterocycle, Ph, benzyl, -SOnRo or SO2NRjRj. M is 0-3; n is 0-2; p is 0-7; X is S, O or N. A method is claimed of treating a mammalian disease selected from cell apoptosis, immune deficiency syndromes, autoimmune diseases, pathogenic infections, cardiovascular and neurol. injury, alopecia, aging, cancer, Parkinson's disease, Alzheimer's disease, Huntington's disease, acute and chronic neurodegenerative disorders, stroke, vascular dementia, head trauma, ALS, neuromuscular disease, myocardial ischemia, cardiomyopathy, macular degeneration, osteoarthritis, diabetes, acute liver failure and spinal cord injury. Although caspase-3 inhibition and apoptosis assay methods are described, quant. assay results are not given. Although the methods of preparation are not claimed, 17 example prepns. are included.

IT **331643-88-0P 331644-94-1P 331645-27-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3)

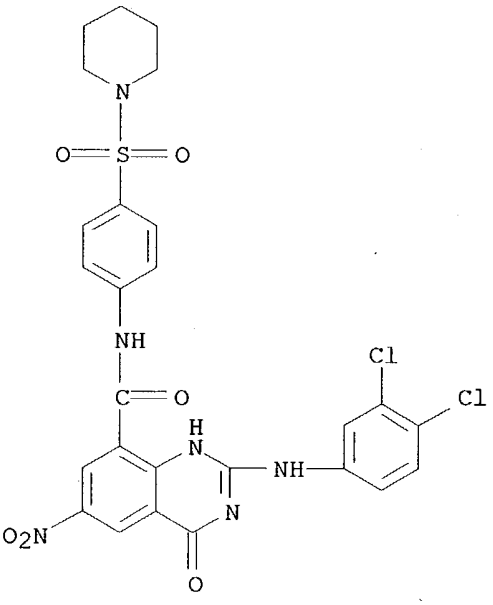
RN 331643-88-0 CAPLUS

CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 331644-94-1 CAPLUS

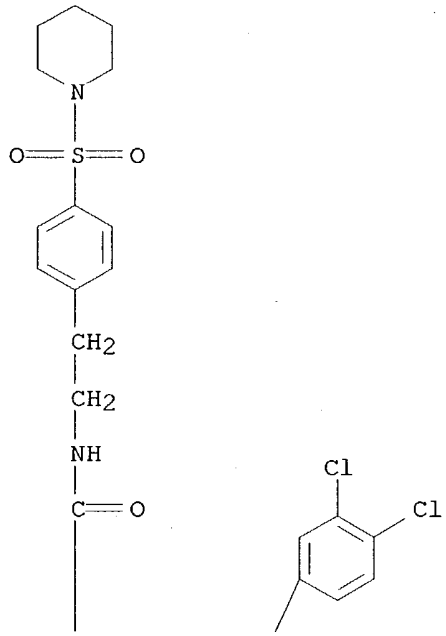
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



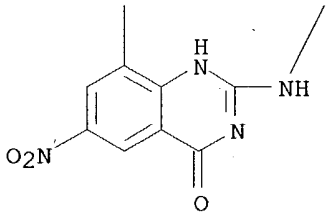
RN 331645-27-3 CAPLUS

CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[4-(1-piperidinylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



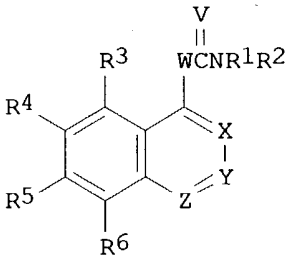
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L89 ANSWER 32 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:10086 CAPLUS
DOCUMENT NUMBER: 134:86277
TITLE: 1,3-Diazines with platelet-derived growth factor receptor inhibitory activity
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 127 pp., Cont.-in-part of PCT 9814431.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169088	B1	20010102	US 1998-88199	19980601
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		

PRIORITY APPLN. INFO.:
JP 1996-260743 A 19960110
WO 1997-JP3510 A2 19971001
US 1998-88199 A3 19980601
US 2000-481544 A3 20000112

OTHER SOURCE(S): MARPAT 134:86277
GI



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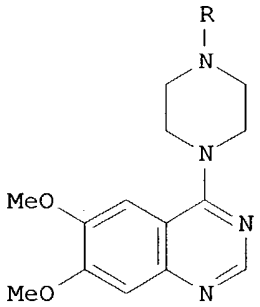
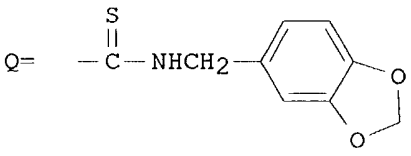


II



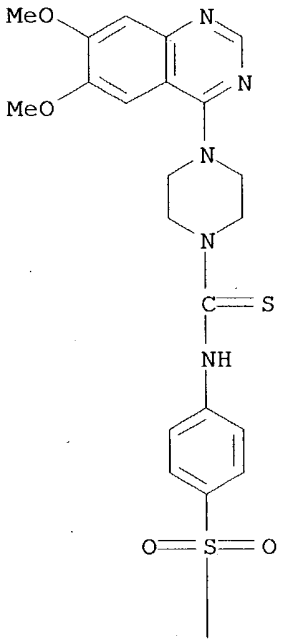
AB 1,3-Diazines and related N heterocycles [I; wherein V = O or S; W = 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7, with at least one of X, Y and Z being N; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derivs.] and their pharmacol. acceptable salts are prepared These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compound II [R = CONHPh] in 44% isolated yield. The analog II [R = Q] showed an IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets containing II [R = N-(p-nitrophenyl)carbamoyl], were prepared

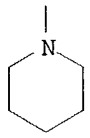
IT **205257-01-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diazines with platelet-derived growth factor receptor inhibitory activity)

RN 205257-01-8 CAPLUS

CN 1-Piperazinecarbothioamide, 4-(6,7-dimethoxy-4-quinazolinyl)-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



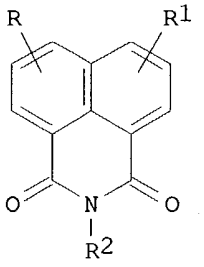


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 33 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:384159 CAPLUS
DOCUMENT NUMBER: 133:30670
TITLE: Preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists
INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Melzer, Guido; Raddatz, Peter; Wu, Zhengdong; Dhanoa, Daljit; Soll, Richard; Rinker, James; Graybill, Todd
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000032577	A2	20000608	WO 1999-EP8561		19991109
WO 2000032577	A3	20000921			
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2352045	AA	20000608	CA 1999-2352045		19991109
BR 9915648	A	20010814	BR 1999-15648		19991109
EP 1144381	A2	20011017	EP 1999-968783		19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002537225	T2	20021105	JP 2000-585219		19991109
AU 760136	B2	20030508	AU 2000-26603		19991109
TW 473474	B	20020121	TW 1999-88120540		19991124
NO 2001002544	A	20010523	NO 2001-2544		20010523
ZA 2001005191	A	20021213	ZA 2001-5191		20010622
PRIORITY APPLN. INFO.:			US 1998-199413	A	19981125
			US 1999-398783	A	19990920
			WO 1999-EP8561	W	19991109

OTHER SOURCE(S): MARPAT 133:30670
GI



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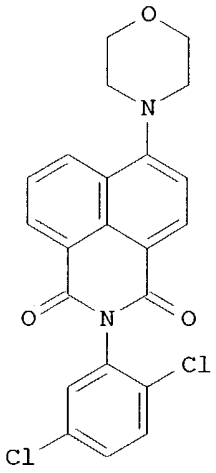


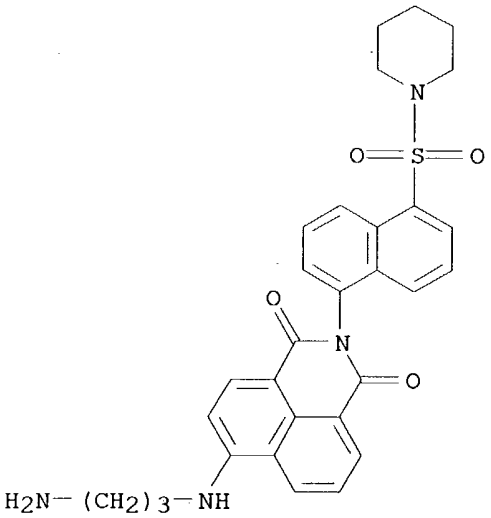
II

AB The title compds. [I; R = H, NO2; R1 = Het, -HetSO2Ar, NO2, etc.; R2 = Ar, Het1, -Het1Ar, etc.; Ar = Ph, biphenyl, pyridyl, etc.; Het, Het1 = (un)substituted (un)saturated mono-, bi- or tricyclic 5-13 membered heterocyclyl], useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders, were prepared and formulated. E.g., preparation of II was given. Compds. I are effective at 0.02-10 mg/kg/day.

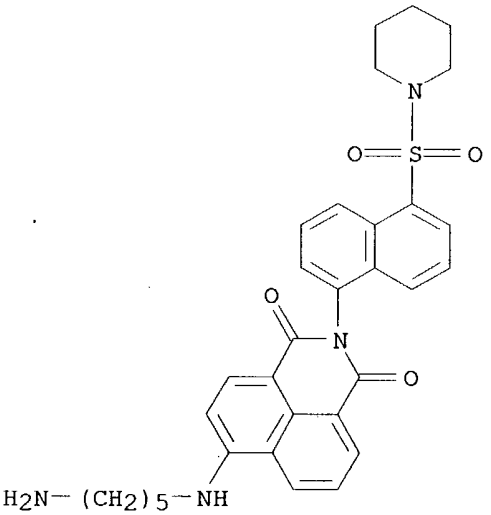
IT **273741-19-8P 273741-20-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists)

RN 273741-19-8 CAPLUS

CN Piperidine, 1-[[5-[6-[(3-aminopropyl)amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 273741-20-1 CAPLUS
CN Piperidine, 1-[[5-[6-[(5-aminopentyl)amino]-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl]-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 34 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:383680 CAPLUS
DOCUMENT NUMBER: 133:30729
TITLE: Preparation of derivatives of 2-(2-oxoethylidene)imidazolidin-4-one and their use to inhibit abnormal cell growth
INVENTOR(S): Lyssikatos, Joseph Peter; Yang, Bingwei Vera
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1006113	A1	20000607	EP 1999-309430	19991125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000186075	A2	20000704	JP 1999-341550	19991201
MX 9911183	A	20000731	MX 1999-11183	19991202
BR 9905788	A	20000829	BR 1999-5788	19991202
US 6194438	B1	20010227	US 1999-454058	19991202
PRIORITY APPLN. INFO.:			US 1998-110607P P	19981202
OTHER SOURCE(S):	MARPAT 133:30729			

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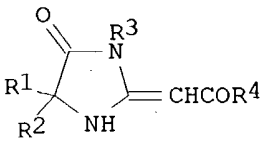


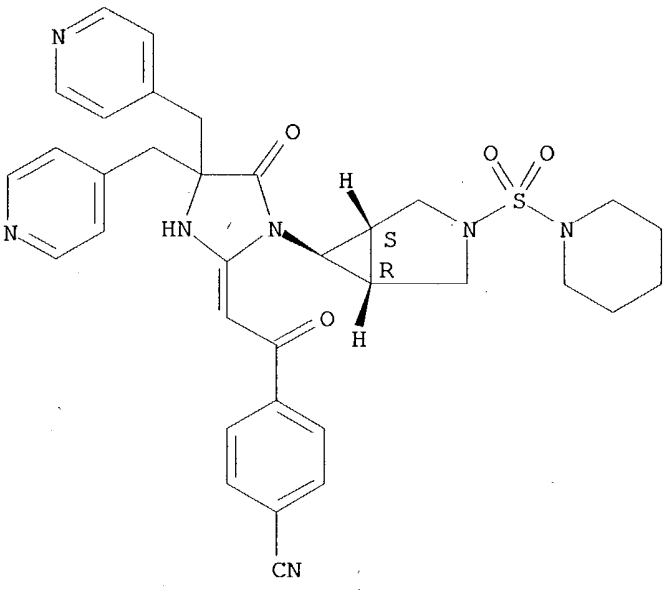
I

AB The title compds. I [R1, R2 = alkyl, alkenyl, arylalkyl, etc.; R3 = 1- or 2-adamantylalkyl, alkyl, arylalkyl, etc.; R4 = alkyl, aryl, heterocyclyl, etc.], inhibitors of abnormal cell growth (no data), were prepared E.g., 4-{[1-(1α,5α,6α-3-benzenesulfonyl-3-azabicyclo[3.1.0]hex-6-yl)-5-oxo-4,4-bispyridin-4-ylmethylimidazolidin-2-ylidene]acetyl}benzonitrile was prepared

IT **273206-23-8P 273206-30-7P 273206-31-8P 273206-32-9P 273206-63-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (oxoethylidene)imidazolidinones as inhibitors of abnormal cell growth)

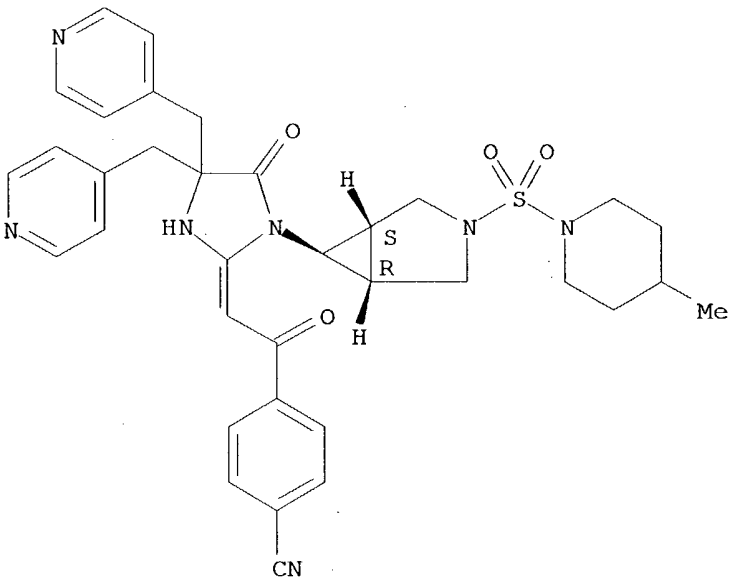
RN 273206-23-8 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-(1-piperidinylsulfonyl)-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



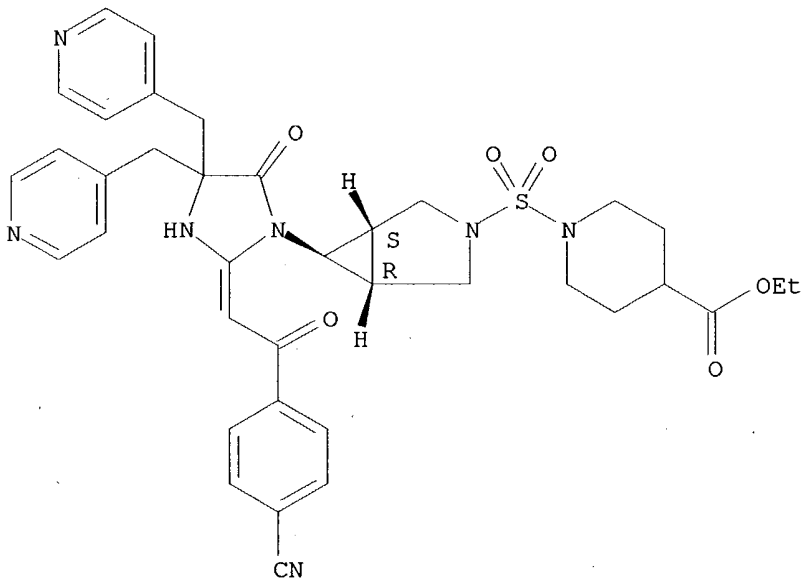
RN 273206-30-7 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-[(4-methyl-1-piperidinyl)sulfonyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



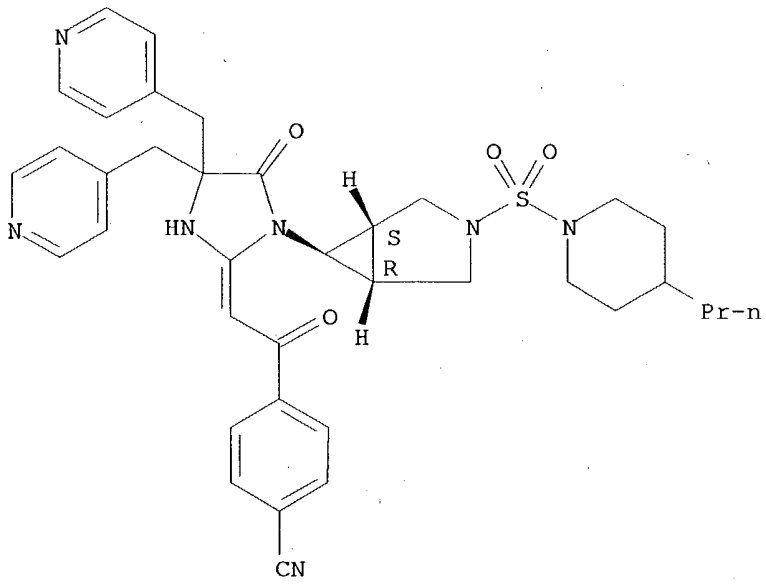
RN 273206-31-8 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[(1α,5α,6α)-6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-azabicyclo[3.1.0]hex-3-yl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 273206-32-9 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-5-oxo-4,4-bis(4-pyridinylmethyl)-1-imidazolidinyl]-3-[(4-propyl-1-piperidinyl)sulfonyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

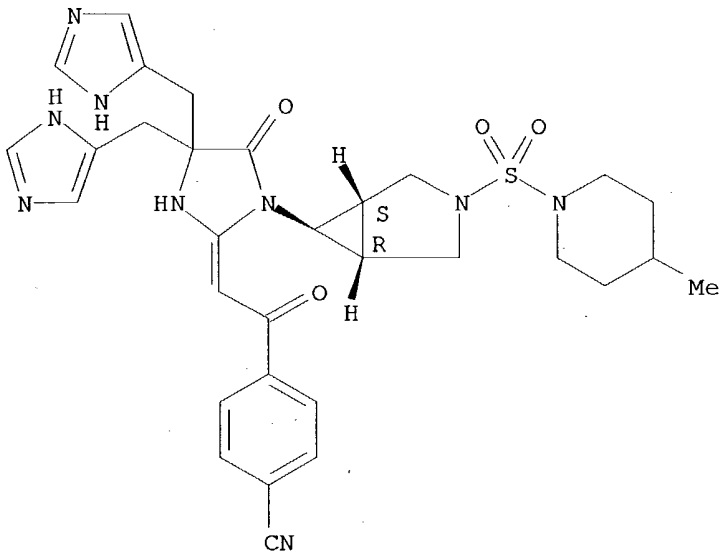
Relative stereochemistry.
Double bond geometry unknown.



RN 273206-63-6 CAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 6-[2-[2-(4-cyanophenyl)-2-oxoethylidene]-4,4-bis(1H-imidazol-4-ylmethyl)-5-oxo-1-imidazolidinyl]-3-[(4-methyl-1-piperidinyl)sulfonyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

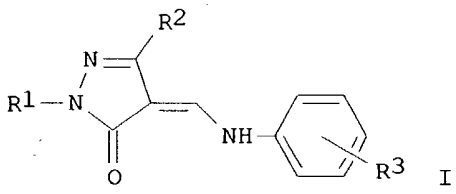
Relative stereochemistry.
Double bond geometry unknown.



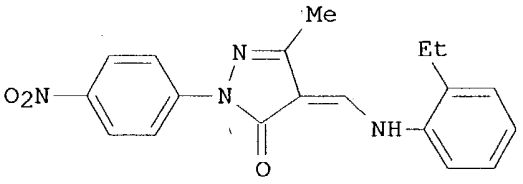
L39 ANSWER 35 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:157716 CAPLUS
DOCUMENT NUMBER: 132:194371
TITLE: Preparation of 4-(arylmethylene)-2,3-dihydropyrazol-3-ones as neoplastic lesion inhibitors
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6034099	A	20000307	US 1998-200136	19981124
PRIORITY APPLN. INFO.:			US 1998-200136	19981124

OTHER SOURCE(S): MARPAT 132:194371
GI



I

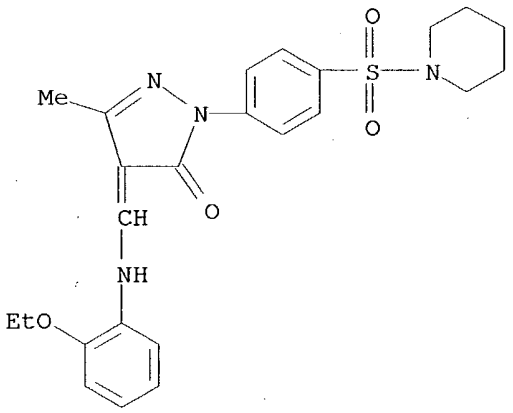


II

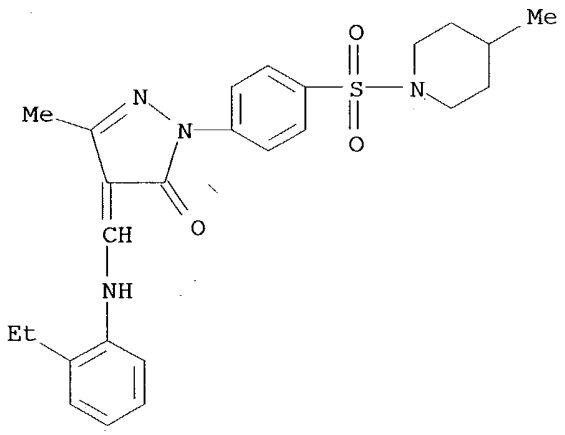
AB The title compds. (I) [wherein R1 = tetrazolyl, phosphonyl-substituted Ph or pyridyl, or (un)substituted benzyl, Ph, or alkoxybenzyl; R2 = alkyl, alkoxycarbonylalkyl, hydroxyalkyl, or hydroxycarbonylalkyl; R3 = H, (halo)alkyl, alkoxy, aminoalkanoyl, aminoalkyl, carbamoyl, or SO2NR4R5; R4 and R5 = independently H, alkyl, or NR4R5 together form an (un)substituted 5- or 6-membered ring optionally containing other N, S, or O heteroatoms] were prepd for the prevention and treatment of cancer. For example, cycloaddn. of p-nitrophenylhydrazine.HCl with ethylacetoacetate gave 5-methyl-2-(4-nitrophenyl)-2,4-dihydropyrazol-3-one (64%). Subsequent treatment of the pyrazolone with 2-ethylaniline in the presence of 1,3,5-triazine yielded the title compound II (83%). I are effective in modulating apoptosis and eliminating and inhibiting the growth of neoplasias, such as precancerous lesions, but are not characterized by the severe side reactions of conventional non-steroidal anti-inflammatory drugs (NSAIDs) or other chemotherapeutics (no data).

IT **184708-23-4P 260256-31-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of 4-(arylmethylene)-2,3-dihydropyrazol-3-one neoplastic lesion inhibitors by reaction of 2,4-dihydropyrazol-3-ones with anilines in the presence of formaldehyde-donating groups)

RN 184708-23-4 CAPLUS
CN Piperidine, 1-[[4-[4-[[(2-ethoxyphenyl)amino]methylene]-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 260256-31-3 CAPLUS
CN Piperidine, 1-[[4-[4-[[(2-ethylphenyl)amino]methylene]-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

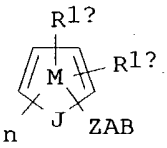


REFERENCE COUNT: 99 THERE ARE 99 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 36 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:83115 CAPLUS
DOCUMENT NUMBER: 132:137392
TITLE: Preparation of azoles as Factor Xa inhibitors.
INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifen; Rossi, Karen Anita
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA
SOURCE: U.S., 152 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6020357	A	20000201	US 1997-995834		19971222
US 6548512	B1	20030415	US 2000-492708		20000127
PRIORITY APPLN. INFO.:			US 1996-33437P	P	19961223
			US 1997-50304P	P	19970620
			US 1997-995834	A3	19971222

OTHER SOURCE(S): MARPAT 132:137392
GI



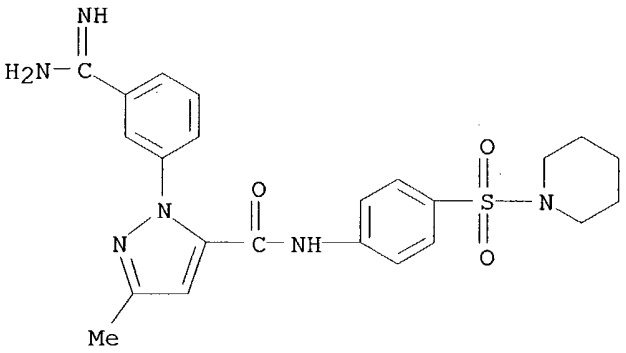
I

AB Title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2; with provisos], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded 1-(3-amidinophenyl)-2-[(2'-aminosulfonyl-1,1'-biphen-4-yl)aminocarbonyl]imidazole. Several I showed Ki ≤10 μM against Factor Xa and thrombin.

IT **209955-87-3P 209955-88-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azoles as Factor Xa inhibitors)

RN 209955-87-3 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-3-methyl-N-[4-(1-

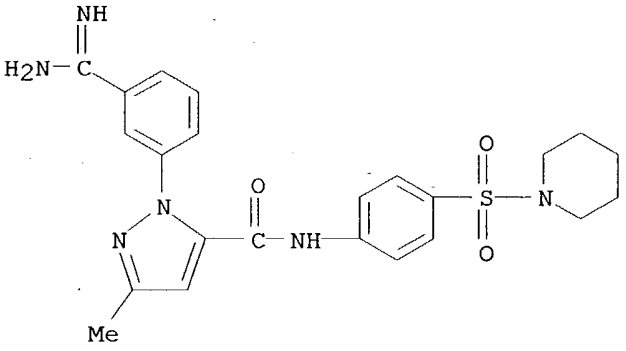
piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 209955-88-4 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-3-methyl-N-[4-(1-piperidinylsulfonyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

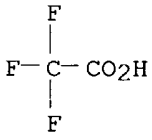
CM 1

CRN 209955-87-3
CMF C23 H26 N6 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



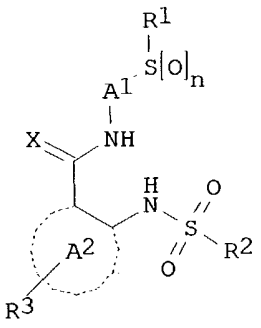
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 37 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:53572 CAPLUS
DOCUMENT NUMBER: 132:93104
TITLE: Preparation of sulfur substituted sulfonylaminocarboxylic acid N-arylamides as modulators of cyclic guanosine monophosphate (cGMP) production
INVENTOR(S): Schindler, Ursula; Schonafinger, Karl; Strobel, Hartmut
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

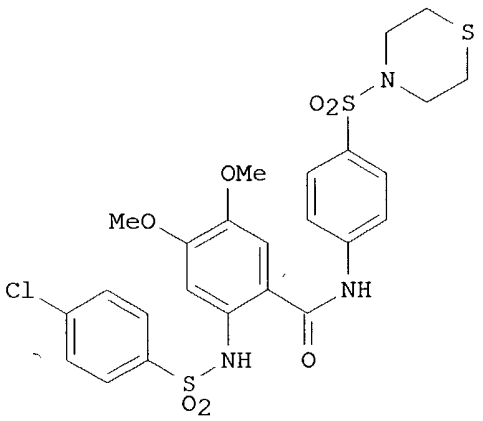
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000002851	A1	20000120	WO 1999-EP4426	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19830430	A1	20000113	DE 1998-19830430	19980708
DE 19903126	A1	20000803	DE 1999-19903126	19990127
CA 2336807	AA	20000120	CA 1999-2336807	19990625
AU 9946160	A1	20000201	AU 1999-46160	19990625
AU 761983	B2	20030612		
BR 9911914	A	20010327	BR 1999-11914	19990625
EP 1095016	A1	20010502	EP 1999-929318	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002520309	T2	20020709	JP 2000-559082	19990625
RU 2234497	C2	20040820	RU 2001-103645	19990625
NO 2001000013	A	20010301	NO 2001-13	20010102

PRIORITY APPLN. INFO.:
DE 1998-19830430 A 19980708
DE 1999-19903126 A 19990127
WO 1999-EP4426 W 19990625

OTHER SOURCE(S): MARPAT 132:93104
GI



I



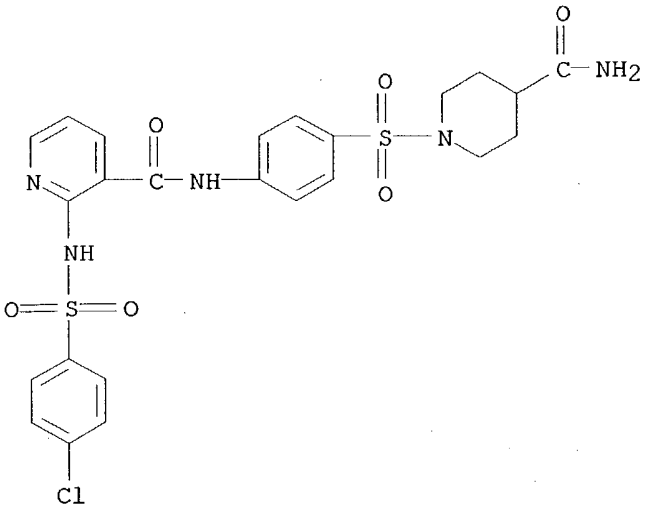
II

AB The title compds. [I; A1 = (un)substituted phenylene, naphthylene, heteroarylene; ring A2 comprises the carbon atoms which carry the groups C(:X)NH and NHSO2R2 is a benzene, naphthalene, (un)saturated 3-7 membered carbocycle, etc.; R1 = (un)substituted aryl, heterocyclyl, C1-18 alkyl; R2 = (un)substituted aryl, heterocyclyl, C1-10 alkyl, etc.; R3 = H, halo, CF3, etc.; n = 0-2; X = O, NH], useful for the therapy and prophylaxis of diseases, for example of cardiovascular diseases such as hypertension, angina pectoris, cardiac insufficiency, thromboses or atherosclerosis, were prepared The compds. I are capable of modulating the body's production of cyclic guanosine monophosphate (cGMP) and are generally suitable for the therapy and prophylaxis of diseases which are associated with a disturbed cGMP balance. Thus, reacting 4-{[2-(4-chlorophenylsulfonyl)-4,5-dimethoxybenzoyl]amino}benzenesulfonyl fluoride (preparation given) with thiomorpholine afforded 65% II which showed 34.8-fold stimulation ([cGMP]test substance/[cGMP]control) at 50 μM.

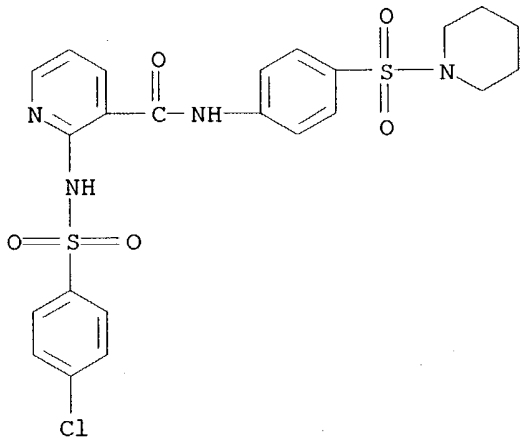
IT **254877-06-0P 254877-07-1P 254877-11-7P**
254877-12-8P 254877-20-8P 254877-32-2P
254877-37-7P 254877-40-2P 254877-49-1P
254976-01-7P 254976-10-8P 254976-11-9P
254976-15-3P 254976-21-1P 254976-23-3P
254976-24-4P 254976-30-2P 254976-35-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfur substituted sulfonylaminocarboxylic acid N-arylamides as modulators of cyclic guanosine monophosphate (cGMP) production)

RN 254877-06-0 CAPLUS

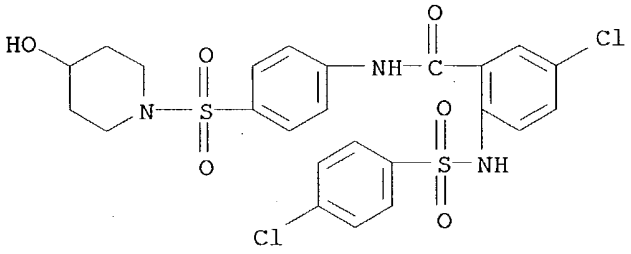
CN 3-Pyridinecarboxamide, N-[4-[[4-(aminocarbonyl)-1-piperidinyl]sulfonyl]phenyl]-2-[[(4-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



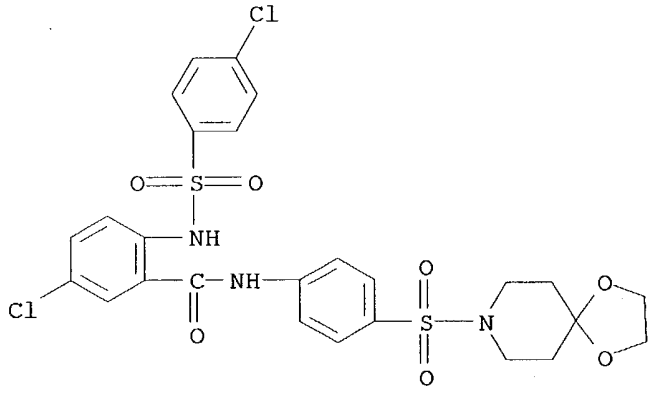
RN 254877-07-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



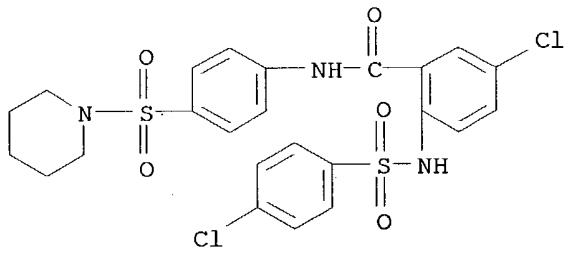
RN 254877-11-7 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



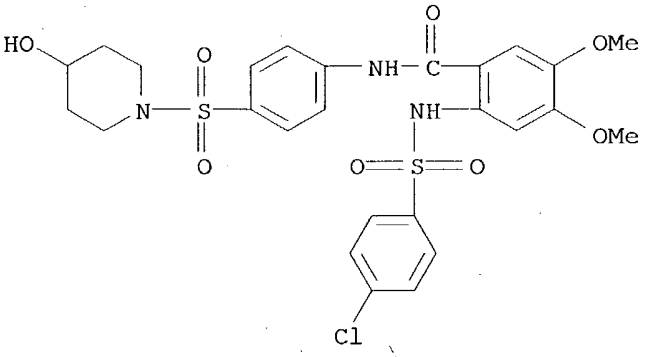
RN 254877-12-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-ylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



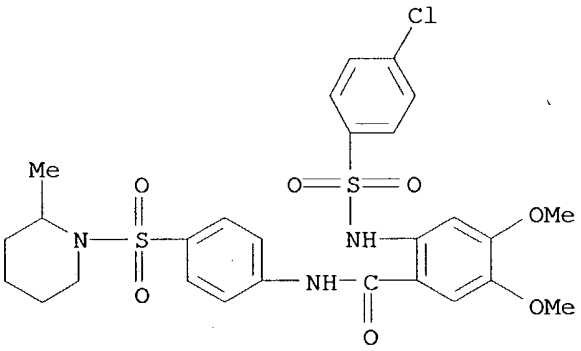
RN 254877-20-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



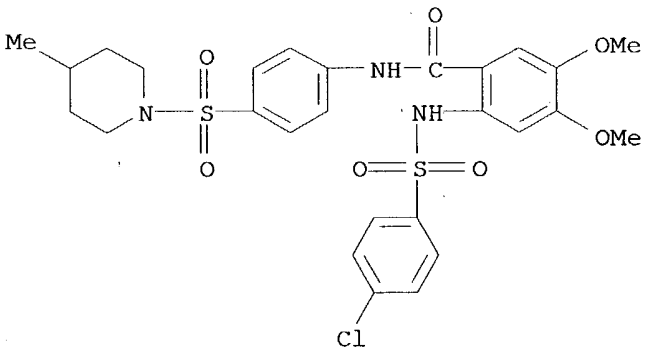
RN 254877-32-2 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)



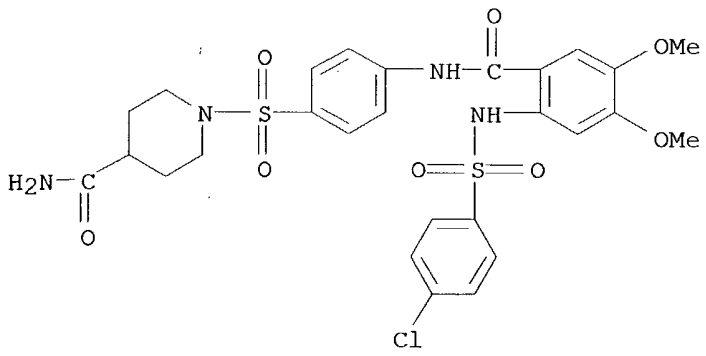
RN 254877-37-7 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxy-N-[4-[(2-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



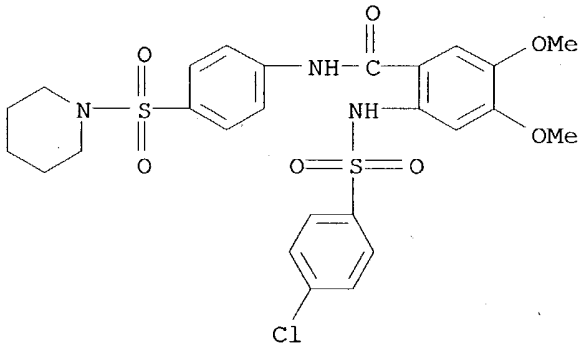
RN 254877-40-2 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxy-N-[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



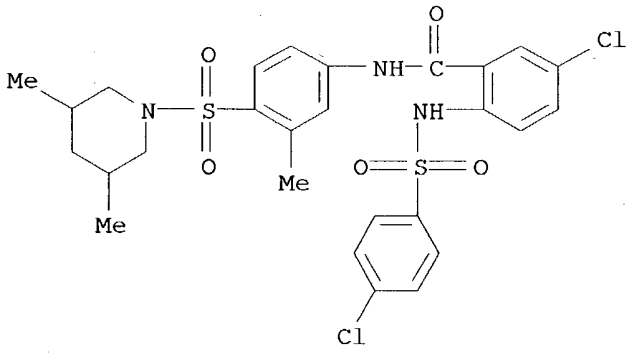
RN 254877-49-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-[[2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxybenzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



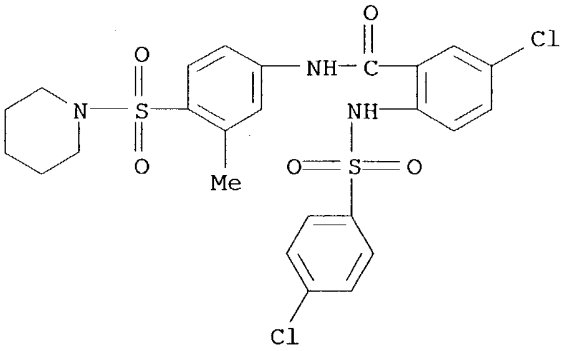
RN 254976-01-7 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxy-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



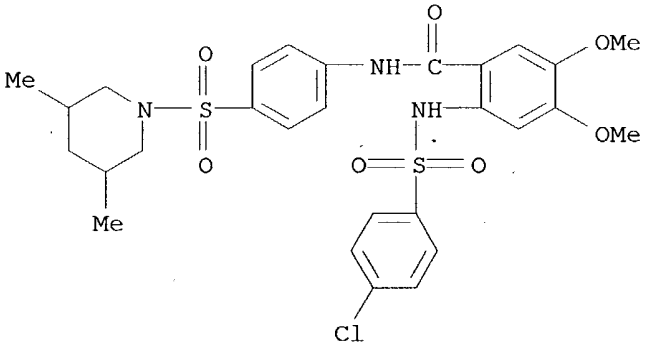
RN 254976-10-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(3,5-dimethyl-1-piperidinyl)sulfonyl]-3-methylphenyl]- (9CI) (CA INDEX NAME)



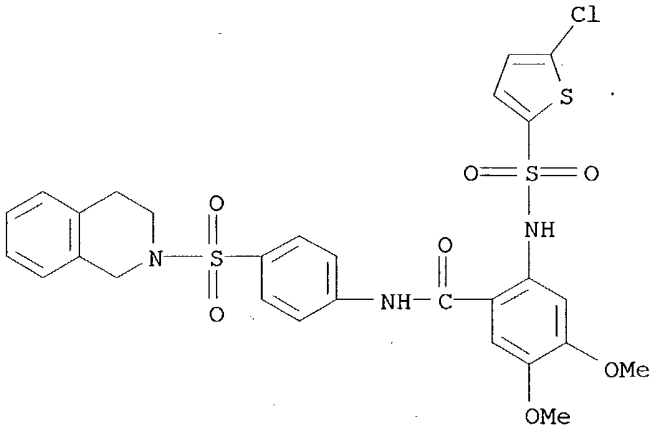
RN 254976-11-9 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[3-methyl-4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 254976-15-3 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(3,5-dimethyl-1-piperidinyl)sulfonyl]phenyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)



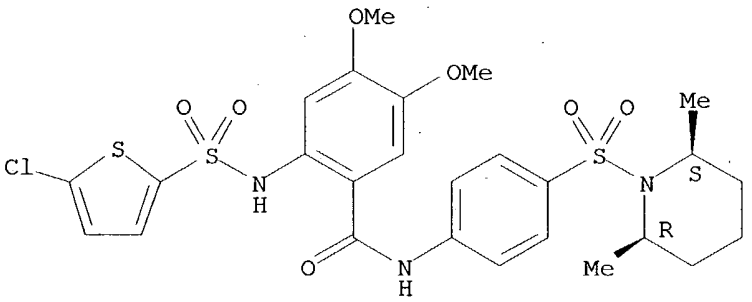
RN 254976-21-1 CAPLUS
CN Benzamide, 2-[[(5-chloro-2-thienyl)sulfonyl]amino]-N-[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)



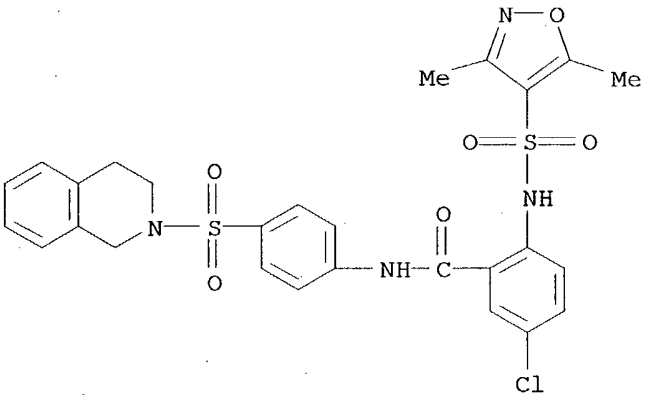
RN 254976-23-3 CAPLUS
CN Benzamide, 2-[[(5-chloro-2-thienyl)sulfonyl]amino]-N-[4-[[(2R,6S)-2,6-

dimethyl-1-piperidinyl]sulfonyl]phenyl]-4,5-dimethoxy-, rel- (9CI) (CA INDEX NAME)

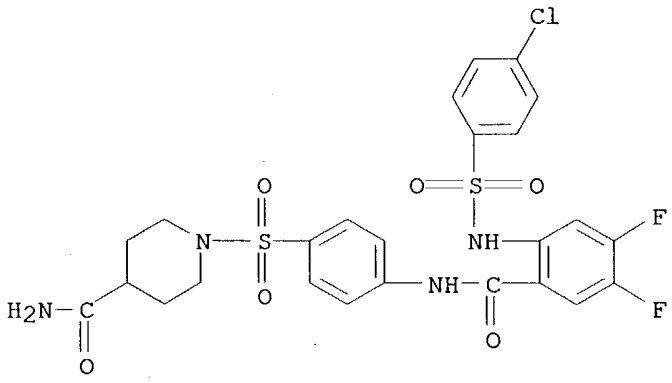
Relative stereochemistry.



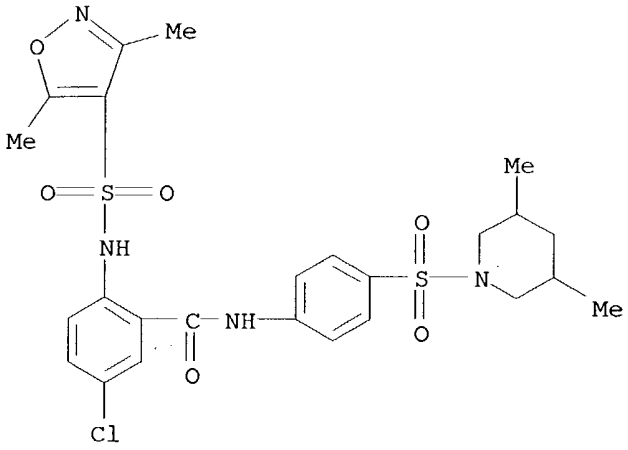
RN 254976-24-4 CAPLUS
CN Benzamide, 5-chloro-N-[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]-2-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 254976-30-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-[[2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-difluorobenzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 254976-35-7 CAPLUS
CN Benzamide, 5-chloro-2-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl]amino]-N-[4-[(3,5-dimethyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



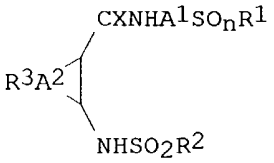
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 38 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:31524 CAPLUS
DOCUMENT NUMBER: 132:93102
TITLE: Preparation of arylsulfonylaminoarylamides as guanylate cyclase activators.
INVENTOR(S): Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut
PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19830430	A1	20000113	DE 1998-19830430	19980708
CA 2336807	AA	20000120	CA 1999-2336807	19990625
WO 2000002851	A1	20000120	WO 1999-EP4426	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9946160	A1	20000201	AU 1999-46160	19990625
AU 761983	B2	20030612		
BR 9911914	A	20010327	BR 1999-11914	19990625
EP 1095016	A1	20010502	EP 1999-929318	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
TR 200100147	T2	20010521	TR 2001-200100147	19990625
JP 2002520309	T2	20020709	JP 2000-559082	19990625
RU 2234497	C2	20040820	RU 2001-103645	19990625
US 6335334	B1	20020101	US 1999-349933	19990708
ZA 2000007486	A	20020104	ZA 2000-7486	20001214
NO 2001000013	A	20010301	NO 2001-13	20010102
US 2002061887	A1	20020523	US 2001-994730	20011128
US 2004186145	A1	20040923	US 2004-816143	20040402

PRIORITY APPLN. INFO.:	DE 1998-19830430	A	19980708
	DE 1999-19903126	A	19990127
	WO 1999-EP4426	W	19990625
	US 1999-349933	A3	19990708
	US 2001-994730	A3	20011128

OTHER SOURCE(S): MARPAT 132:93102
GI



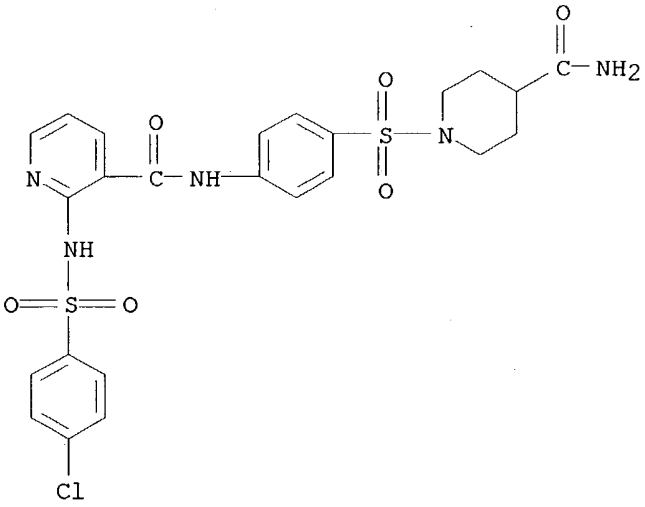
I

AB Title compds. [I; A1 = (substituted) phenylene, naphthylene, heteroarylene; A2 = atoms to form Ph, naphthyl, carbocyclyl, heterocyclyl rings; R1 = (substituted) aryl, heterocyclyl, alkyl; R2 = R1, amino; R3 = ≥1 of H, halo, CF3, OH, alkoxy, alkoxyalkoxy, aryloxy, NO2, cyano, amino, CO2H, etc.; X = O, NH, etc.; n = 0-2], were prepared Thus, 4-[[2-(4-chlorphenylsulfonylamino)-4,5-dimethoxybenzoyl]amino]benzenesulfonyl fluoride was heated in thiomorpholine at 90° for 30 min. to give 65% 2-(4-chlorophenylsulfonylamino)-4,5-dimethoxy-N-[4-(thiomorpholin-4-sulfonyl)phenyl]benzamide. The latter at 50 μM gave 34.8-fold stimulation of soluble guanylate cyclase.

IT **254877-06-0P 254877-07-1P 254877-11-7P**
254877-12-8P 254877-20-8P 254877-32-2P
254877-37-7P 254877-40-2P 254877-49-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylaminoarylamides as guanylate cyclase activators)

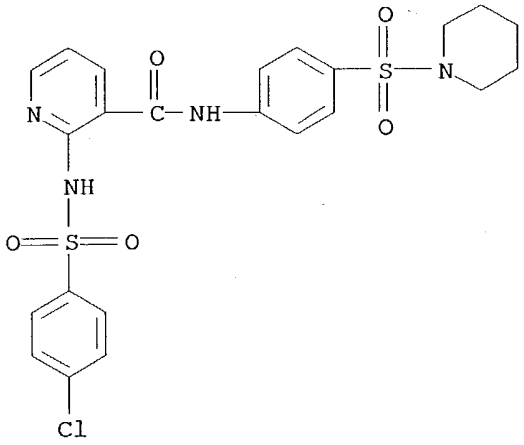
RN 254877-06-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[4-(aminocarbonyl)-1-piperidinyl]sulfonyl]phenyl]-2-[[(4-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

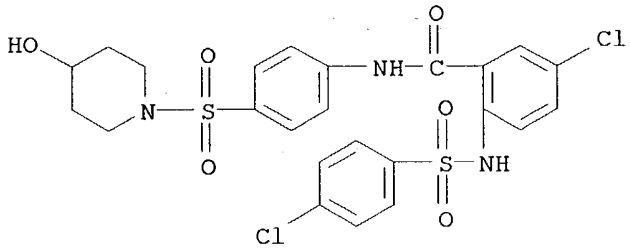


RN 254877-07-1 CAPLUS

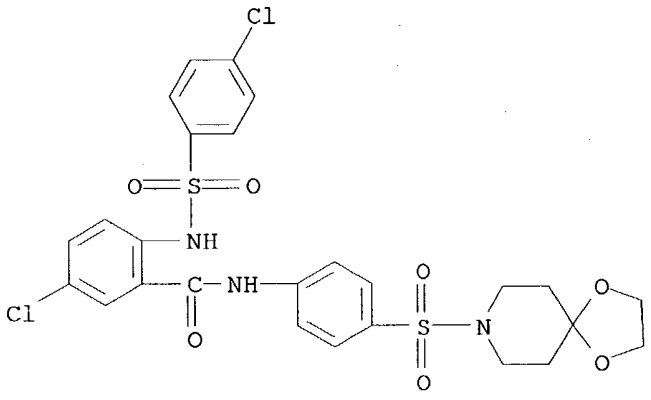
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 254877-11-7 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

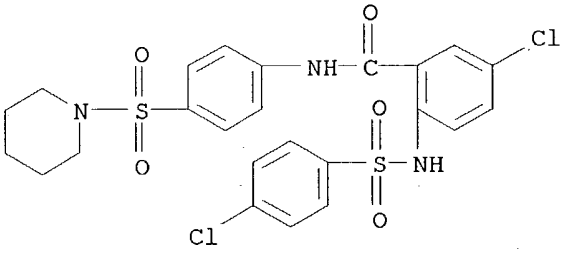


RN 254877-12-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-ylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

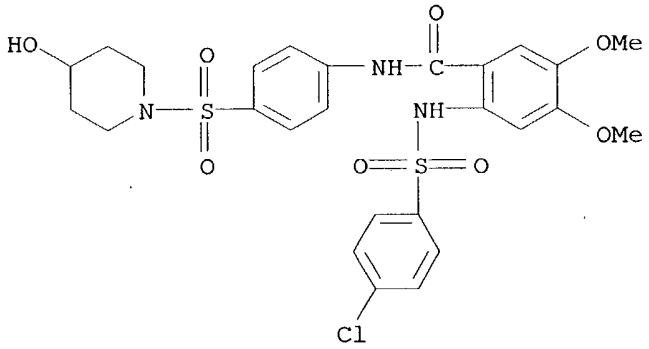


RN 254877-20-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-

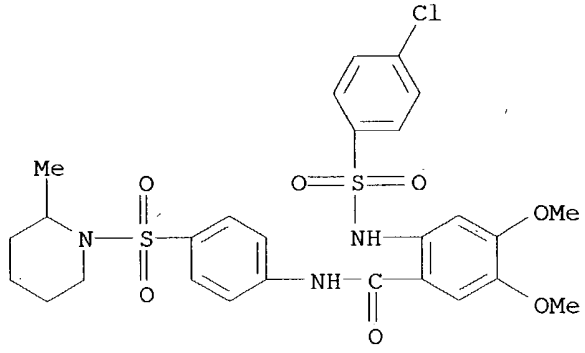
piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



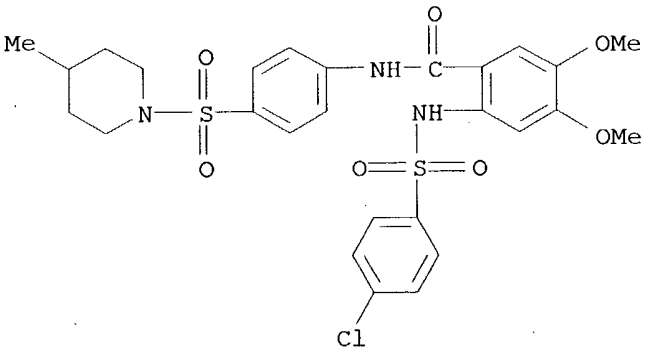
RN 254877-32-2 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(4-hydroxy-1-piperidinyl)sulfonyl]phenyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)



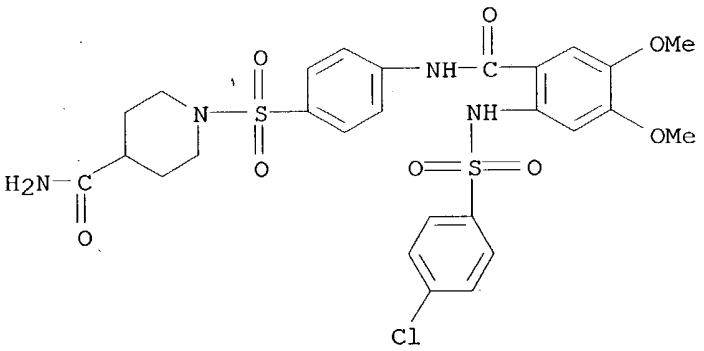
RN 254877-37-7 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxy-N-[4-[(2-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 254877-40-2 CAPLUS
CN Benzamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxy-N-[4-[(4-methyl-1-piperidinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 254877-49-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[[4-[[2-[[(4-chlorophenyl)sulfonyl]amino]-4,5-dimethoxybenzoyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



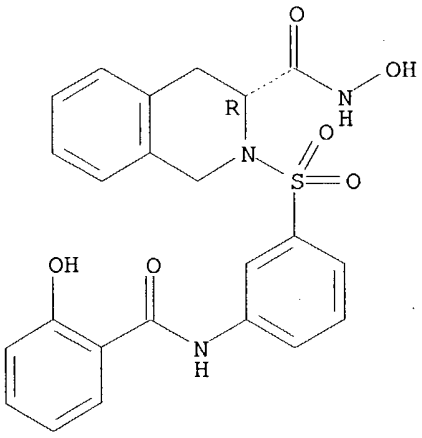
L69 ANSWER 39 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:652921 CAPLUS
DOCUMENT NUMBER: 132:18475
TITLE: Affinity and Selectivity of Matrix Metalloproteinase Inhibitors: A Chemometrical Study from the Perspective of Ligands and Proteins
AUTHOR(S): Matter, Hans; Schwab, Wilfried
CORPORATE SOURCE: Hoechst Marion Roussel Chemical Research, Frankfurt am Main, D-65926, Germany
SOURCE: Journal of Medicinal Chemistry (1999), 42(22), 4506-4523
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel strategy to understand affinity and selectivity for enzyme inhibitors using information from ligands and target protein 3D structures is described. It was applied to 2-arylsulfonyl-1,2,3,4-tetrahydro-isoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinases MMP-3 (stromelysin-1) and MMP-8 (human neutrophil collagenase). As the first step, consistent and predictive 3D-QSAR models were derived using CoMFA, CoMSIA, and GRID/Golpe approaches, leading to the identification of binding regions where steric, electronic, or hydrophobic effects are important for affinity. These models were validated using multiple analyses using two or five randomly chosen cross-validation groups and randomizations of biol. activities. Second, 3D-QSAR models were derived based on the affinity ratio IC50(MMP-8)/IC50(MMP-3), allowing the identification of key ligand determinants for selectivity toward one of both enzymes. In addition to this ligands' view, the third step encompasses a chemometrical approach based on principal component anal. (PCA) of multivariate GRID descriptors to uncover the major differences between both protein binding sites with respect to their GRID probe interaction pattern. The resulting information, based on the accurate knowledge of the target protein 3D structures, led to a consistent picture in good agreement with exptl. observed differences in selectivity toward MMP-8 or MMP-3. The interpretation of all three classes of statistical models leads to detailed SAR information for MMP inhibitors, which is in agreement with available data for binding site topologies, ligand affinities, and selectivities. Thus the combined chemical analyses provide guidelines and accurate activity predictions for designing novel, selective MMP inhibitors.

IT **236403-28-4 236403-41-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(affinity and selectivity of matrix metalloproteinase inhibitors: chemometrical study from perspective of ligands and proteins)

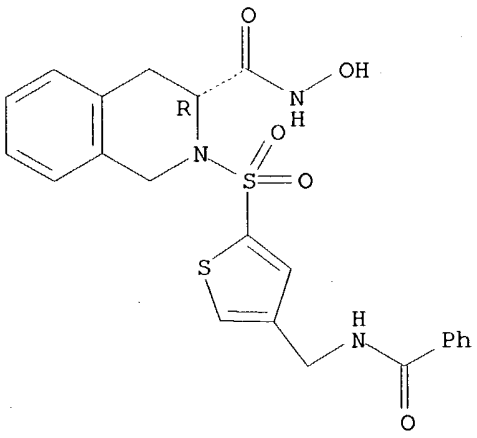
RN 236403-28-4 CAPLUS
CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-hydroxy-2-[[3-[(2-hydroxybenzoyl)amino]phenyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 236403-41-1 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[[4-[(benzoylamino)methyl]-2-thienyl]sulfonyl]-1,2,3,4-tetrahydro-N-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

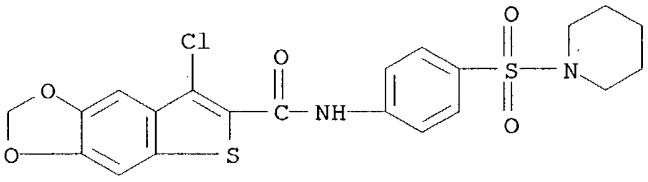


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 40 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:454957 CAPLUS
DOCUMENT NUMBER: 131:228673
TITLE: Synthesis, reactions, and biological activity of some new thieno[2,3-f]-1,3-benzodioxoles
AUTHOR(S): Bakhite, Etify A.; Radwan, S. M.
CORPORATE SOURCE: Chemistry Department, Faculty Science, Assiut Univ., Assiut, 71516, Egypt
SOURCE: Pharmazie (1999), 54(7), 491-498
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:228673

AB The reaction of 7-chlorothieno[2,3-f]-1,3-benzodioxole-6-carbonyl chloride (I) with aromatic or heterocyclic amines gave the corresponding 6-(aryl- or -hetaryl)carbamoyl-7-chlorothieno[2,3-f]-1,3-benzodioxoles. On reaction with KSCN, EtOH, or NaN3, I afforded the corresponding isothiocyanate, ester, and azide, resp. Hydrazinolysis of the ester gave the resp. hydrazide. These compds. were used as precursors in the synthesis of the target heterocycles, 6-substituted 7-chlorothieno[2,3-f]-1,3-benzodioxoles. Addnl., 2-methyl-1,3-dioxolo[5,6][1]benzothieno[2,3-c]quinolin-6(5H)-one was prepared The antibacterial and antifungal activities of selected compds. are reported.

IT **244093-20-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimicrobial activity of thienobenzodioxoles)
RN 244093-20-7 CAPLUS
CN Thieno[2,3-f]-1,3-benzodioxole-6-carboxamide, 7-chloro-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

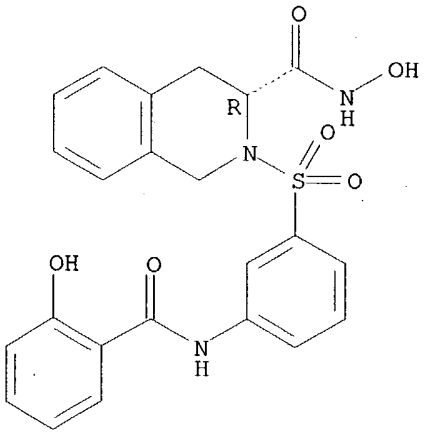
L9 ANSWER 41 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:308109 CAPLUS
DOCUMENT NUMBER: 131:138914
TITLE: Quantitative Structure-Activity Relationship of Human Neutrophil Collagenase (MMP-8) Inhibitors Using Comparative Molecular Field Analysis and X-ray Structure Analysis
AUTHOR(S): Matter, Hans; Schwab, Wilfried; Barbier, Denis; Billen, Guenter; Haase, Burkhard; Neises, Bernhard; Schudok, Manfred; Thorwart, Werner; Schreuder, Herman; Brachvogel, Volker; Loenze, Petra; Weithmann, Klaus Ulrich
CORPORATE SOURCE: Chemical Research Core Research Functions, Hoechst Marion Roussel, Frankfurt am Main, D-65926, Germany
SOURCE: Journal of Medicinal Chemistry (1999), 42(11), 1908-1920
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A set of 90 novel 2-(arylsulfonyl)-1,2,3,4-tetrahydroisoquinoline-3-carboxylates and -hydroxamates as inhibitors of the matrix metalloproteinase human neutrophil collagenase (MMP-8) was designed, synthesized, and investigated by 3D-QSAR techniques (CoMFA, CoMSIA) and x-ray structure anal. Docking studies of a reference compound are based on crystal structures of MMP-8 complexed with peptidic inhibitors to propose a model of its bioactive conformation. This model was validated by a 1.7 Å x-ray structure of the catalytic domain of MMP-8. The 3D-QSAR models based on a superposition rule derived from these docking studies were validated using conventional and cross-validated r2 values using the leave-one-out method, repeated analyses using two randomly chosen cross-validation groups plus randomization of biol. activities. This led to consistent and highly predictive 3D-QSAR models with good correlation coeffs. for both CoMFA and CoMSIA, which were found to correspond to exptl. determined MMP-8 catalytic site topol. in terms of steric, electrostatic, and hydrophobic complementarity. Subsets selected as smaller training sets using 2D fingerprints and maximum dissimilarity methods resulted in 3D-QSAR models with remarkable correlation coeffs. and a high predictive power. This allowed to compensate the weaker zinc binding properties of carboxylates by introducing optimal fitting P1' residues. The final QSAR information agrees with all exptl. data for the binding topol. and thus provides clear guidelines and accurate activity predictions for novel MMP-8 inhibitors.

IT **236403-28-4 236403-41-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(QSAR of (arylsulfonyl)tetrahydroisoquinoline carboxylates and -hydroxymates as human neutrophil collagenase (MMP-8) inhibitors)

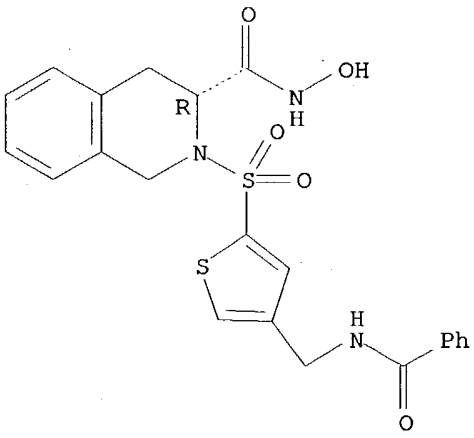
RN 236403-28-4 CAPLUS
CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-hydroxy-2-[[3-[(2-hydroxybenzoyl)amino]phenyl]sulfonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 236403-41-1 CAPLUS
CN 3-Isoquinolinecarboxamide, 2-[[4-[(benzoylamino)methyl]-2-thienyl]sulfonyl]-1,2,3,4-tetrahydro-N-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

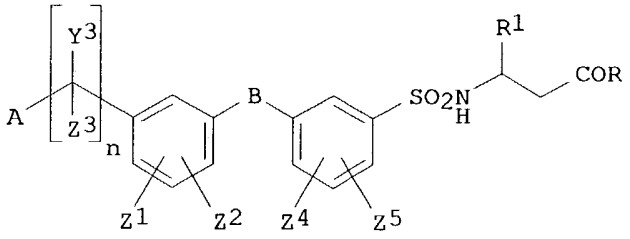


REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

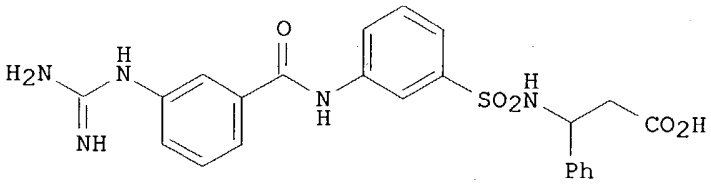
L39 ANSWER 42 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:785655 CAPLUS
DOCUMENT NUMBER: 130:25348
TITLE: Preparation of meta-substituted phenylenesulfonamide derivatives as avβ3 integrin antagonists
INVENTOR(S): Chandrakumar, Nizal; Clare, Michael; Doubleday, Wendell; Gasiecki, Alan F.; Russell, Mark A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5843906	A	19981201	US 1997-824626		19970327
US 6677308	B1	20040113	US 1998-141547		19980828
PRIORITY APPLN. INFO.:			US 1996-14415P	P	19960329
			US 1997-824626	A3	19970327

OTHER SOURCE(S): MARPAT 130:25348
GI



I



II

AB The present invention relates title compds. I [B = CONR50, SO2NR50; A = NR5C(:Y1)NR7R8, NR5Y2:NR7; Y1 = NR2, O, S; Y2 = H, (un)substituted alkyl, cycloalkyl, bicycloalkyl, aryl, monocyclic heterocycle; R2 = H, OH, CN, NO2, (un)substituted alkyl, aryl, amino, alkenyl, alkynyl; R2R7 from 4-12-membered optionally fused ring; R7, R8 = independently H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, cycloalkyl, bicycloalkyl, aryl, acyl, benzoyl; Y2R7, R7R8 may from 4-12-membered mono- or bicyclic ring; R5 = H, alkyl, alkenyl, alkynyl, PhCH2, PhCH2CH2; Z1, Z2, Z4, Z5 = independently H; alkyl, OH, alkoxy, aryloxy, aralkoxy, halo, haloalkyl haloalkoxy, NO2, amino, aminoalkyl, alkylamino, dialkylamino, CN, alkylthio, alkylsulfonyl, carboxyl derivs., acetamide, (fused) aryl,

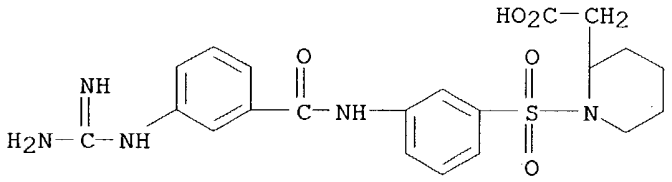
cycloalkyl, thio, (fused) monocyclic heterocycle, group A; R50 = H, alkyl; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl; n = 0-2; R = XR3; X = O, S, NR4; R3, R4 = independently H, (un)substituted alkyl, alkenyl, alkynyl, haloalkyl, aryl, arylalkyl, sugar residue, steroid residue; Y3, Z3 = independently H, alkyl, aryl, cycloalkyl, aralkyl] or a pharmaceutically acceptable salt thereof, pharmaceutical compns. comprising I, and methods of selectively inhibiting or antagonizing the αvβ3 integrin. Thus, amidation of 3-H2NC6H4SO2NHCHPhCH2CO2Et (preparation given) with protected 3-guanidinobenzoic acid, followed by deprotection gave desired title compound II as its trifluoroacetate salt. II inhibited binding to human vitronectin receptor (αvβ3) and human fibrinogen receptor (αIIbβ3) with IC50 = 1.66 nM and 11.3 nM, resp.

IT **197719-61-2P 216386-51-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylenesulfonamide derivs. as vitronectin and fibrinogen receptor antagonists)

RN 197719-61-2 CAPLUS

CN 2-Piperidineacetic acid, 1-[[3-[[3-[(aminoiminomethyl)amino]benzoyl]amino] phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

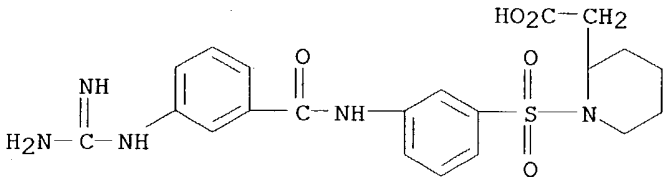


RN 216386-51-5 CAPLUS

CN 2-Piperidineacetic acid, 1-[[3-[[3-[(aminoiminomethyl)amino]benzoyl]amino] phenyl]sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

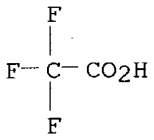
CM 1

CRN 197719-61-2
CMF C21 H25 N5 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

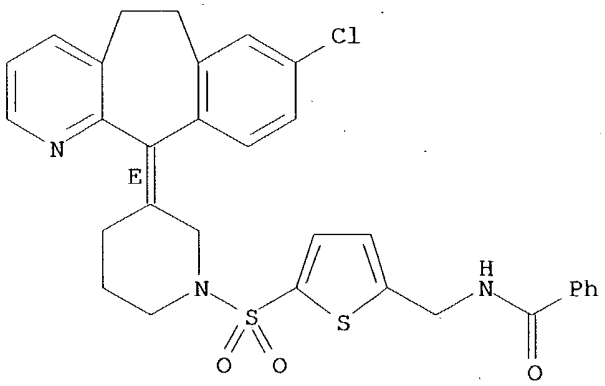
L39 ANSWER 43 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:487563 CAPLUS
DOCUMENT NUMBER: 129:230615
TITLE: Synthesis of isomeric 3-piperidinyl and 3-pyrrolidinyl benzo[5,6]cyclohepta[1,2-b]pyridines: sulfonamido derivatives as inhibitors of Ras prenylation
AUTHOR(S): Kelly, Joseph; Wolin, Ronald; Connolly, Michael; Afonso, Adriano; James, Linda; Kirshmeier, Paul; Bishop, W. Robert; Mcphail, Andrew T.
CORPORATE SOURCE: Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SOURCE: Bioorganic & Medicinal Chemistry (1998), 6(6), 673-686
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Blocking farnesylation of oncogenic Ras proteins is a mechanism-based therapeutic approach that is of current interest for the development of antitumor agents to treat Ras associated tumors. As part of a SAR study on the lead farnesyl protein transferase (FPT) inhibitor Sch 44342, the synthesis of novel geometric isomers and and the FPT inhibition activity of their N-acyl and N-sulfonamido derivs. is reported. The N-acyl derivs. are markedly less active than Sch 44342, thereby demonstrating that the spatial location of the N-acyl group in Sch 44342 is critical for binding of the compound to FPT. In contrast to Sch 44342, the N-sulfonamido series is a novel lead of nonsulfhydryl, nonpeptidic compds. that are dual FPT/GGPT inhibitors. In light of recent reports on the alternative prenylation of N- and K-Ras, dual FPT/GGPT inhibitors may be required to control cell proliferation in tumors containing activated Ras.

IT **183555-01-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of azacycloalkylbenzocycloheptapyridines as farnesyl protein transferase inhibitors)

RN 183555-01-3 CAPLUS
CN Benzamide, N-[[5-[[(3E)-3-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



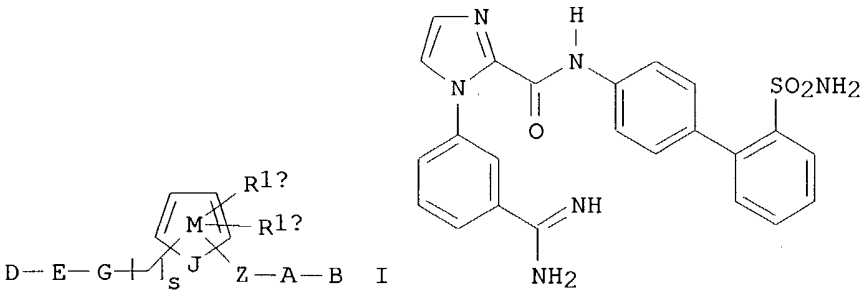
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

L39 ANSWER 44 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:479506 CAPLUS
DOCUMENT NUMBER: 129:109090
TITLE: Preparation of nitrogen-containing heteroaromatics as factor Xa inhibitors
INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifen; Rossi, Karen Anita
PATENT ASSIGNEE(S): The Dupont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828269	A1	19980702	WO 1997-US22895	19971215
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2275796	AA	19980702	CA 1997-2275796	19971215
AU 9856020	A1	19980717	AU 1998-56020	19971215
AU 730224	B2	20010301		
EP 946508	A1	19991006	EP 1997-952409	19971215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EE 9900316	A	20000215	EE 1999-316	19971215
SI 20017	C	20000229	SI 1997-20082	19971215
CN 1246847	A	20000308	CN 1997-181852	19971215
BR 9714073	A	20000509	BR 1997-14073	19971215
JP 2001509145	T2	20010710	JP 1998-528845	19971215
ZA 9711586	A	19990701	ZA 1997-11586	19971223
TW 492971	B	20020701	TW 1997-86119637	19980203
NO 9902633	A	19990820	NO 1999-2633	19990601
MX 9905878	A	20000131	MX 1999-5878	19990622
LT 4673	B	20000725	LT 1999-76	19990622
LV 12430	B	20000720	LV 1999-99	19990730

PRIORITY APPLN. INFO.:
US 1996-769859 A 19961223
US 1997-879944 A 19970620
WO 1997-US22895 W 19971215

OTHER SOURCE(S): MARPAT 129:109090
GI

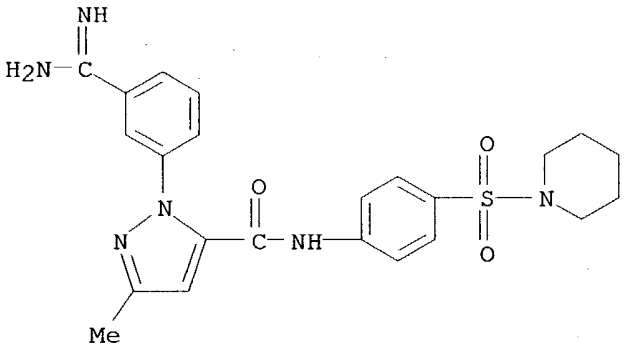


AB The title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded the title compound II. A number of compds. I were found to exhibit a Ki of ≤ 10 μM against factor Xa. Some compds. I were evaluated and found to exhibit Ki of < 10 μM against thrombin.

IT **209955-87-3P 209955-88-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)

RN 209955-87-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-3-methyl-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

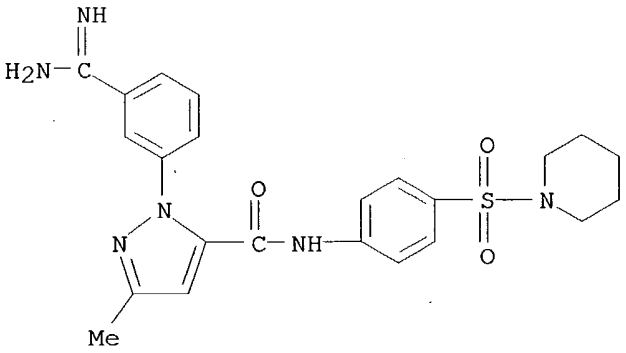


RN 209955-88-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)phenyl]-3-methyl-N-[4-(1-piperidinylsulfonyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

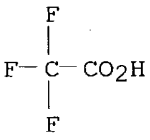
CM 1

CRN 209955-87-3
CMF C23 H26 N6 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



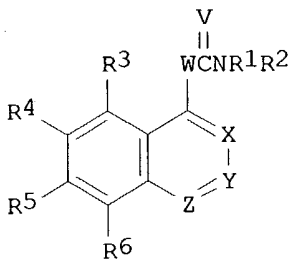
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 45 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:219795 CAPLUS
DOCUMENT NUMBER: 128:257447
TITLE: Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

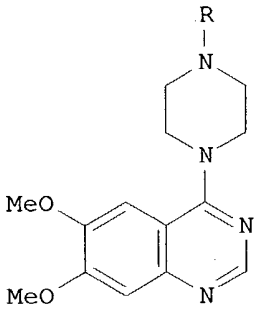
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239227	AA	19980409	CA 1997-2239227	19971001
AU 9744708	A1	19980424	AU 1997-44708	19971001
AU 719392	B2	20000511		
EP 882717	A1	19981209	EP 1997-943133	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1208404	A	19990217	CN 1997-191741	19971001
MX 9804356	A	20000831	MX 1998-4356	19980601
US 6169088	B1	20010102	US 1998-88199	19980601
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		
US 2003229077	A1	20031211	US 2002-227302	20020826
US 6750218	B2	20040615		

PRIORITY APPLN. INFO.:		
JP 1996-260743	A	19961001
WO 1997-JP3510	W	19971001
US 1998-88199	A3	19980601
US 2000-481544	A3	20000112
US 2000-734918	A3	20001213

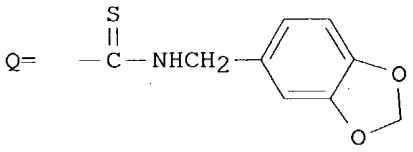
OTHER SOURCE(S): MARPAT 128:257447
GI



I



II



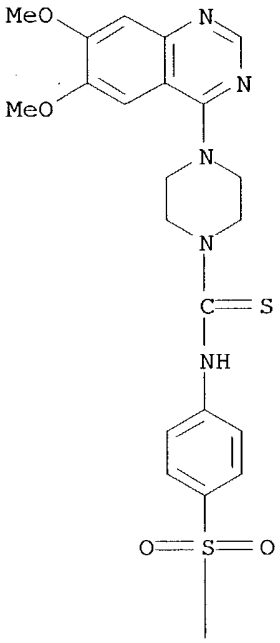
AB Nitrogenous heterocyclic compds. of general formula [I; wherein V is oxygen or sulfur; W is 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R8; Z is nitrogen or C-R7, with at least one of X, Y and Z being nitrogen; R1 is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl or the like; R2 is substituted alkyl, substituted or unsubstituted cycloalkyl or the like; R3, R4, R5 and R6 are each independently hydrogen, halogeno, substituted or unsubstituted alkyl, nitro, cyano, (un)substituted OH or NH2 or the like; R7, R8 = R1, halogeno or the like; R9 is hydrogen or acyl] and pharmacol. acceptable salts thereof are prepared These compds. inhibit the phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding Ph isocyanate, and the resulting mixture was heated at reflux for 10 min to give 4(4-quinazolinyl)piperazine derivative (II; R = CONHPh). II (R = Q) in vitro showed IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet containing II (R = N-p-nitrophenylcarbamoyl), were prepared

IT **205257-01-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogenous heterocyclic compds. inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors)

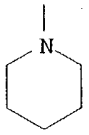
RN 205257-01-8 CAPLUS

CN 1-Piperazinecarbothioamide, 4-(6,7-dimethoxy-4-quinazolinyl)-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

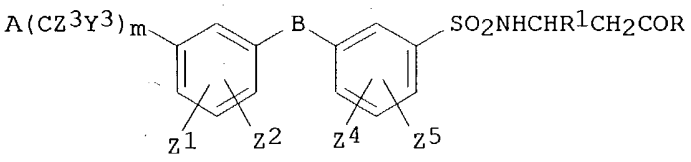


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 46 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:679051 CAPLUS
DOCUMENT NUMBER: 127:318777
TITLE: Preparation of guanidinophenylsulfonylaminophenylsulfonylaminophenylpropanoates as αvβ3 integrin inhibitors.
INVENTOR(S): Chandrakumar, Nizal; Clare, Michael; Doubleday, Wendell; Gasiecki, Alan F.; Russell, Mark A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Chandrakumar, Nizal; Clare, Michael; Doubleday, Wendell; Gasiecki, Alan F.; Russell, Mark A.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736861	A1	19971009	WO 1997-US3986	19970320
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250586	AA	19971009	CA 1997-2250586	19970320
AU 9724208	A1	19971022	AU 1997-24208	19970320
EP 889876	A1	19990113	EP 1997-919877	19970320
EP 889876	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000507952	T2	20000627	JP 1997-535278	19970320
AT 203515	E	20010815	AT 1997-919877	19970320
ES 2160949	T3	20011116	ES 1997-919877	19970320
GR 3036887	T3	20020131	GR 2001-401757	20011016
PRIORITY APPLN. INFO.:			US 1996-14415P P	19960329
			WO 1997-US3986 W	19970320

OTHER SOURCE(S): MARPAT 127:318777
GI



I

AB Title compds. [I; B = CONR50, SO2NR50; A = NR5C(Y1)NR7R8, NR5CY2(NR7); X = O, S, NR4; Y1 = NR2, O, S; R = XR3; Y2 = H, (substituted) alkyl, cycloalkyl bicycloalkyl, aryl, heterocyclyl,etc.; R1 = H, alkyl, alkenyl, alkynyl, (substituted) aryl; R2 = H, alkyl, aryl, OH, alkoxy, cyano, NO2, amino, alkenyl, alkynyl, etc.; Y2R7 = (substituted) heterocyclyl; R3, R4 = H, alkyl, alkenyl, alkynyl, haloalkyl, aryl, aralkyl, sugar residue,

steroid residue; R5 = H, alkyl, alkenyl, alkynyl, PhCH2, PhCH2CH2; R7, R8 = H, (substituted) alkyl, alkenyl, alkynyl, aralkyl, cycloalkyl, bicycloalkyl, aryl, acyl, etc.; R2R7, R7R8 = (substituted) heterocyclyl; R50 = H, alkyl; Z1, Z2, Z3, Z4 = H, alkyl, OH, alkoxy, aryloxy, aralkoxy, halo, haloalkyl, haloalkoxy, NO2, amino, aminoalkyl, cyano, alkylthio, alkylsulfonyl, carboxyl derivs., (fused) aryl, cycloalkyl, (fused) heterocyclyl, etc.; Y3, Z3 = H, alkyl, aryl, cycloalkyl, aralkyl; m = 0-2], were prepared Thus, β-[[[3-[[[3-[(aminoiminomethyl)amino]phenyl] carbonyl]amino]phenyl]sulfonyl]amino]benzenepropanoic acid trifluoroacetate (preparation given) inhibited αvβ3 integrin with IC50 = 1.66 nM.

IT **197719-62-3P**

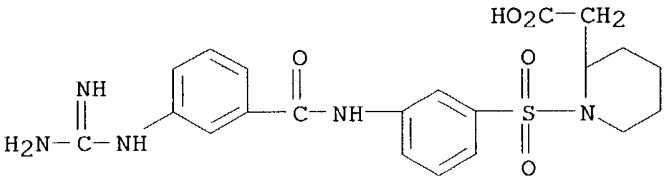
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of guanidinophenylsulfonylaminophenylsulfonylaminophenylpropanoates as αvβ3 integrin inhibitors)

RN 197719-62-3 CAPLUS

CN 2-Piperidineacetic acid, 1-[[3-[[3-[(aminoiminomethyl)amino]benzoyl]amino]phenyl]sulfonyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 197719-61-2
CMF C21 H25 N5 O5 S



CM 2

CRN 76-05-1
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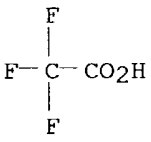


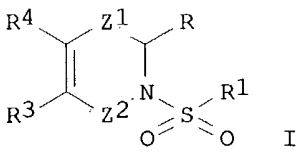
L39 ANSWER 47 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:443319 CAPLUS
DOCUMENT NUMBER: 127:65701
TITLE: Preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors
INVENTOR(S): Thorwart, Werner; Schwab, Wilfried; Schudok, Manfred; Haase, Burkhard; Bartnik, Eckart; Weithmann, Klaus-ulrich
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718194	A1	19970522	WO 1996-EP4776	19961104
W: AU, BG, BR, BY, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SI, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19542189	A1	19970515	DE 1995-19542189	19951113
DE 19612298	A1	19971002	DE 1996-19612298	19960328
AU 9675624	A1	19970605	AU 1996-75624	19961104
AU 707707	B2	19990715		
EP 861236	A1	19980902	EP 1996-938052	19961104
EP 861236	B1	20020213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000500145	T2	20000111	JP 1997-518542	19961104
RU 2164914	C2	20010410	RU 1998-111153	19961104
AT 213232	E	20020215	AT 1996-938052	19961104
PL 186869	B1	20040331	PL 1996-326702	19961104
BR 9611479	A	19990713	BR 1996-11479	19970312
US 6207672	B1	20010327	US 1999-68497	19990309
US 2001011134	A1	20010802	US 2001-780514	20010212
US 6573277	B2	20030603		
US 2003176432	A1	20030918	US 2003-376287	20030303
US 6815440	B2	20041109		

PRIORITY APPLN. INFO.:

DE 1995-19542189	A	19951113
DE 1996-19612298	A	19960328
WO 1996-EP4776	W	19961104
US 1999-68497	A3	19990309
US 2001-780514	A3	20010212

OTHER SOURCE(S): MARPAT 127:65701
GI



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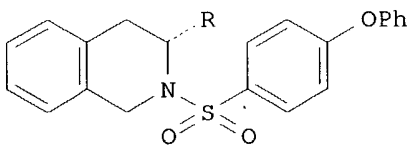


II

AB Title compds. [I; R = CO2H or CONHOH; R1 = (un)substituted phenyl(alkyl),

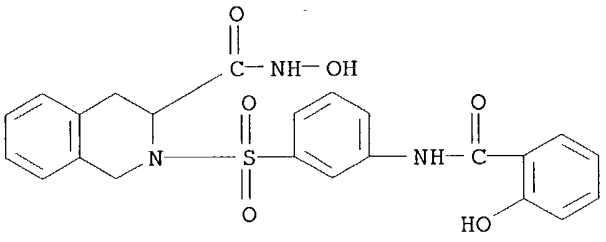
-naphthyl, etc.; R3R4 = (un)substituted CH:CHCH:CH, atoms to complete a heterocyclic ring, etc.; Z1,Z2 = (CH2)0-2] were prepared Thus, Me (R)-1,2,3,4-tetrahydroisoquinoline-3-carboxylate was N-sulfonate by 4-(PhO)C6H4SO2Cl and the product converted in 2 steps to title compound II (R = CONHOH). Data for biol. activity of I were given.

IT **191326-71-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-arylsulfonylisoquinoline-3-carboxylic and hydroxamic acids and analogs as matrix metalloproteinase inhibitors)

RN 191326-71-3 CAPLUS

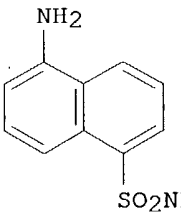
CN 3-Isoquinolinecarboxamide, 1,2,3,4-tetrahydro-N-hydroxy-2-[[3-[(2-hydroxybenzoyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 48 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:383542 CAPLUS
DOCUMENT NUMBER: 127:4936
TITLE: Preparation of 5-aminonaphthalene-1-sulfonamides
INVENTOR(S): Butenas, Saulius; Nedospasov, Andrej; Palaima, Algirdas; Staniulyte, Zita
PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania
SOURCE: Lith., 17 pp.
CODEN: LIXXFS
DOCUMENT TYPE: Patent
LANGUAGE: Lithuanian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
LT 3911	B	19960425	LT 1993-1741	19931230
PRIORITY APPLN. INFO.:			LT 1993-1741	19931230

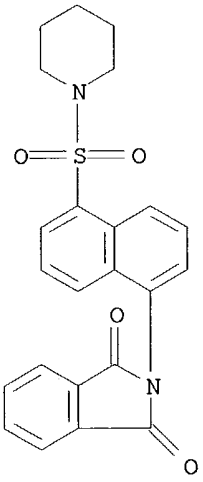
OTHER SOURCE(S): CASREACT 127:4936; MARPAT 127:4936
GI



I

AB The title compds. [I; R1, R2 = H, C1-8 alkyl, CH2CH2OH, etc.; NR1R2 = piperidino, morpholino, hexamethyleneimino], were prepared by reaction of the 5-phthalimidonaphthalenesulfonyl chloride with the corresponding amines in the presence of Et3N in Me2CO followed by treatment of the resulting 5-phthalimidonaphthalenesulfonamides with N2H4.H2O in MeOH.

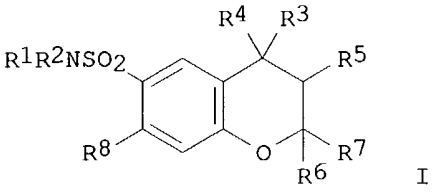
IT **176976-69-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-aminonaphthalene-1-sulfonamides)
RN 176976-69-5 CAPLUS
CN Piperidine, 1-[[5-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L89 ANSWER 49 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:77038 CAPLUS
DOCUMENT NUMBER: 126:89263
TITLE: Preparation of benzopyran-6-sulfonamides as potassium channel opening agents.
INVENTOR(S): Manley, Paul W.
PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-Gmbh; Sandoz-Erfindungen Verwaltungsgesellschaft M.B.H.; Manley, Paul W.
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9637490	A1	19961128	WO 1996-EP2257		19960524
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
IL 118382	A1	20000131	IL 1996-118382		19960522
TW 421646	B	20010211	TW 1996-85106034		19960522
CA 2217821	AA	19961128	CA 1996-2217821		19960524
AU 9660008	A1	19961211	AU 1996-60008		19960524
AU 703276	B2	19990325			
ZA 9604209	A	19971124	ZA 1996-4209		19960524
EP 828733	A1	19980318	EP 1996-917431		19960524
EP 828733	B1	20010905			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI					
CN 1185153	A	19980617	CN 1996-194146		19960524
CN 1077888	B	20020116			
BR 9609048	A	19990223	BR 1996-9048		19960524
JP 11505820	T2	19990525	JP 1996-535402		19960524
NZ 309765	A	20000128	NZ 1996-309765		19960524
RU 2160735	C2	20001220	RU 1997-120993		19960524
AT 205204	E	20010915	AT 1996-917431		19960524
ES 2163634	T3	20020201	ES 1996-917431		19960524
PT 828733	T	20020228	PT 1996-917431		19960524
PL 184144	B1	20020930	PL 1996-324042		19960524
SK 283215	B6	20030304	SK 1997-1577		19960524
FI 9703992	A	19971209	FI 1997-3992		19971017
US 5905156	A	19990518	US 1997-952549		19971120
NO 9705365	A	19980115	NO 1997-5365		19971121
HK 1014137	A1	20020719	HK 1998-113216		19981211
PRIORITY APPLN. INFO.:			GB 1995-10477	A	19950524
			WO 1996-EP2257	W	19960524

OTHER SOURCE(S): MARPAT 126:89263
GI



I

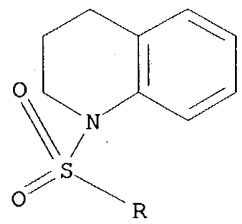
AB Title compds., e.g., (I; R1 = aryl; R2 = H, alkyl, alkylene connected to R1; R3 = acylamino; R4 = H, R5 = OH; R4R5 = bond; R6-R8 = H, alkyl), were prepared Thus, 2-piperidone in THF was treated with LiN(SiMe3)2 and then with a THF solution of 1,2,3,4-tetrahydro-1-[(1a,7b-dihydro-2,2-dimethyl-2H-oxireno[c][1]benzopyran-6-yl)sulfonyl]quinoline (preparation given) and the mixture was heated at 80° for 17 h to give trans-1,2,3,4-tetrahydro-1-[[3,4-dihydro-2,2-dimethyl-3-hydroxy-4-(2-oxopiperidin-1-yl)-2H-1-benzopyran-6-yl]sulfonyl]quinoline. Title compds. at <1 μM gave 83-98% of maximal bronchorelaxant activity in cryopreserved human bronchi.

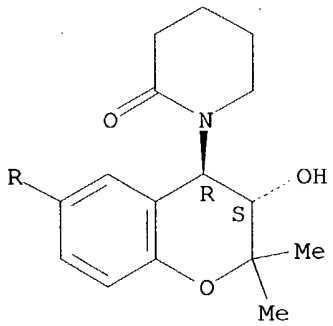
IT **185695-46-9P 185695-67-4P 185695-81-2P 185696-12-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyran-6-sulfonamides as potassium channel opening agents)

RN 185695-46-9 CAPLUS

CN Quinoline, 1-[[3,4-dihydro-3-hydroxy-2,2-dimethyl-4-(2-oxo-1-piperidinyl)-2H-1-benzopyran-6-yl]sulfonyl]-1,2,3,4-tetrahydro-, trans- (9CI) (CA INDEX NAME)

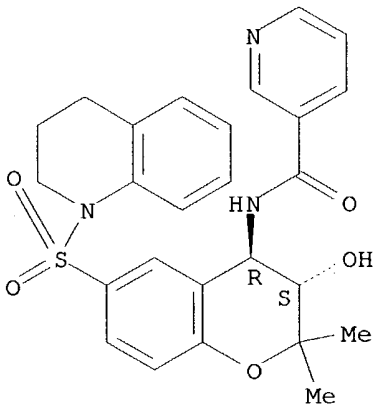
Relative stereochemistry.





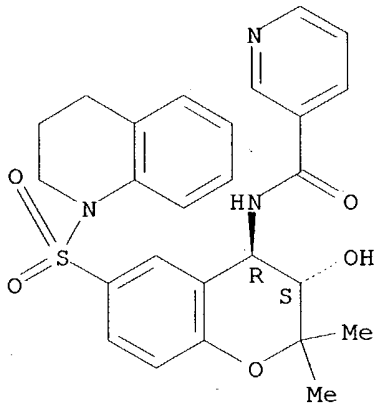
RN 185695-67-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[6-[(3,4-dihydro-1(2H)-quinolinyl)sulfonyl]-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



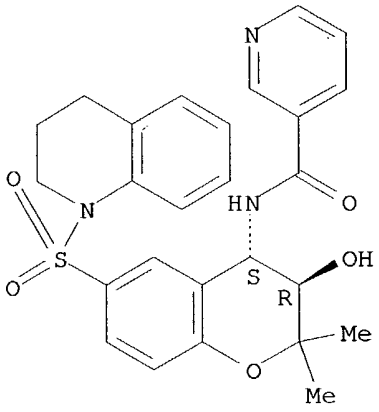
RN 185695-81-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[6-[(3,4-dihydro-1(2H)-quinolinyl)sulfonyl]-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl]-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 185696-12-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[6-[(3,4-dihydro-1(2H)-quinolinyl)sulfonyl]-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl]-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).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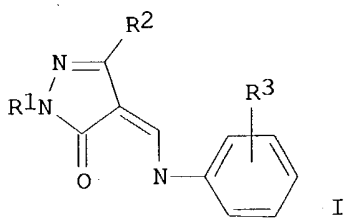
Me

L39 ANSWER 50 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:14842 CAPLUS
DOCUMENT NUMBER: 126:59948
TITLE: Preparation of 4-(arylaminomethylene)-2,4-dihydropyrazol-3-ones as selective inhibitors of cGMP specific phosphodiesterase.
INVENTOR(S): Arlt, Michael; Jonas, Rochus; Christadler, Maria; Schneider, Guenter; Klockow, Michael
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 743304	A1	19961120	EP 1996-107518	19960510
EP 743304	B1	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 19518082	A1	19961121	DE 1995-19518082	19950517
AT 235469	E	20030415	AT 1996-107518	19960510
PT 743304	T	20030829	PT 1996-107518	19960510
ES 2192588	T3	20031016	ES 1996-107518	19960510
AU 9652253	A1	19961128	AU 1996-52253	19960513
AU 713042	B2	19991125		
CA 2176649	AA	19961118	CA 1996-2176649	19960515
NO 9601996	A	19961118	NO 1996-1996	19960515
CN 1141291	A	19970129	CN 1996-107453	19960515
CN 1066138	B	20010523		
ZA 9603918	A	19961125	ZA 1996-3918	19960516
US 5869516	A	19990209	US 1996-648951	19960516
RU 2180659	C2	20020320	RU 1996-109192	19960516
CZ 291572	B6	20030416	CZ 1996-1421	19960516
PL 186049	B1	20030930	PL 1996-314286	19960516
JP 08311035	A2	19961126	JP 1996-146446	19960517

PRIORITY APPLN. INFO.: DE 1995-19518082 A 19950517
OTHER SOURCE(S): MARPAT 126:59948
GI



I

AB Title compds. [I; R1 = PhCH2, alkoxybenzyl, (substituted) Ph, pyridyl; R2 = alkyl, alkoxycarbonyl, hydroxyalkyl, carboxyalkyl; R3 = H, alkyl, alkoxy, fluoroalkyl, chloroalkyl, aminoalkanoyl, aminoalkyl, carbamoyl, aminosulfonyl], were prepared as inhibitors of cGMP-specific phosphodiesterase (no data). Thus, p-nitrophenylhydrazine hydrochloride

and Et acetoacetate were refluxed in EtOH to give 5-methyl-2-(4-nitrophenyl)-2,4-dihydropyrazol-3-one. The latter was refluxed with 2-ethylaniline in EtOH to give 4-(2-ethylphenylaminomethylene)-5-methyl-2-(4-nitrophenyl)-2,4-dihydropyrazol-3-one.

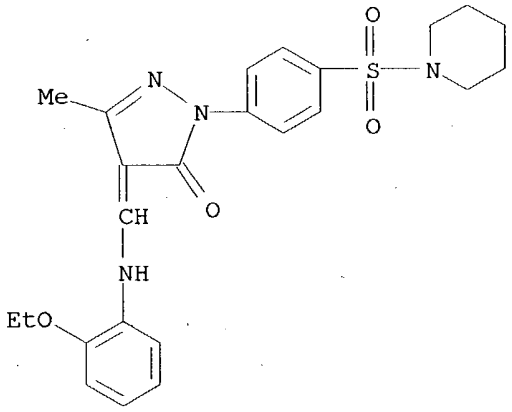
IT **184708-23-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(arylaminomethylene)-2,4-dihydropyrazol-3-ones as selective inhibitors of cGMP specific phosphodiesterase)

RN 184708-23-4 CAPLUS

CN Piperidine, 1-[[4-[4-[[(2-ethoxyphenyl)amino]methylene]-4,5-dihydro-3-methyl-5-oxo-1H-pyrazol-1-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

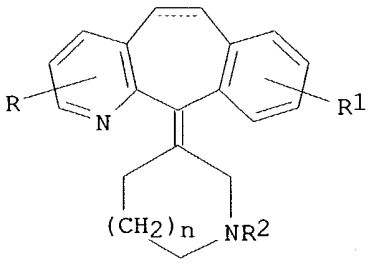


L89 ANSWER 51 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:708198 CAPLUS
DOCUMENT NUMBER: 125:317330
TITLE: Tricyclic compounds useful for inhibition of G-protein function and for treatment of proliferative diseases
INVENTOR(S): Afonso, Adriano; Kelly, Joseph M.; Wolin, Ronald L.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630017	A1	19961003	WO 1996-US3306	19960320
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5684013	A	19971104	US 1995-410442	19950324
CA 2216291	AA	19961003	CA 1996-2216291	19960320
CA 2216291	C	20010605		
AU 9653072	A1	19961016	AU 1996-53072	19960320
AU 708244	B2	19990729		
EP 814807	A1	19980107	EP 1996-909646	19960320
EP 814807	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10505102	T2	19980519	JP 1996-529429	19960320
JP 3001982	B2	20000124		
TW 473477	B	20020121	TW 1996-85103321	19960320
AT 239472	E	20030515	AT 1996-909646	19960320
ES 2198481	T3	20040201	ES 1996-909646	19960320
IL 117603	A1	20010128	IL 1996-117603	19960321
US 5703090	A	19971230	US 1996-714023	19960911
US 5958939	A	19990928	US 1997-891849	19970710

PRIORITY APPLN. INFO.:
US 1995-410442 A 19950324
US 1995-443617 B1 19950518
WO 1996-US3306 W 19960320

OTHER SOURCE(S): MARPAT 125:317330
GI



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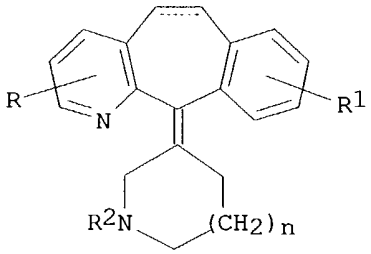
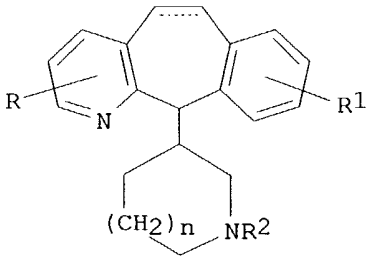


II



III

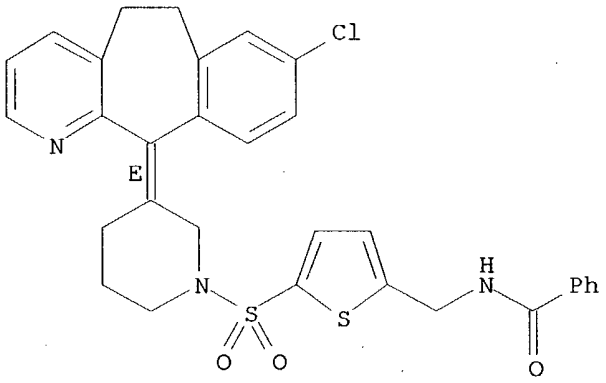
AB A method of inhibiting Ras function and therefore inhibiting cellular growth is disclosed. The method comprises the administration of I, II, or III [R, R1 = H, C1-6 alkyl, halo, OH, C1-6 alkoxy, NH2, C1-6 alkylamino, di((C1-6)alkyl)amino, CF3, SO3H, CO2R3, NO2, SO2NH2, CONHR4; R2 = R5C(O), R5CH2C(O), R5C(R6)2C(O), R5SO2, R5CH2SO2, R5SCH2C(O), R5OC(O), R5NHC(O), R5C(O)C(O), R4SC(O); R3 = C1-6 alkyl, aryl; R4 = C1-6 alkyl; R5 = C1-6 alkyl, aryl, aryl(C1-6)alkyl, aryl(C2-6)alkenyl, heteroaryl, heteroaryl(C1-6)alkyl, heteroaryl(C2-6)alkenyl, heterocycloalkyl; R6 = C1-6 alkyl, or both R4 groups together with the C to which they are attached form a C3-7 carbocyclic ring; n = 0, 1; dotted line = optional double bond] or pharmaceutically acceptable salts thereof. Preparation of compds. of the invention, as well as of intermediates, is described. Inhibition of farnesyl protein transferase and of tumor cell growth by compds. of the invention was determined Active-compound tablet and capsule formulations are included.

IT **183555-01-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic compound preparation for use in Ras inhibition, inhibition of G-protein function, and treatment of proliferative diseases)

RN 183555-01-3 CAPLUS

CN Benzamide, N-[[5-[[(3E)-3-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.


Cl
N
E
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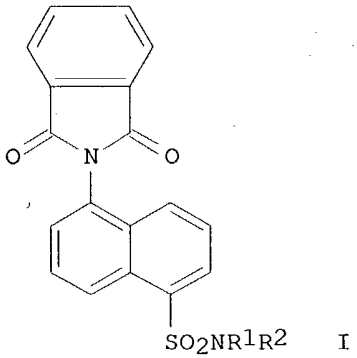
S
O
O
S
H
N
Ph
O

L39 ANSWER 52 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:323169 CAPLUS
DOCUMENT NUMBER: 125:10613
TITLE: N-Substituted 5-phthalimidonaphthalene-1-sulfonamides as intermediates for preparation of N-substituted aminonaphthalenesulfonamides
INVENTOR(S): Nedospasov, A. A.; Palajma, A. I.; Butenas, S. Yu.; Baranauskas, G. Yu.
PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR
SOURCE: U.S.S.R. From: Izobreteniya 1995, (28), 271. CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

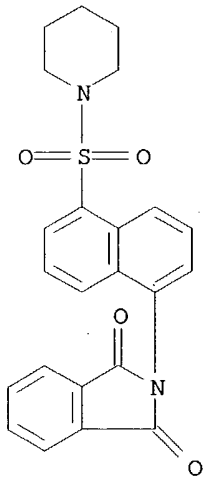
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1706174	A3	19951010	SU 1989-4648605	19890208

PRIORITY APPLN. INFO.: SU 1989-4648605 19890208
GI



I

AB Title compds. I [R1 = H, R2 = Me, Et, Bu, pentyl, octyl, cyclohexyl, 4-pyridinyl, CH2Ph; or NR1R2 = morpholino, NMe2, NEt2, NPr2, NBu2, piperidino] are disclosed as intermediates for preparation of N-substituted aminonaphthalenesulfonamides.
IT **176976-69-5P**, 1-[(5-Phthalimido-1-naphthyl)sulfonyl]piperidine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phthalimidonaphthalenesulfonamides as intermediates for aminonaphthalenesulfonamides)
RN 176976-69-5 CAPLUS
CN Piperidine, 1-[[5-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

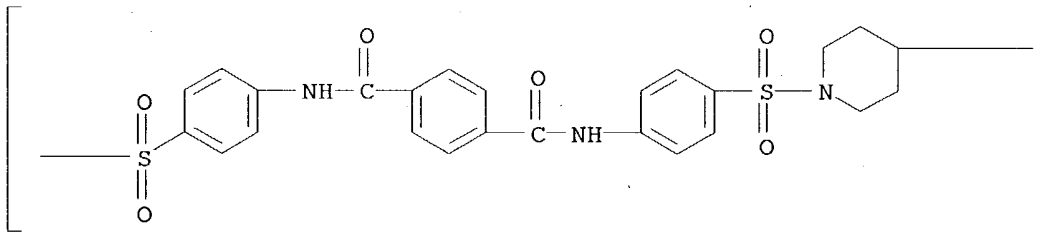


L29 ANSWER 53 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:868620 CAPLUS
DOCUMENT NUMBER: 123:287002
TITLE: Synthesis and characterization of poly(amide sulfonamide)s (PASAs)
AUTHOR(S): Chan, Winghong; Lam-Leung, Suei Yee; Ng, Chingfai; Ding, Junqi; Xi, Shiping
CORPORATE SOURCE: Dep. Chem., Hong Kong Baptist Univ., Kowloon, Hong Kong
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1995), 33(15), 2525-31
CODEN: JPACEC; ISSN: 0887-624X
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

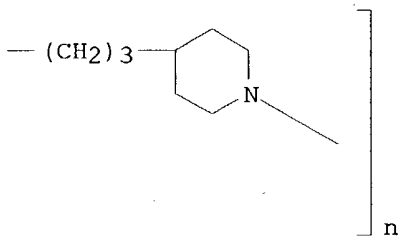
AB New diamino monomers were synthesized in a two-step reaction sequence starting from p-acetamidobenzenesulfonyl chloride. Solution polymerization of these monomers in DMAC with terephthaloyl or isophthaloyl chloride resulted in the formation of a series of 14 poly(amide sulfonamide)s (PASAs) in excellent yield (>95%). The polymers have intrinsic viscosities of 0.32-1.11 dL g-1. Except for 2 polymers, all the other 12 other PASAs were readily soluble in aprotic polar solvents including DMAC, DMF, and DMSO. Thermogravimetric analyses of the polymers showed moderate thermal stability with 10% weight loss being recorded in the range of 325-408 °C. In addition, these polymers exhibit moderate chemical stabilities toward alkali, acidic, and chromic acid solution The obtained polymers could be used for preparation for reverse osmosis membranes.

IT **163153-06-8P 163153-07-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and characterization of polyamide-polysulfonamides)
RN 163153-06-8 CAPLUS
CN Poly(1,4-piperidinediyl-1,3-propanediyl-4,1-piperidinediylsulfonyl-1,4-phenyleneiminocarbonyl-1,4-phenylenecarbonylimino-1,4-phenylenesulfonyl) (9CI) (CA INDEX NAME)

PAGE 1-A

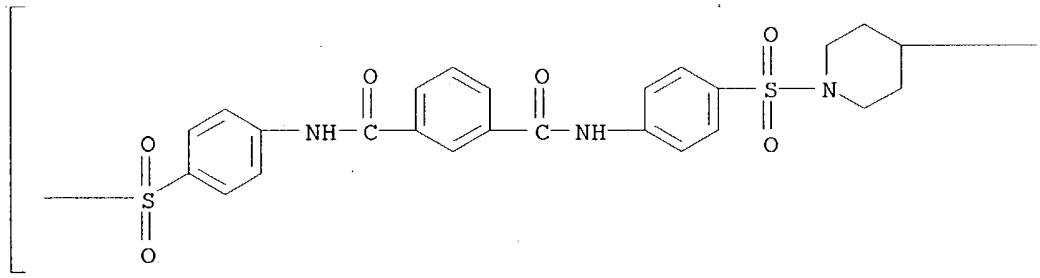


PAGE 1-B

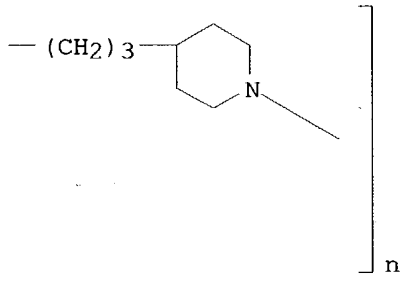


RN 163153-07-9 CAPLUS
CN Poly(1,4-piperidinediyl-1,3-propanediyl-4,1-piperidinediylsulfonyl-1,4-phenyleneiminocarbonyl-1,3-phenylenecarbonylimino-1,4-phenylenesulfonyl) (9CI) (CA INDEX NAME)

PAGE 1-A



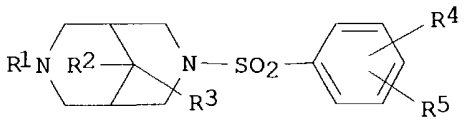
PAGE 1-B



L89 ANSWER 54 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:785009 CAPLUS
DOCUMENT NUMBER: 123:188601
TITLE: Antiarrhythmic 3-phenylsulfonyl-3,7-diazabicyclo[3.3.1]nonanes
INVENTOR(S): Schoen, Uwe; Farjam, Arman; Brueckner, Reinhard; Ziegler, Dieter
PATENT ASSIGNEE(S): Kali-Chemie Pharma GmbH, Germany
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 665228	A1	19950802	EP 1995-100954	19950125
EP 665228	B1	19990714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4402931	A1	19950803	DE 1994-4402931	19940201
IL 112364	A1	19980104	IL 1995-112364	19950117
CN 1111631	A	19951115	CN 1995-101498	19950125
AT 182149	E	19990715	AT 1995-100954	19950125
ES 2133593	T3	19990916	ES 1995-100954	19950125
HU 70174	A2	19950928	HU 1995-262	19950127
CA 2141366	AA	19950802	CA 1995-2141366	19950130
AU 9511564	A1	19950810	AU 1995-11564	19950130
ZA 9500697	A	19960207	ZA 1995-697	19950130
PL 180075	B1	20001229	PL 1995-307000	19950130
FI 9500422	A	19950802	FI 1995-422	19950131
NO 9500360	A	19950802	NO 1995-360	19950131
JP 07267954	A2	19951017	JP 1995-14204	19950131
US 5576327	A	19961119	US 1995-382262	19950201
US 5635511	A	19970603	US 1996-594946	19960131
PRIORITY APPLN. INFO.:			DE 1994-4402931 A	19940201
			US 1995-382262 A3	19950201

OTHER SOURCE(S): MARPAT 123:188601
GI



I

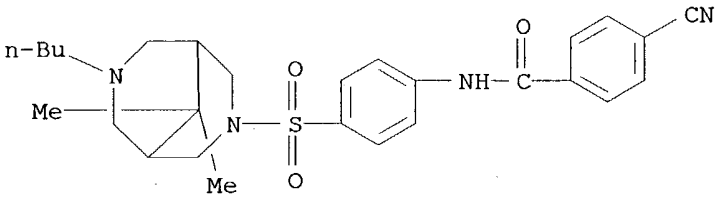
AB The title compds. (I; R1 = C1-6 alkyl, C4-7 cycloalkylalkyl; R2, R3 = lower alkyl, or R2R3 = C3-6 alkylene; R4 = halo, NO2, CF3, CN, alkoxycarbonyl, alkanesulfonamido, carboxamido; R5 = H, halo) are useful for treatment of cardiac arrhythmia in humans and large mammals. Thus, I (R1 = Bu, R2 = R3 = Me, R4 = 4-CN, R5 = H) (II) (1 μmol/kg i.v.) prolonged the effective refractory time by 15% in guinea pigs with exptl. tachycardia, and had a min. oral toxic dose >300 mg/kg in mice. II-HCl was prepared by condensation of 7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]nonane with 4-cyanobenzenesulfonyl chloride. Tablets were prepared containing II-HCl 20, corn starch 69, lactose 135, gelatin (as 10%

solution) 6, talc 5, and Mg stearate 5 mg.

IT **167552-74-1P 167552-98-9P 167553-00-6P 167553-02-8P 167553-03-9P 167553-05-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiarrhythmic phenylsulfonyldiazabicyclononanes)

RN 167552-74-1 CAPLUS

CN Benzamide, N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-4-cyano- (9CI) (CA INDEX NAME)

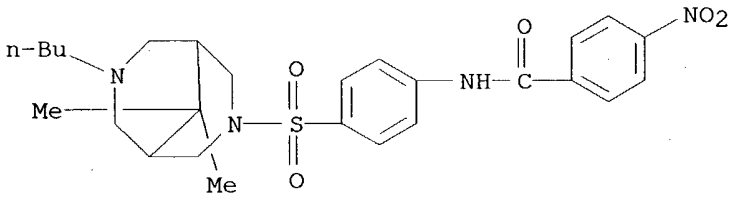


RN 167552-98-9 CAPLUS

CN Benzamide, N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-4-nitro-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

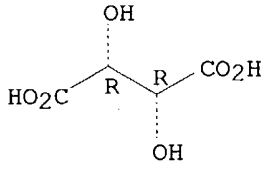
CRN 167552-97-8
CMF C26 H34 N4 O5 S



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

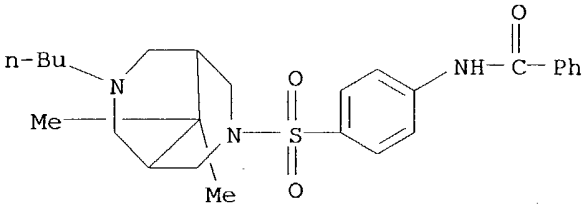


RN 167553-00-6 CAPLUS

CN Benzamide, N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

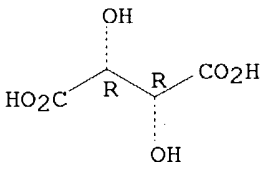
CRN 167552-99-0
CMF C26 H35 N3 O3 S



CM 2

CRN 87-69-4
CMF C4 H6 O6

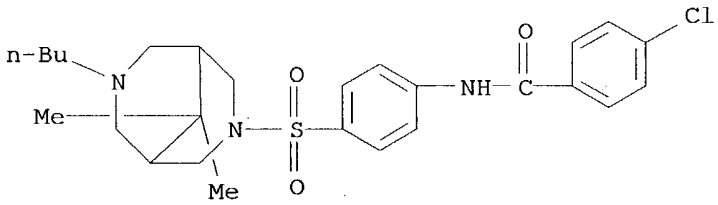
Absolute stereochemistry.



RN 167553-02-8 CAPLUS
CN Benzamide, N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-4-chloro-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

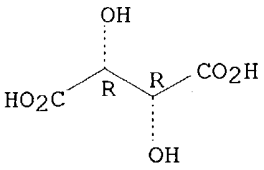
CRN 167553-01-7
CMF C26 H34 Cl N3 O3 S



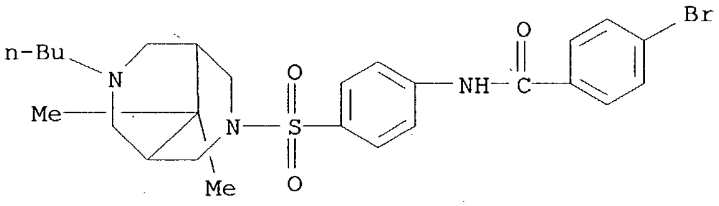
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 167553-03-9 CAPLUS
CN Benzamide, 4-bromo-N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

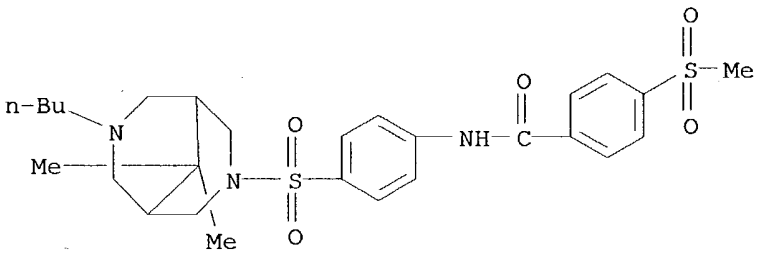


● HCl

RN 167553-05-1 CAPLUS
CN Benzamide, N-[4-[(7-butyl-9,9-dimethyl-3,7-diazabicyclo[3.3.1]non-3-yl)sulfonyl]phenyl]-4-(methylsulfonyl)-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

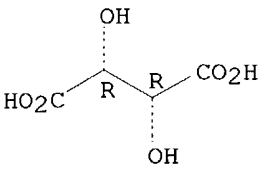
CRN 167553-04-0
CMF C27 H37 N3 O5 S2



CM 2

CRN 87-69-4
CMF C4 H6 O6

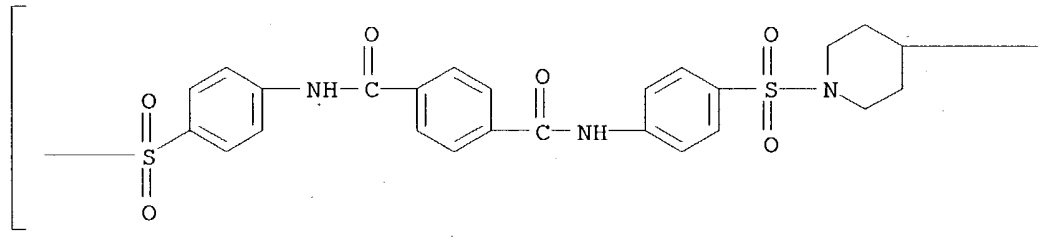
Absolute stereochemistry.



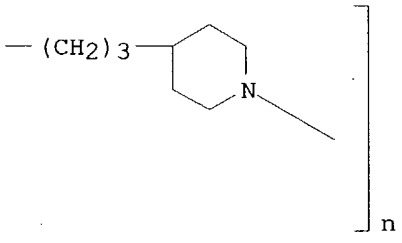
X

L39 ANSWER 55 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:135690 CAPLUS
DOCUMENT NUMBER: 122:291651
TITLE: Synthesis and characterization of sulfonamide)s (PASAs)
AUTHOR(S): Chan, Winghong; Lam-Leung, Suei Yee; Ng, Chingfai; Ding, Junqi; Xi, Shiping
CORPORATE SOURCE: Department Chemistry, Hong Kong Baptist College, Kowloon, Hong Kong
SOURCE: Polymeric Materials Science and Engineering (1993), 70, 32-3
CODEN: PMSEDG; ISSN: 0743-0515
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Total 12 poly(amide sulfonamides) were synthesized by a low-temperature solution polymerization The polymers were characterized by viscosity measurements, solubility tests, and TGA. Most of them are film forming polymeric materials with good potential for use as membrane material in reverse osmosis and pervaporation applications.
IT **163153-06-8P 163153-07-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and characterization of sulfonamides) for osmosis and pervaporation membranes)
RN 163153-06-8 CAPLUS
CN Poly(1,4-piperidinediyl-1,3-propanediyl-4,1-piperidinediylsulfonyl-1,4-phenyleneiminocarbonyl-1,4-phenylenecarbonylimino-1,4-phenylenesulfonyl) (9CI) (CA INDEX NAME)

PAGE 1-A



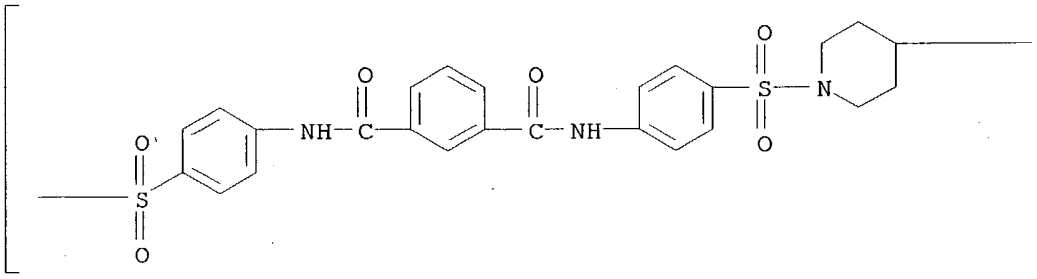
PAGE 1-B



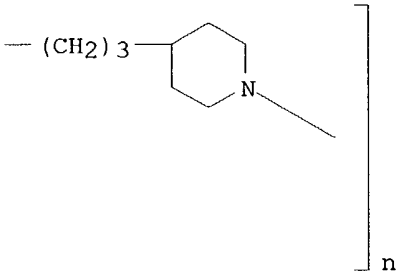
RN 163153-07-9 CAPLUS
CN Poly(1,4-piperidinediyl-1,3-propanediyl-4,1-piperidinediylsulfonyl-1,4-

phenyleneiminocarbonyl-1,3-phenylenecarbonylimino-1,4-phenylenesulfonyl)
(9CI) (CA INDEX NAME)

PAGE 1-A



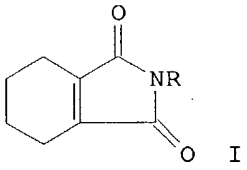
PAGE 1-B



L39 ANSWER 56 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:134277 CAPLUS
DOCUMENT NUMBER: 120:134277
TITLE: Preparation of tetrahydrophthalimide as herbicides
INVENTOR(S): Akutagawa, Kunihiko; Yamada, Junji; Yoshikawa, Harutoshi
PATENT ASSIGNEE(S): Takeda Chemical Industries Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 376 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05194386	A2	19930803	JP 1992-251814	19920807
PRIORITY APPLN. INFO.:			JP 1991-298604	19910809
OTHER SOURCE(S):	MARPAT 120:134277			

GI

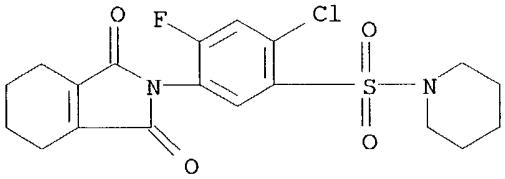


I

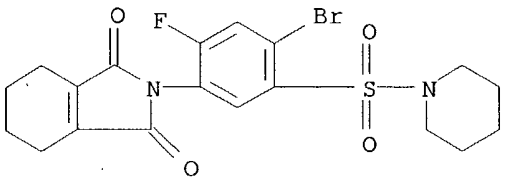
AB Title compds. I [R = (un)substituted sulfamoylphenyl] are prepared E.g., refluxing a mixture of 4-chloro-5-(aminosulfonyl)aniline and 3,4,5,6-tetrahydrophthalic anhydride in HOAc for 1 h 30 min gave the title compound I [R = 4-chloro-3-sulfamoylphenyl]. I [R = 2-fluoro-4-chloro-5-(methylsulfamoyl)phenyl] (also prepared) at 10 g/are showed 100% kill against Ipomoea purpurea.

IT **153091-70-4P 153091-71-5P 153091-77-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

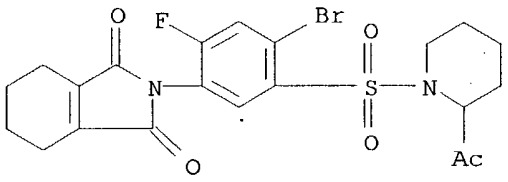
RN 153091-70-4 CAPLUS
CN Piperidine, 1-[[2-chloro-4-fluoro-5-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



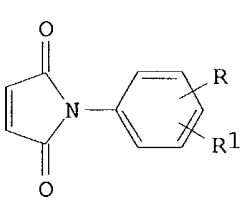
RN 153091-71-5 CAPLUS
CN Piperidine, 1-[[2-bromo-4-fluoro-5-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



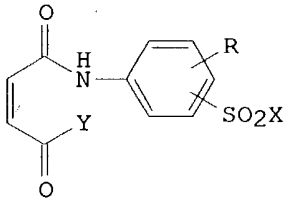
RN 153091-77-1 CAPLUS
CN Piperidine, 2-acetyl-1-[[2-bromo-4-fluoro-5-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 57 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:134188 CAPLUS
DOCUMENT NUMBER: 120:134188
TITLE: Chlorosulfonation of N-arylmaleimides
AUTHOR(S): Tome, Augusto C.; Cavaleiro, Jose A. S.; Domingues, Fernando M. J.; Cremlyn, Richard J.
CORPORATE SOURCE: Dep. Chem., Univ. Aveiro, Aveiro, 3800, Port.
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1993), 79(1-4), 187-94
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



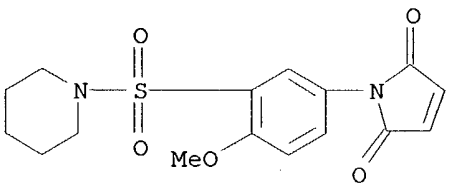
II

AB N-phenylmaleimides, o-, m- and p-substituted I (R = 2-, 3-, 4-MeO, 4-Me, R1 = H) reacted with excess chlorosulfonic acid to give the corresponding sulfonyl chlorides I (R1 = 3-, 5-, 6-SO2Cl). These were condensed with amines and phenols to give derivs. I (R1 = SO2X, X = NMe2, NHCHMe2, NHPh, piperidino, etc.; X = OAr, Ar = 3-, 4-O2NC6H4, 4-ClC6H4, C6Cl5) which underwent hydrolysis or ammonolysis to give resp. the sulfamoyl maleamic acids II (Y = OH) and sulfamoyl maleamides II (Y = NH2).

IT **152904-17-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 152904-17-1 CAPLUS

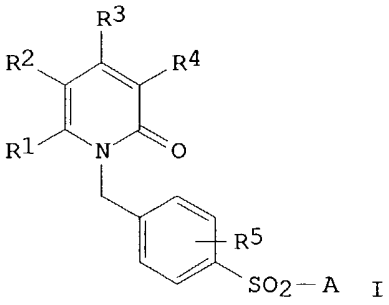
CN Piperidine, 1-[[5-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-2-methoxyphenyl]sulfonyl]- (9CI) (CA INDEX NAME)



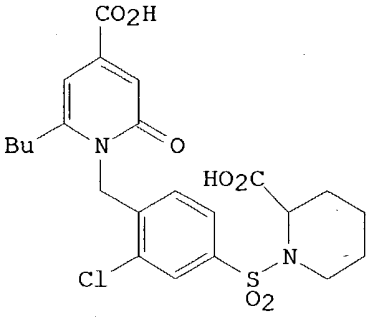
L89 ANSWER 58 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:8478 CAPLUS
DOCUMENT NUMBER: 120:8478
TITLE: Sulfonylbenzyl-substituted pyridones as angiotensin II antagonists
INVENTOR(S): Hanko, Rudolf; Huebsch, Walter; Dressel, Juergen; Fey, Peter; Kraemer, Thomas; Mueller, Ulrich E.; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 557843	A2	19930901	EP 1993-102326	19930215
EP 557843	A3	19931201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4206045	A1	19930902	DE 1992-4206045	19920227
US 5254543	A	19931019	US 1993-19000	19930218
CA 2090267	AA	19930828	CA 1993-2090267	19930224
AU 9333770	A1	19930902	AU 1993-33770	19930224
AU 653288	B2	19940922		
JP 06041081	A2	19940215	JP 1993-61017	19930225
ZA 9301370	A	19930323	ZA 1993-1370	19930226
HU 64057	A2	19931129	HU 1993-545	19930226

PRIORITY APPLN. INFO.: DE 1992-4206045 A 19920227
OTHER SOURCE(S): MARPAT 120:8478
GI



I



II

AB Several title compds. I [R1 = (un)substituted alkyl, cycloalkyl; R2, R3, R4 = H, cyano, perfluoroalkyl, (un)substituted alkyl, acyl, alkoxycarbonyl, CO2CH2Ph, CO2H, (un)substituted Ph, CONR6R7; R5 = H, halo, alkyl, perfluoroalkyl, OX; R6, R7 = H, alkyl, aryl, aralkyl; X = H, CH2Ph, protecting group, alkyl; A = (un)substituted N-bound 3- to 8-membered saturated N-heterocyclyl containing 0-2 addnl. S, N, or O atoms] and salts were prepared as angiotensin II (A-II) antagonists, and particularly for treatment of arterial hypertension and atherosclerosis. Thus, N-alkylation of 6-butyl-4-(benzyloxycarbonyl)-2-oxo-1,2-dihydropyridine

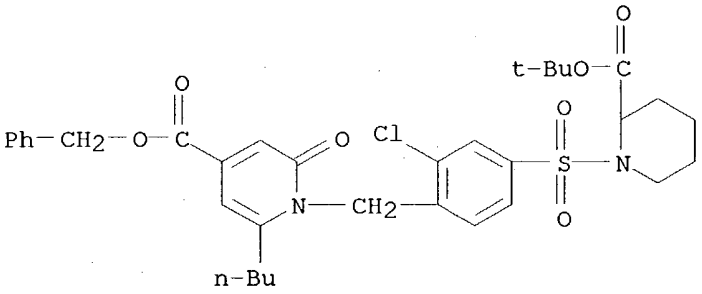
with (±)-4-(bromomethyl)-3-chlorobenzenesulfonic acid 2-(tert-butoxycarbonyl)piperidinide (prepns. given) using Cs2CO3 in MeOCH2CH2OMe, followed by deprotection, gave title compound (±)-II. The pyrrolidide analog of II, i.e. with A = 2-carboxypyrrolidino, inhibited A-II-induced contraction of isolated rabbit aorta dose-dependently with IC50 = 280 nM (no addnl. biol. data).

IT **151258-04-7 151258-05-8 151258-06-9 151258-10-5 151258-11-6 151258-12-7 151258-13-8 151258-15-0 151258-16-1 151258-17-2**

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as angiotensin II antagonist)

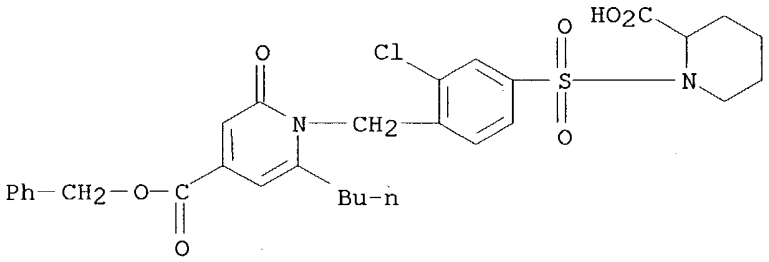
RN 151258-04-7 CAPLUS

CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[2-chloro-4-[[2-[(1,1-dimethylethoxy)carbonyl]-1-piperidinyl]sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



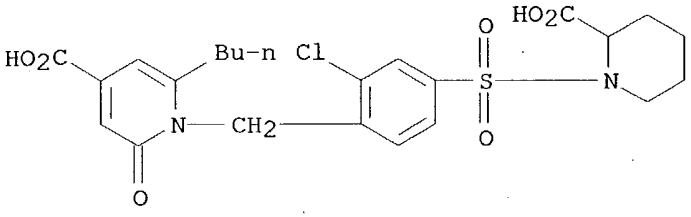
RN 151258-05-8 CAPLUS

CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]-2-chlorophenyl]methyl]-1,2-dihydro-2-oxo-, 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

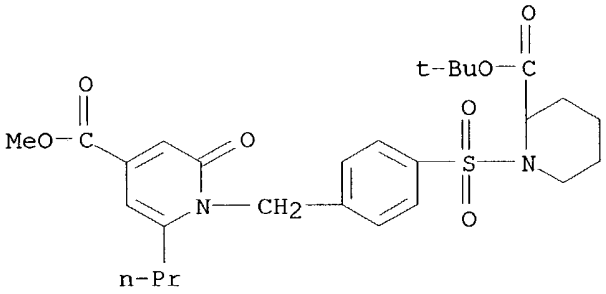


RN 151258-06-9 CAPLUS

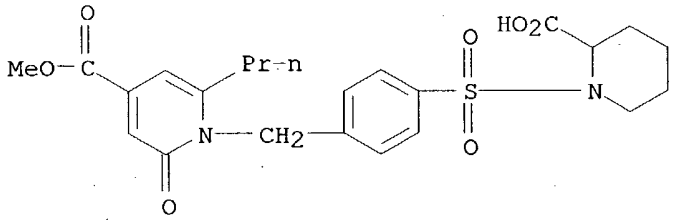
CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]-2-chlorophenyl]methyl]-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)



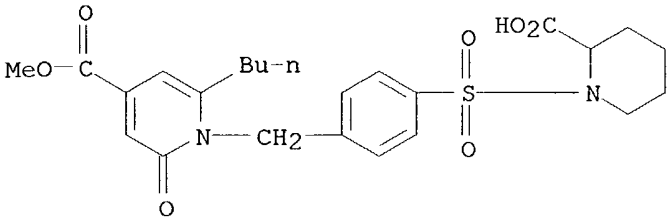
RN 151258-10-5 CAPLUS
CN 4-Pyridinecarboxylic acid, 1-[[4-[[2-[(1,1-dimethylethoxy)carbonyl]-1-piperidinyl]sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-6-propyl-, methyl ester (9CI) (CA INDEX NAME)



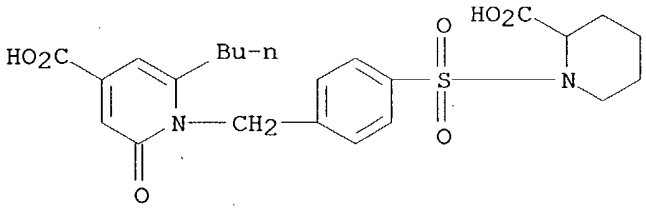
RN 151258-11-6 CAPLUS
CN 4-Pyridinecarboxylic acid, 1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-6-propyl-, 4-methyl ester (9CI) (CA INDEX NAME)



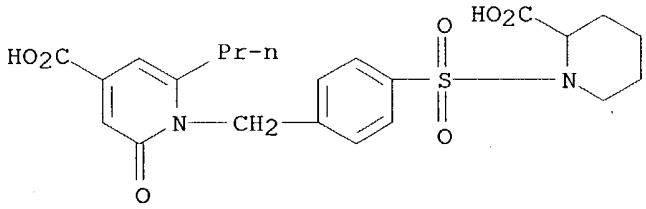
RN 151258-12-7 CAPLUS
CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-, 4-methyl ester (9CI) (CA INDEX NAME)



RN 151258-13-8 CAPLUS
CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

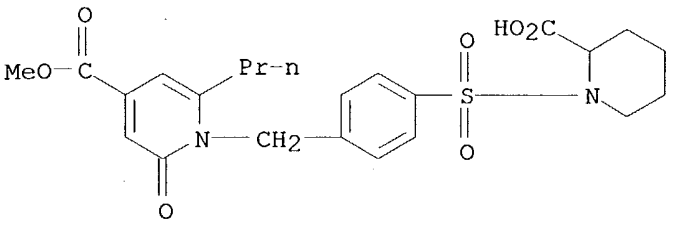


RN 151258-15-0 CAPLUS
CN 4-Pyridinecarboxylic acid, 1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-6-propyl-, disodium salt (9CI) (CA INDEX NAME)



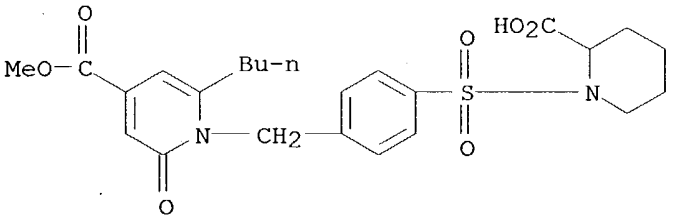
●2 Na

RN 151258-16-1 CAPLUS
CN 4-Pyridinecarboxylic acid, 1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-6-propyl-, 4-methyl ester, sodium salt (9CI) (CA INDEX NAME)



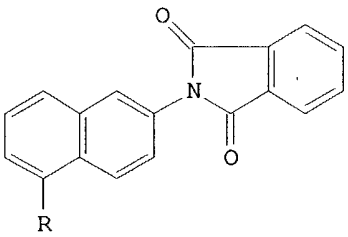
● Na

RN 151258-17-2 CAPLUS
CN 4-Pyridinecarboxylic acid, 6-butyl-1-[[4-[(2-carboxy-1-piperidinyl)sulfonyl]phenyl]methyl]-1,2-dihydro-2-oxo-, 4-methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na

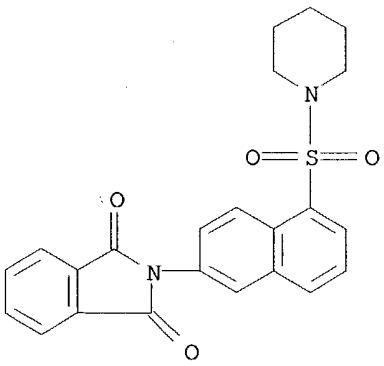
L39 ANSWER 59 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:516913 CAPLUS
DOCUMENT NUMBER: 119:116913
TITLE: Synthesis of substituted 6-aminonaphthalene-1-sulfamides
AUTHOR(S): Palaima, A.; Butenas, S.; Talaikyte, Z.
CORPORATE SOURCE: Inst. Biokhim., Lithuania
SOURCE: Chemija (1991), (3), 144-53
CODEN: CHMJES; ISSN: 0235-7216
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 119:116913
GI



I

AB Treating the amine group in 6-H2NC10H6SO3H or its Na or ammonium salts with phthalic anhydride in refluxing pyridine afforded directly the pyridinium salt of phthalimide derivative I (R = SO3-.HNC5H5+) in 63, 54, and 46% yields, resp. Subsequent reaction with PCl5 afforded I (R = SO2Cl), which upon reaction with amines afforded sulfamides I (R = SO2NR1R2; R1 = e.g., H, alkyl; R2 = alkyl; NR1R2 = e.g., morpholino). Deprotection was carried out by hydrazinolysis in MeOH, to afford 6-H2NC10H6SO2NR1R2 (II). The fluorescence of II suggested these compds. may be applied as fluorogenic groups for peptide substrates.

IT **145045-52-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrazinolysis of)
RN 145045-52-9 CAPLUS
CN Piperidine, 1-[[6-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



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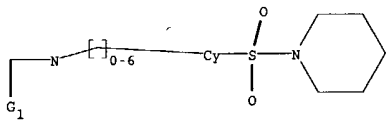

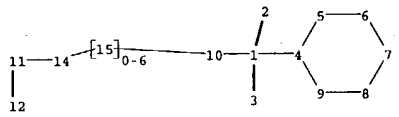

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ring nodes :
4 5 6 7 8 9
ring/chain nodes :
11 14
chain bonds :
1-2 1-3 1-4 1-10 10-15 11-12 14-15
ring/chain bonds :
11-14
ring bonds :
4-5 4-9 5-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-3 1-4 1-10 4-5 4-9 5-6 6-7 7-8 8-9 10-15 11-14 11-12 14-15

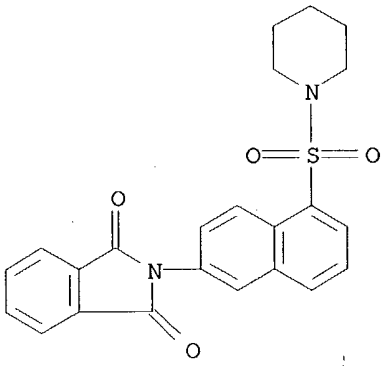
G1:O,S

Match level :
1:CLASS 2:CLASS 3:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 14:CLASS 15:CLASS

L39 ANSWER 60 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:34938 CAPLUS
DOCUMENT NUMBER: 118:34938
TITLE: Substituted 6-aminoaphthalene-1-sulfamides as fluorogenic leaving groups of synthetic protease substrates
AUTHOR(S): Talaikyte, Z.; Butenas, S.; Palaima, A.
CORPORATE SOURCE: Inst. Biochem., Vilnius, Lithuania
SOURCE: Bioorganicheskaya Khimiya (1992), 18(6), 828-36
CODEN: BIKHD7; ISSN: 0132-3423
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Alkyl substituted 6-aminonaphthalene-1-sulfamides (ANSA), hydrobromides of substituted 6-(Nα-benzyloxycarbonyl-L-arginyl)aminonaphthalene-1-sulfamides (Z-Arg-ANSA) and hydrobromides of 6-(benzyloxycarbonylglycylglycyl-L-arginyl)aminonaphthalene-2-sulfamides (Z-Gly-Gly-Arg-ANSA) are synthesized and their absorption and emission spectra measured. ANSA have an emission band at 470-480 nm, comparable or exceeding in intensity that of compds. used as fluorogenic leaving groups in peptide cleavage reactions. The bands of Z-Arg-ANSA and Z-Gly-Gly-ANSA are shifted to the short-wave side and do not overlap with ANSA's emission band. Reactions of Z-Arg-ANSA and Z-Gly-Gly-Arg-ANSA with trypsin were studied. The kinetic parameters (kcat and Km) of the reaction of Z-Arg-ANSA were found to depend on the nature and the number of substituents in the sulfamide. In the case of Z-Gly-Gly-Arg-ANSA, this dependence is negligible and kcat/Km exceeds by over ten times this parameter of Z-Arg-ANSA. ANSA can apparently be used in the synthesis of fluorogenic substrates of proteases.

IT **145045-52-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrazinolysis of)
RN 145045-52-9 CAPLUS
CN Piperidine, 1-[[6-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 61 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:81833 CAPLUS
DOCUMENT NUMBER: 114:81833
TITLE: Preparation of 2,3-dihydro-1H-pyrrolo [1,2-a]benzimidazole-6-sulfonamides
INVENTOR(S): Kukalenko, S. S.; Frolov, S. I.; Lim, I. K.
PATENT ASSIGNEE(S): All-Union Scientific-Research Institute of Chemicals for Plant Protection, USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret. 1990, (31), 113. CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

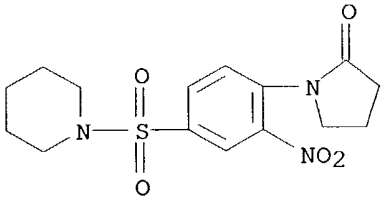
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1587052	A1	19900823	SU 1988-4449414	19880627

PRIORITY APPLN. INFO.: SU 1988-4449414 19880627

AB R1SO2NR2 [NR2 = NHPr, NEt2, NBu2, N(CH2CHMe2)2, pyrrolidino, piperidino, morpholino; R1 = 2,3-dihydro-1H-pyrrolo[1,2-a]benzimidazol-6-yl] were prepared by heating 4,3-R2(O2N)C6H3SO2NR2 (R2 = 2-pyrrolidino) with SnCl2 in concentrated HCl at 80-90° followed by decomposition of the Sn-containing derivative with aqueous NaOH.

IT **132028-54-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of, in preparation of pyrrolobenzimidazolesulfonamides)

RN 132028-54-7 CAPLUS
CN Piperidine, 1-[[3-nitro-4-(2-oxo-1-pyrrolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 62 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:612028 CAPLUS
DOCUMENT NUMBER: 113:212028
TITLE: Preparation of 8H-pyrido[4',3':4,5]thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepines as platelet activating factor (PAF) inhibitors
INVENTOR(S): Okano, Kazuo; Miyazawa, Shuhei; Clark, Richard Stephen John; Abe, Shinya; Kawahara, Tetsuya; Shimomura, Naoyuki; Asano, Osamu; Yoshimura, Hiroyuki; Miyamoto, Mitsuaki; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 135 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 367110	A1	19900509	EP 1989-119910	19891026
EP 367110	B1	19990811		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 95708	B	19951130	FI 1989-4867	19891013
FI 95708	C	19960311		
CA 2000985	AA	19900430	CA 1989-2000985	19891018
CA 2000985	C	20000118		
AU 8943761	A1	19900503	AU 1989-43761	19891026
AU 621413	B2	19920312		
EP 606103	A1	19940713	EP 1994-101416	19891026
EP 606103	B1	20030312		
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EP 677524	A1	19951018	EP 1995-111206	19891026
EP 677524	B1	20020213		
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AT 183187	E	19990815	AT 1989-119910	19891026
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NO 175259	C	19940921		
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DK 8905406	A	19900501	DK 1989-5406	19891030
CN 1042356	A	19900523	CN 1989-108238	19891030
CN 1028640	B	19950531		
HU 53106	A2	19900928	HU 1989-5609	19891030
HU 217127	B	19991129		
DD 293587	A5	19910905	DD 1989-334044	19891030
RU 2117670	C1	19980820	RU 1989-4742387	19891030
US 5382579	A	19950117	US 1991-751632	19910826
US 5221671	A	19930622	US 1991-778563	19911017
NO 9203459	A	19900502	NO 1992-3459	19920904
US 5438045	A	19950801	US 1993-52721	19930427
US 5304553	A	19940419	US 1993-68349	19930528
CN 1121076	A	19960424	CN 1994-100504	19940117
CN 1036520	B	19971126		
US 5409909	A	19950425	US 1994-214850	19940318

US 5482937	A	19960109	US 1994-318971		19941006
US 5468740	A	19951121	US 1995-386533		19950210
PRIORITY APPLN. INFO.:			JP 1988-275460	A	19881031
			JP 1988-297068	A	19881124
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			JP 1988-331622	A	19881228
			US 1989-421929	B2	19891016
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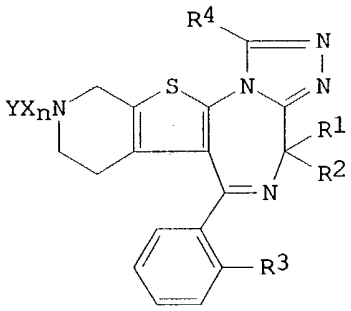
			NO 1989-4287	A1	19891027
			US 1990-506928	B1	19900410
			US 1991-751632	A3	19910826
			US 1991-778563	A3	19911017
			US 1993-52721	A3	19930427
			US 1994-318971	A3	19941006

OTHER SOURCE(S): MARPAT 113:212028

GI



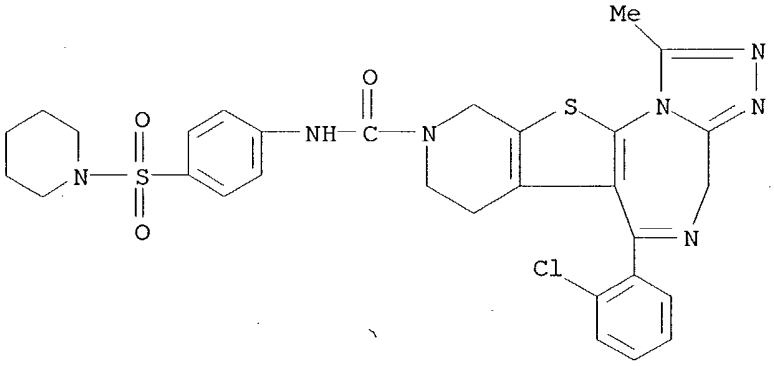
I

AB Title compds. I (R1, R2 = H, alkyl; R3 = H, halo; R4 = H, alkyl; X = O2C, R5NCO, R5 = H, alkyl, R6OP(O)O, R6 = alkyl, SO2; n = 0, 1; Y = (un)substituted cycloalkyl, cycloalkylalkyl, alkynyl, alkylnitrilo, nitrilophenyl, heterocyclylalkyl, arylalkyl, arylalkenyl, cyclopropylalkenyl, etc.) are prepared as PAF inhibitors; I are useful in treatment of allergic and asthmatic diseases. 1-Cyano-1-methylethyl Ph carbonate and 6-(2-chlorophenyl)-11-methyl-2,3,4,5-tetrahydro-8H-pyrido[4',3':4,5]thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine in CHCl3 were heated at 120° for 1 h to give I (R1 = R2 = H; R3 = Cl; R4 = Me; YXn = NCCMe2O2C) (II). In a PAF receptor binding assay to human platelet the IC50 for II was 0.0033 μM.

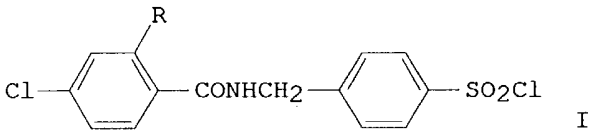
IT **130310-78-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as platelet activating factor inhibitor)

RN 130310-78-0 CAPLUS

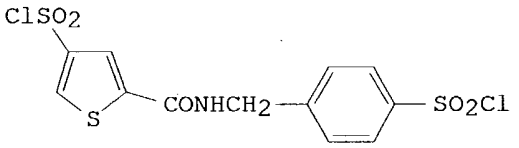
CN 4H-Pyrido[4',3':4,5]thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine-9(8H)-carboxamide, 6-(2-chlorophenyl)-7,10-dihydro-1-methyl-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)


Me
N
N
N
S
N
O
NH
C
N
O
S
N
O
N
Cl

L39 ANSWER 63 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:178264 CAPLUS
DOCUMENT NUMBER: 112:178264
TITLE: Chlorosulfonation of N-benzyl carboxamides
AUTHOR(S): Cremlyn, Richard; Ellis, Linda; Pinney, Anthony
CORPORATE SOURCE: Div. Chem. Sci., Hatfield Polytech., Hatfield/Hertfordshire, AL10 9AB, UK
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1989), 44(3-4), 167-75
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:178264
GI



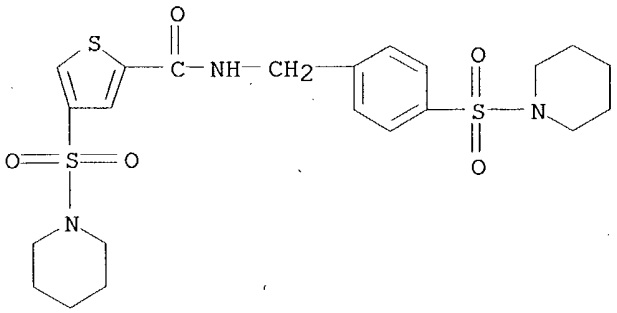
I



II

AB N-Benzyl p-chloro- and 2,4-dichlorobenzamide reacted with chlorosulfonic acid to give the I (R = H, Cl) resp. On the other hand, N-benzylthiophene-2-carboxamide afforded the disulfonyl chloride II. The sulfonyl chlorides I and II were condensed with N-nucleophiles to give 22 derivs. The spectral data of the compds. are briefly discussed, together with the results of preliminary biol. screening against fungi, insects and weeds. Some where active against wheat rust and against downy mildew but were inactive against insects and weeds.

IT **126572-01-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of)
RN 126572-01-8 CAPLUS
CN 2-Thiophenecarboxamide, 4-(1-piperidinylsulfonyl)-N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

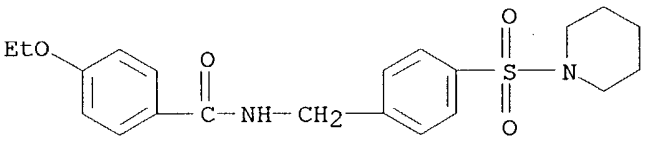


L39 ANSWER 64 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:118396 CAPLUS
DOCUMENT NUMBER: 112:118396
TITLE: Arylsulfonic acid derivatives. XVII. Synthesis of N-[γ-(N,N-disubstituted sulfamoylphenyl)alkyl]-4-alkoxybenzamides
AUTHOR(S): Grigoryan, L. A.; Kaldrikyan, M. A.; Paronikyan, R. V.
CORPORATE SOURCE: Inst. Tonkoi Org. Khim., Yerevan, USSR
SOURCE: Armyanskii Khimicheskii Zhurnal (1989), 42(4), 236-40
CODEN: AYKZAN; ISSN: 0515-9628
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 112:118396

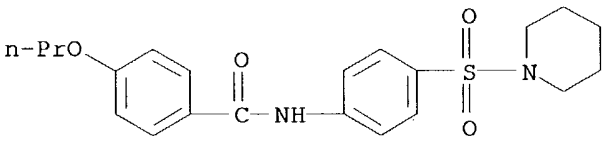
AB Chlorosulfonylation of 4-ROC6H4CONH(CH2)nPh (R = Et, Pr, Bu, n = 0, 1, 2) by ClO2SOH gave 4-ROC6H4CONH(CH2)nC6H4SO2Cl-4 which were amidated by NHR1R2 (NH3, piperidine, bis(2-chloroethyl)amine, Et2NH, morpholine) to give 20-40% 4-ROC6H4CONH(CH2)nC6H4SO2NR1R2-4. An alternative route from PhCH2CN, ClO2SOH, and piperidine followed by nitrile reduction and amidation by 4-BuOC6H4COCl gave 12% 4-BuOC6H4CONHCH2CH2C6H4SO2NR1R2-4 (NR1R2 = piperidino).

IT **125535-69-5P 125535-70-8P 125535-71-9P**
125535-75-3P 125535-77-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

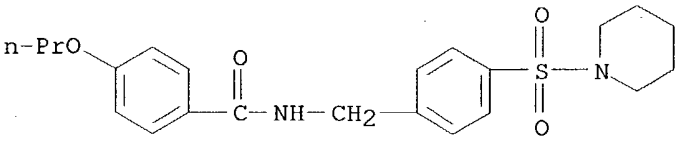
RN 125535-69-5 CAPLUS
CN Benzamide, 4-ethoxy-N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



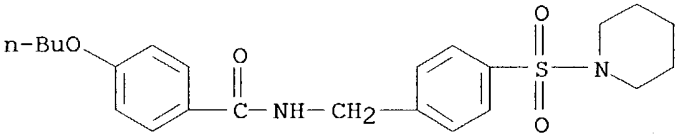
RN 125535-70-8 CAPLUS
CN Benzamide, N-[4-(1-piperidinylsulfonyl)phenyl]-4-propoxy- (9CI) (CA INDEX NAME)



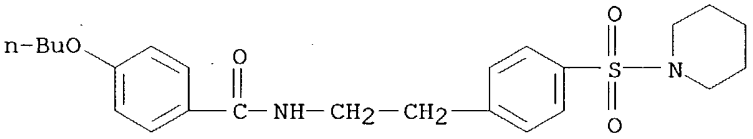
RN 125535-71-9 CAPLUS
CN Benzamide, N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]-4-propoxy- (9CI) (CA INDEX NAME)



RN 125535-75-3 CAPLUS
CN Benzamide, 4-butoxy-N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 125535-77-5 CAPLUS
CN Benzamide, 4-butoxy-N-[2-[4-(1-piperidinylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

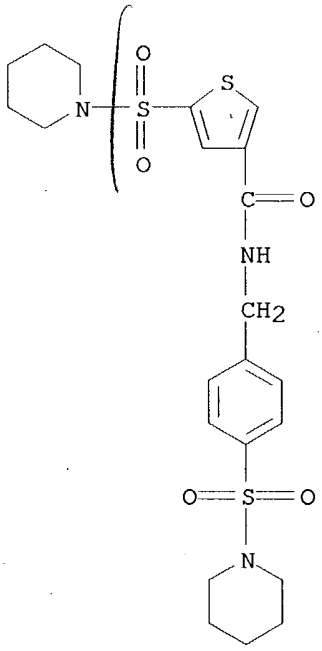


L39 ANSWER 65 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:589437 CAPLUS
DOCUMENT NUMBER: 111:189437
TITLE: A comparison of positive ion and negative ion fast atom bombardment mass spectral data for some sulfonyl hydrazones and derivatives
AUTHOR(S): New, A. P.; Haskins, N. J.; Frearson, M. J.
CORPORATE SOURCE: SK and F Res. Ltd., Welwyn/Herts, AL6 9AR, UK
SOURCE: Biomedical & Environmental Mass Spectrometry (1989), Volume Date 1988, 18(8), 620-3
CODEN: BEMSEN; ISSN: 0887-6134
DOCUMENT TYPE: Journal
LANGUAGE: English

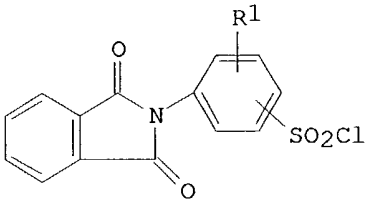
AB A number of sulfonyl hydrazones and derivs. have been synthesized and tested for biol. activity as pesticides during the crop protection research program at the Hatfield Polytechnic. A comparative ionization study of some of these compds. using electron impact (EI), fast atom bombardment (FAB) and various chemical ionization methods showed FAB mass spectrometry to be the optimum technique to use in terms of mol. weight information obtained. FAB mass spectral data were compared in pos. and neg. ion mode using an alternating pos. and neg. ion detection system.

IT **123297-61-0**
RL: PRP (Properties)
(mass spectra of, pos. ion and neg. ion fast atom bombardment, comparison of)

RN 123297-61-0 CAPLUS
CN 3-Thiophenecarboxamide, 5-(1-piperidinylsulfonyl)-N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L89 ANSWER 66 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:5636 CAPLUS
DOCUMENT NUMBER: 108:5636
TITLE: Phthalimidobenzenesulfonyl derivatives
AUTHOR(S): Cremlyn, R. J.; Swinbourne, F. J.; Nunes, R. J.
CORPORATE SOURCE: Div. Chem. Sci., Hatfield Polytech., Hatfield/Herts., UK
SOURCE: Quimica Nova (1985), 8(1), 61-2
CODEN: QUNODK; ISSN: 0100-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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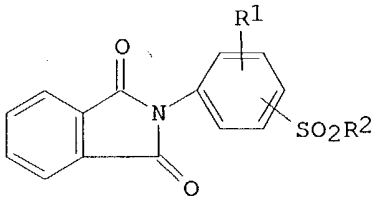
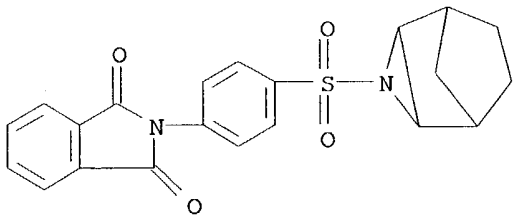


II

AB Sulfonyl chlorides I (R1 = H, Cl) were converted to the resp. sulfonamides II [R2 = NMe2, NHR3 (R3 = Ph, PhCH2, anisyl, ClC6H4, NH2, NMe2), NHN:CR4R5 (R4 = H and R5 = Ph, O2NC6H4, anisyl; R4 = R5 = Me; CR4R5 = cyclopentylidene), morpholino, N3, N:P(OEt)3]. II showed potential fungicidal activity.

IT **92082-91-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as fungicide)

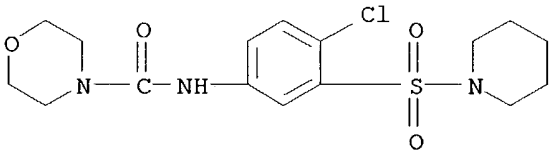
RN 92082-91-2 CAPLUS
CN 3-Azatricyclo[3.2.1.02,4]octane, 3-[[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



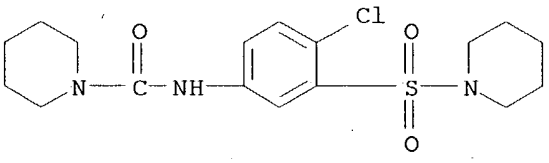
L9 ANSWER 67 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:549199 CAPLUS
DOCUMENT NUMBER: 107:149199
TITLE: Synthesis of different types of chlorinated sulfonamides with expected insecticidal and bactericidal activities
AUTHOR(S): El-Sharief, A. A. S.; Mohamd, Y. A.; Ammar, Y. A.; Hussin, M. E.; Zahran, M. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1987), 53(1), 179-88
CODEN: PIPSBD; ISSN: 0370-0046
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:149199

AB 2- And 4-chlorobenzoic acid-5-sulfonyl chlorides were reacted with various amines and with 2-mercaptobenzothiazole to give sulfonamides and thiosulfonic acid esters, resp. Interaction of sulfonamides with amines gave the sulfonamide derivs. of anthranilic and p-aminobenzoic acids, resp. Some of the chlorinated sulfonamides were combined with various groups (amide, ester, thioester, urea and thiocarbamate) to enhance their activities. Most of the chlorobenzoic sulfonamides were active against tested bacteria and fungi; 4-chlorobenzoic sulfonamides had especially high activity against Candida utilis. The activity of these compds. against Spodoptera littoralis was discussed.

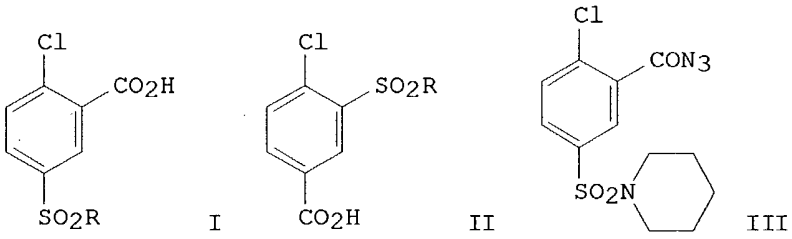
IT **109030-27-5P 109051-11-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 109030-27-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[4-chloro-3-(1-piperidinylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



RN 109051-11-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[4-chloro-3-(1-piperidinylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)



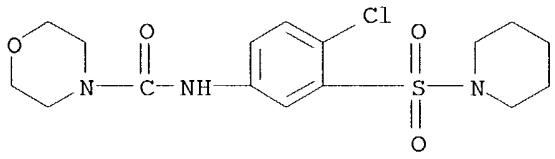
L89 ANSWER 68 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:439312 CAPLUS
DOCUMENT NUMBER: 107:39312
TITLE: Synthesis of different types of chlorinated sulfonamides with expected insecticidal and antimicrobial activities
AUTHOR(S): Mohamed, Y. A.; Ammar, Y. A.; El-Sharief, A. A.; Hussein, M. E.; Zahran, M. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr-City, Egypt
SOURCE: Acta Pharmaceutica Jugoslavica (1986), 36(3), 301-10
CODEN: APJUA8; ISSN: 0001-6667
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:39312
GI



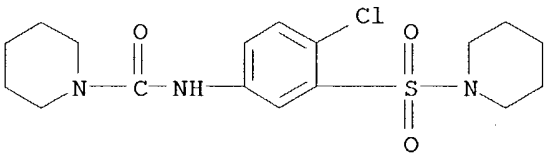
AB Sulfonyl chlorides I and II (R = Cl) were treated with amines and with 2-mercaptobenzothiazole (R1SH) to give I and II (R = amino, R1S). Azides III and m-(N3CO)2C6H4 were also treated with amines and R1SH to give amides, thioesters, or ureas and thiocarbamates via Curtius rearrangement. Some I and II (R = amino) had min. inhibitory concns. against Candida utilis of 5 μg/mL. Their bactericidal activity was poor and they were essentially devoid of insecticidal activity.

IT **109030-27-5P 109051-11-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

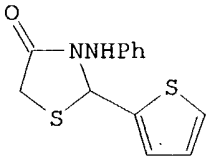
RN 109030-27-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[4-chloro-3-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



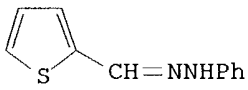
RN 109051-11-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[4-chloro-3-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)


Cl
O
N
C
NH
S
O
N
O

L39 ANSWER 69 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:591758 CAPLUS
DOCUMENT NUMBER: 101:191758
TITLE: Thiazolidinone formation on thin-layer chromatoplates
AUTHOR(S): Youssef, M. S. K.; Hassan, K. M.; Atta, F. M.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Journal of the Indian Chemical Society (1983), 60(9), 885-6
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:191758
GI



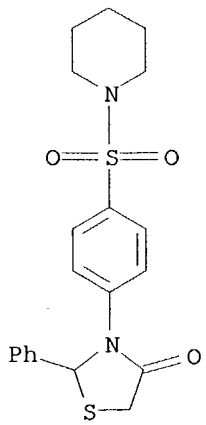
I



II

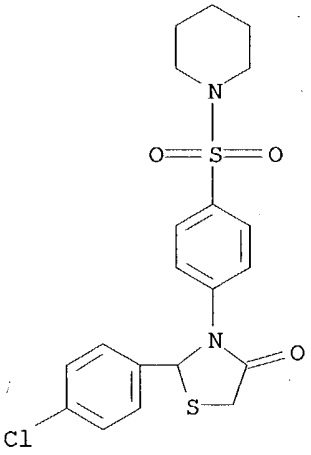
AB Thiazolidine derivs. were prepared from azomethine compds. containing one or two heterocyclic moieties. The reactions were performed on inert thin-layer chromatoplates under controlled conditions and the products of the reactions were compared with the expected substances on the same chromatogram. Thus, 2-thienyl-3-anilinothiazolidin-4-one (I) was formed by cyclocondensation of 2-thiophenecarboxaldehyde phenylhydrazone (II) with HSCH2CO2H on a silica gel coated plastic sheet.

IT **71333-40-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71333-40-9 CAPLUS
CN Piperidine, 1-[[4-(4-oxo-2-phenyl-3-thiazolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

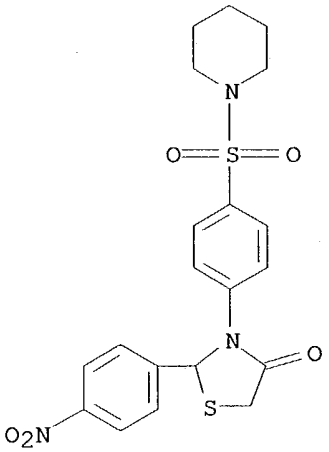


IT **71333-42-1P 71333-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by cyclocondensation of azomethine derivative with mercaptoacetic acid on thin-layer chromatoplate)

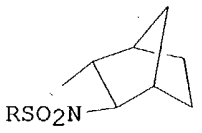
RN 71333-42-1 CAPLUS
CN Piperidine, 1-[[4-[2-(4-chlorophenyl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 71333-44-3 CAPLUS
CN Piperidine, 1-[[4-[2-(4-nitrophenyl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 70 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:551705 CAPLUS
DOCUMENT NUMBER: 101:151705
TITLE: Derivatives of cinnamide-4-sulfonyl chloride and p-(phthalimido)benzenesulfonyl chloride
AUTHOR(S): Cremlyn, R. J.; Thandi, K.; Wilson, R.
CORPORATE SOURCE: Sch. Nat. Sci., Hatfield Polytech., Hatfield, UK
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(1), 94-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:151705
GI

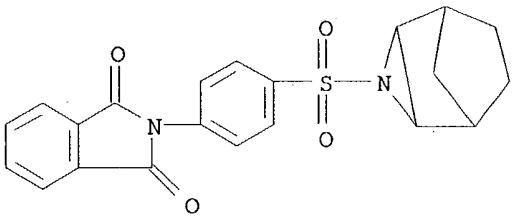


III

AB RH (R = H2NCOCH:CHC6H4-4, 4-phthalimidophenylene) reacted with ClSO3H to give RSO2Cl (I), which reacted with NaN3 to give RSO2N3 (II). PR13 (R1 = OEt, OPh, Ph) reacted with II to give RSO2N:PR13, whereas norbornene reacted with II to give aziridinenorbornanes III. I were treated with H2NNH2 to give RSO2NHNH2, which reacted with R2COR3 [R2 = R3 = Me; R2R3 = (CH2)5; R2 = H, R3 = Ph, C6H4NO2-4, C6H4OMe-4) to give hydrazones RSO2NHN:CR2R3. Amines HNR4R5 (R4 = R5 = Me, CH2CHMe2; R4 = H, R5 = CH2Ph; NR4R5 = morpholino, pyrrolidino, piperidino) and I gave sulfonamides RSO2NR4R5. RSO2N3 and RSO2NR4R5 (R4 = R5 = Me; NR4R5 = morpholino) were active against Escherichia coli and Staphylococcus aureus at 100 ppm. Several compds. were fungicides for Botrytis cinerea at 100 ppm.

IT **92082-91-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

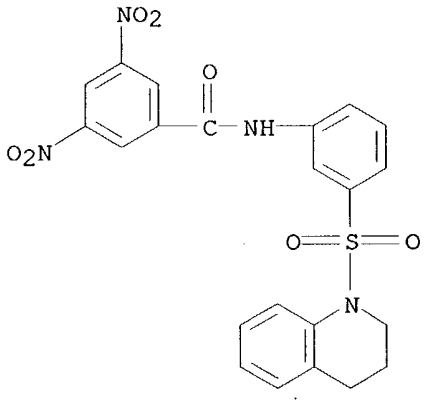
RN 92082-91-2 CAPLUS
CN 3-Azatricyclo[3.2.1.02,4]octane, 3-[[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



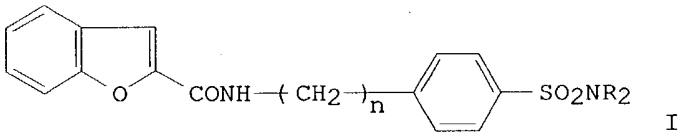
L39 ANSWER 71 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:510849 CAPLUS
DOCUMENT NUMBER: 101:110849
TITLE: Synthesis of potential plant protective agents and pesticides from substituted anilines
AUTHOR(S): Kempter, Gerhard; Beerbalk, H. D.
CORPORATE SOURCE: Sekt. Chem./Biol., Paedagog. Hochsch. "Karl Liebknecht", Potsdam-Sanssouci, DDR-1500, Ger. Dem. Rep.
SOURCE: Wissenschaftliche Zeitschrift der Paedagogischen Hochschule Karl Liebknecht Potsdam (1983), 27(1), 101-20
CODEN: WPKLAO; ISSN: 0138-290X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 101:110849

AB Anilines RZC6H4NH2 (R = heteroaryl, e.g., 6-chloro-3-pyridazinyl, Z = O, SO2) were prepared and converted into their corresponding ureas, carbamates, carboxamides, and benzenesulfonamides by treatment with isocyanates, chloroformates, and acyl halides, resp.

IT **91620-24-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 91620-24-5 CAPLUS
CN Benzamide, N-[3-[(3,4-dihydro-1(2H)-quinolinyl)sulfonyl]phenyl]-3,5-dinitro- (9CI) (CA INDEX NAME)



L39 ANSWER 72 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:209560 CAPLUS
DOCUMENT NUMBER: 100:209560
TITLE: Synthesis and chemotherapeutic activity of new p-sulfamoylbenzyl (phenethyl) amides of benzofuran-2-carboxylic acid in staphylococcal infection
AUTHOR(S): Kaldrikyan, M. A.; Geboyan, V. A.; Ter-Zakharyan, Yu. Z.; Paronikyan, R. V.
CORPORATE SOURCE: Inst. Tonkoi Org. Khim., Yerevan, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1984), 18(1), 58-61
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 100:209560
GI

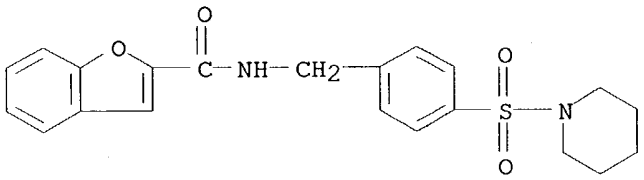


I

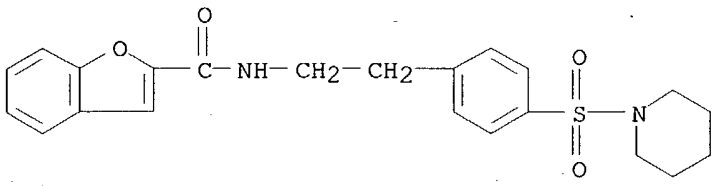
AB Reaction of 2-benzofurancarbonyl chloride with PhCH2NH2 or PhCH2CH2NH2, p-chlorosulfonation, and aminolysis of the sulfonyl chloride gave the sulfonamides I (R2N, n = NH2, 1,2; morpholino, 1,2; pyrrolidino, 1,2; Me2N, 2), which had bactericidal activity.

IT **90141-26-7P 90141-28-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 90141-26-7 CAPLUS
CN 2-Benzofurancarboxamide, N-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 90141-28-9 CAPLUS
CN 2-Benzofurancarboxamide, N-[2-[4-(1-piperidinylsulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

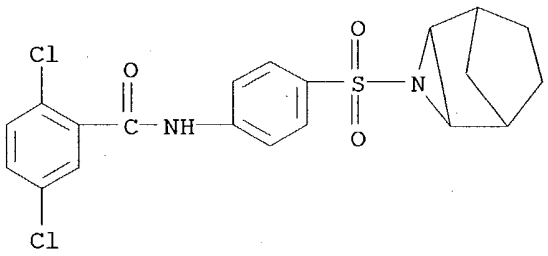


L39 ANSWER 73 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:209309 CAPLUS
DOCUMENT NUMBER: 100:209309
TITLE: Some novel sulfanilyl derivatives
AUTHOR(S): Cremlyn, R. J.; Swinbourne, F. J.; Batchelor, A.; Honeyman, R.; Nash, D.; Shode, O. O.; Patel, A.
CORPORATE SOURCE: Sch. Nat. Sci., Hatfield Polytech., Hatfield/Hertfordshire, UK
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(10), 1029-43
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:209309

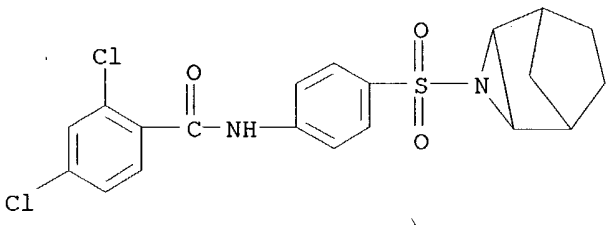
AB Benzoic acid anilide and p-chloro, m-nitro, together with the 2,4-, 2,5- and 3,4-dichloro derivs., reacted with chlorosulfonic acid (I) in 1:4 molar ratios to give the corresponding sulfanilyl chlorides. However, nicotinic acid and isonicotinic acid anilides reacted with I, in 1:6 molar ratios only for conversion into the sulfanilyl chlorides. 2,4-Dichlorophenoxyacetic acid anilide reacted with I in 1:3 molar ratios to give the sulfanilyl chloride; this reaction when carried out in 1:7 molar ratios of the reactants gave the disulfonyl chloride. The various sulfanilyl chlorides were treated with amines, azide ion, and hydrazine to give a range of sulfonyl compds. The compds. prepared have been subjected to preliminary biol. screening.

IT **89564-77-2P 89564-88-5P 89565-14-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

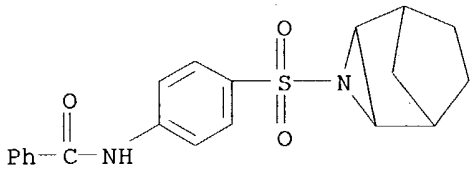
RN 89564-77-2 CAPLUS
CN Benzamide, N-[4-(3-azatricyclo[3.2.1.02,4]oct-3-ylsulfonyl)phenyl]-2,5-dichloro- (9CI) (CA INDEX NAME)



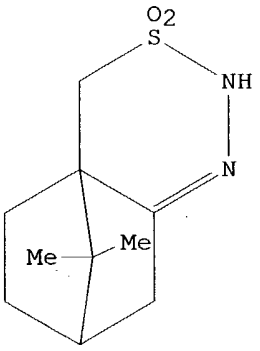
RN 89564-88-5 CAPLUS
CN Benzamide, N-[4-(3-azatricyclo[3.2.1.02,4]oct-3-ylsulfonyl)phenyl]-2,4-dichloro- (9CI) (CA INDEX NAME)



RN 89565-14-0 CAPLUS
CN Benzamide, N-[4-(3-azatricyclo[3.2.1.02,4]oct-3-ylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 74 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:180887 CAPLUS
DOCUMENT NUMBER: 96:180887
TITLE: Some sulfonyl derivatives of camphor, N-phenylsuccinimide, 2-aminophenol and substituted benzoic acids
AUTHOR(S): Cremlyn, Richard; Burrell, Keith; Fish, Kenneth; Hough, Ian; Mason, Donovan
CORPORATE SOURCE: Sch. Nat. Sci., Hatfield Polytech., Hatfield/Herts., UK
SOURCE: Phosphorus and Sulfur and the Related Elements (1982), 12(2), 197-204
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



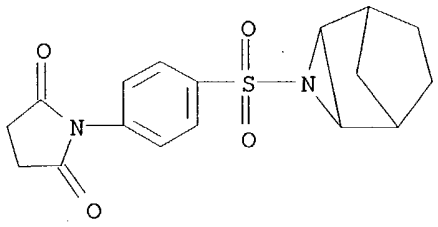
I

AB Camphor-10-sulfonyl chloride reacts with hydrazine to give the hydrazide; if the reaction is prolonged the benzothiadiazine dioxide (I) is obtained. p-Succinimidobenzenesulfonyl chloride with hydrazine (1 mol) gave the hydrazide, but with excess hydrazine the amide ring was opened to give the bis-hydrazide p-(CH2:NNHSO2)C6H4NHCO(CH2)2CONHN:CH2. Anisamide was converted to the chloride 2,5-(MeO)(H2NCO)C6H3SO2X (II; X = Cl) and the amide II (X = NMe2), and the hydrazone II (X = NHN:CMe2). Reaction of the hydrazide with anisaldehyde gave the 4,4'-dimethoxybenzalazine. 2-Acetoxyacetanilide with chlorosulfonic acid afforded a mixture of 4-acetamido-3-hydroxy- and 3-acetamido-4-hydroxybenzenesulfonyl chlorides. Chlorosulfonylation of 4-acetoxyacetanilide gave the sulfonyl chloride, but with 3-acetoxyacetanilide no pure product was isolated.

IT **81592-96-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81592-96-3 CAPLUS

CN 3-Azatricyclo[3.2.1.02,4]octane, 3-[[4-(2,5-dioxo-1-pyrrolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

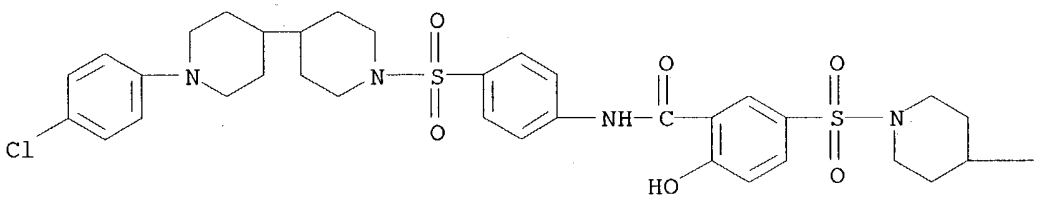


L39 ANSWER 75 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:406721 CAPLUS
DOCUMENT NUMBER: 95:6721
TITLE: Some sulfonyl derivatives of salicylic acid and related compounds
AUTHOR(S): Cremlyn, Richard; Swinbourne, Frederick; Atherall, John; Courtney, Lynn; Cronje, Theo; Davis, Paul; Langston, Stuart; Rogers, Michael
CORPORATE SOURCE: Sch. Nat. Sci., Hatfield Polytech., Hatfield/Herts., UK
SOURCE: Phosphorus and Sulfur and the Related Elements (1980), 9(2), 155-64
CODEN: PREEDF; ISSN: 0308-664X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:6721

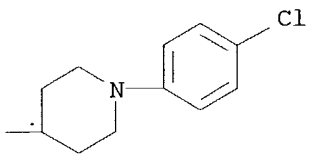
AB o-Methoxybenzamide, salicylic acid, salicylamide and N-acetylsalicylamide were converted to the corresponding 5-sulfonyl chlorides, and p-hydroxybenzoic acid to the 3-sulfonyl chloride. The sulfonyl chlorides were characterized by the preparation of various derivs., e.g., amides, hydrazides, hydrazones and azides. Chlorosulfonation of O-acetyl compds. showed either complete or partial deacetylation. O-Acetyl compds. were therefore obtained by subsequent acetylation. O-Acetylsalicylamide on heating was isomerized to the N-acetyl derivative In contrast, both m- and p-acetoxybenzamides were relatively stable. Salicylanilide and O-methylsalicylanilide with chlorosulfonic acid gave the 1,4'-disulfonyl chlorides. On the other hand, 4'-chloro- and 4'-chloro-O-methylsalicylanilides afforded the corresponding monosulfonyl chlorides. The IR, NMR, and mass spectra, together with the algicidal and antibacterial results, are briefly discussed.

IT **77718-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77718-79-7 CAPLUS
CN Benzamide, 5-[[1'-(4-chlorophenyl)[4,4'-bipiperidin]-1-yl]sulfonyl]-N-[4-[[1'-(4-chlorophenyl)[4,4'-bipiperidin]-1-yl]sulfonyl]phenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A



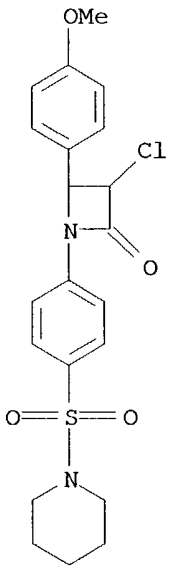
PAGE 1-B



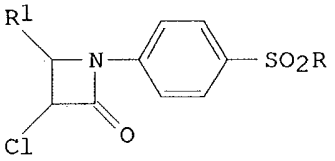
L89 ANSWER 76 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:446264 CAPLUS
DOCUMENT NUMBER: 93:46264
TITLE: β-Lactam formation on thin-layer chromatoplates
AUTHOR(S): Atta, F. M.; Youssef, M. S. K.; Hassan, K. M.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(5), 475-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Schiff bases containing 1 or 2 heterocyclic moieties were converted into the corresponding β-lactams by reaction with ClCH2COCl in the presence of Et3N on thin layer chromatoplates (silica gel) under controlled conditions. The products of the reactions were compared with the expected products on the same chromatogram. Thus, 1-(2-benzothiazolyl)-4-(2-thienyl)-3-chloroazetidin-2-one was prepared from 2-(thenylidenamino)benzothiazole.

IT **71333-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71333-23-8 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 77 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:523662 CAPLUS
DOCUMENT NUMBER: 91:123662
TITLE: Studies on β-lactams and thiazolidinones: Part V. Synthesis and reactions of some new p-arylidenesulfanilylpiperidines, -morpholines and -piperazines
AUTHOR(S): Hassan, K. M.; Atta, F. M.
CORPORATE SOURCE: Fac. Sci., Univ. Assiut, Assiut, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(12), 1073-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 91:123662
GI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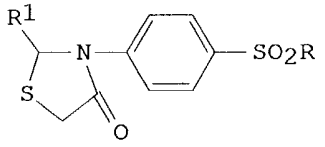
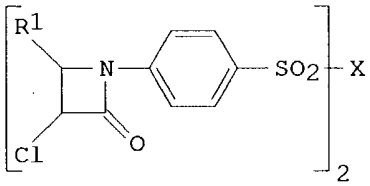
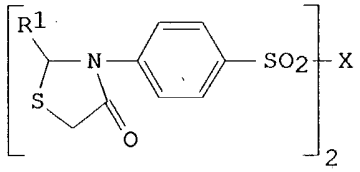

III

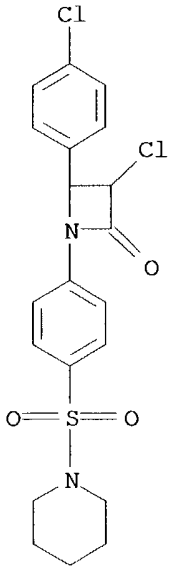

IV


V

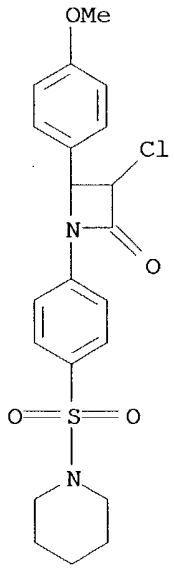
AB RSO2C6H4NH2-4 (R = piperidino, morpholino, piperazino) condensed with R1CHO (R1 = Ph, 2-HOC6H4, 4-ClC6H4, 4-O2NC6H4, 4-Me2NC6H4, 4-MeOC6H4, methylenedioxyphenyl) to give R1CH:NC6H4SO2R-4 (I), which underwent cyclocondensation with ClCH2COCl to give the lactams II. Cyclocondensation of I and HSCH2CO2H gave the thiazoles III. Analogous reactions of (4-H2NC6H4SO2)2X (X = 1,4-piperazinediyl) gave the bis(phenylsulfonyl)piperazines IV and V.

IT **71333-22-7P 71333-23-8P 71333-24-9P**
71333-25-0P 71333-26-1P 71333-40-9P
71333-41-0P 71333-42-1P 71333-43-2P
71333-44-3P 71333-45-4P 71333-46-5P
71334-16-2P 71334-17-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

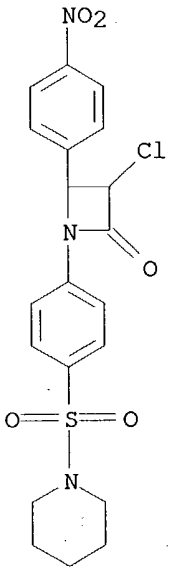
RN 71333-22-7 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-(4-chlorophenyl)-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



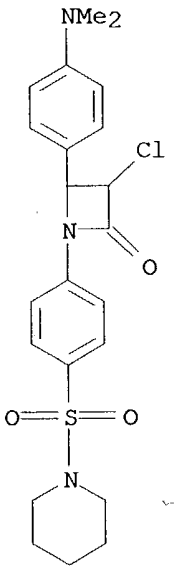
RN 71333-23-8 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



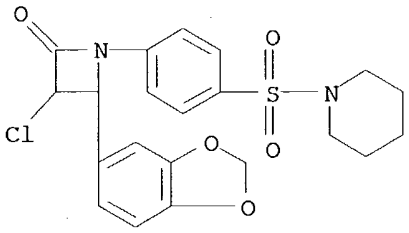
RN 71333-24-9 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-(4-nitrophenyl)-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



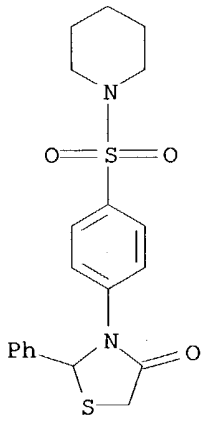
RN 71333-25-0 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-[4-(dimethylamino)phenyl]-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



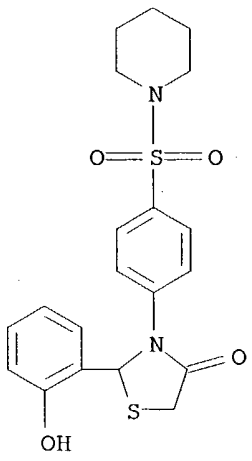
RN 71333-26-1 CAPLUS
CN Piperidine, 1-[[4-[2-(1,3-benzodioxol-5-yl)-3-chloro-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 71333-40-9 CAPLUS
CN Piperidine, 1-[[4-(4-oxo-2-phenyl-3-thiazolidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

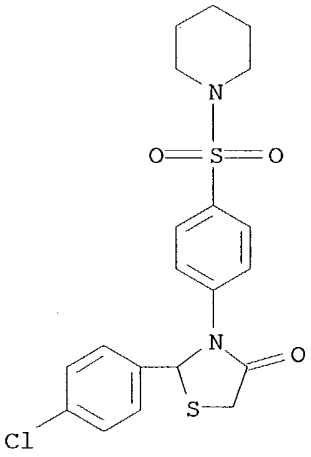


RN 71333-41-0 CAPLUS
CN Piperidine, 1-[[4-[2-(2-hydroxyphenyl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

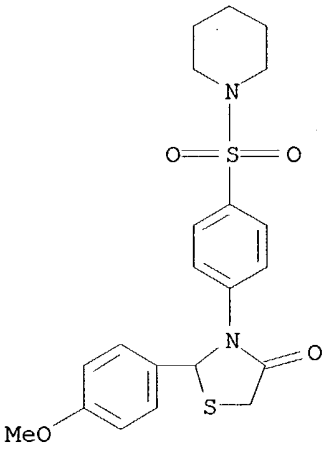


RN 71333-42-1 CAPLUS
CN Piperidine, 1-[[4-[2-(4-chlorophenyl)-4-oxo-3-

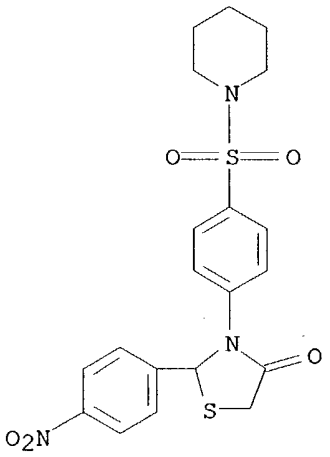
thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



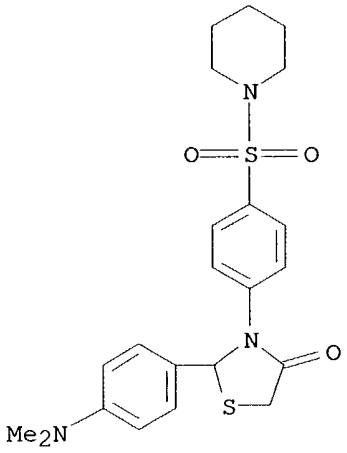
RN 71333-43-2 CAPLUS
CN Piperidine, 1-[[4-[2-(4-methoxyphenyl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



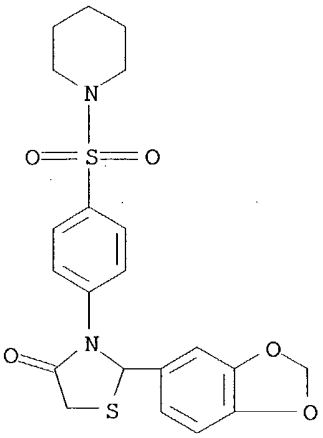
RN 71333-44-3 CAPLUS
CN Piperidine, 1-[[4-[2-(4-nitrophenyl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



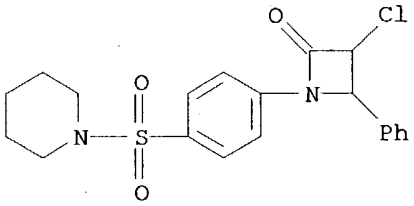
RN 71333-45-4 CAPLUS
CN Piperidine, 1-[[4-[2-[4-(dimethylamino)phenyl]-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



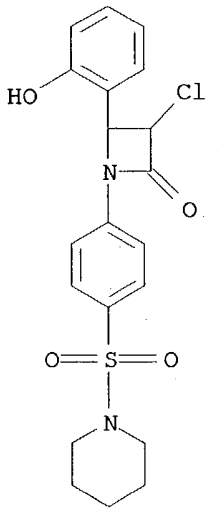
RN 71333-46-5 CAPLUS
CN Piperidine, 1-[[4-[2-(1,3-benzodioxol-5-yl)-4-oxo-3-thiazolidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



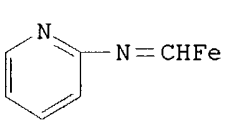
RN 71334-16-2 CAPLUS
CN Piperidine, 1-[[4-(3-chloro-2-oxo-4-phenyl-1-azetidinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



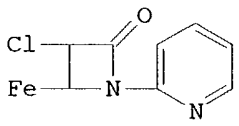
RN 71334-17-3 CAPLUS
CN Piperidine, 1-[[4-[3-chloro-2-(2-hydroxyphenyl)-4-oxo-1-azetidinyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



L39 ANSWER 78 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:121763 CAPLUS
DOCUMENT NUMBER: 90:121763
TITLE: Studies on ferrocene and its derivatives, VI. Cyclocondensation reactions of some ferrocenyl anils
AUTHOR(S): Hassan, K. M.
CORPORATE SOURCE: Fac. Sci., Assiut Univ., Assiut, Egypt
SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1978), 33B(12), 1508-14
CODEN: ZNBAD2; ISSN: 0340-5087
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



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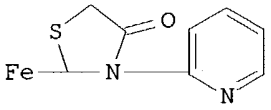
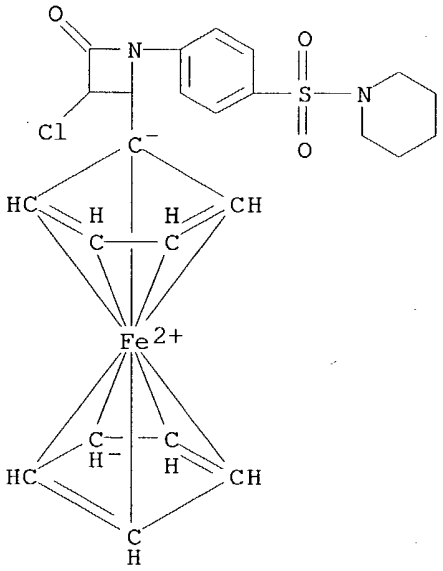


III

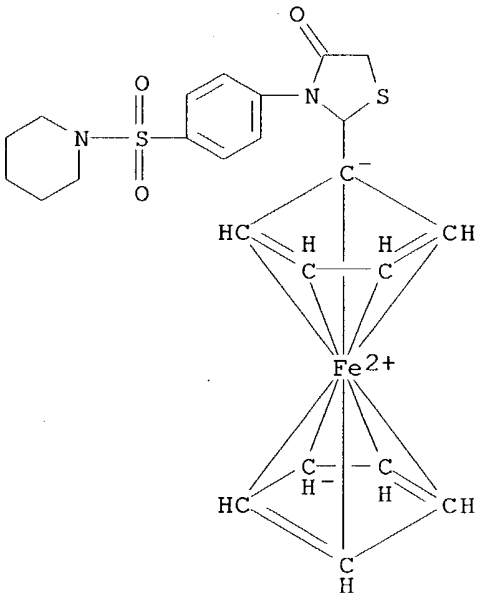
AB Condensation reaction of FcCHO (FC = ferrocenyl) with amines (e.g. 2-pyridinamine) gave the corresponding Schiff bases (e.g. I). Cyclocondensation reaction of the Schiff bases with ClCH2COCl or HSCH2CO2H gave ferrocenyl-β-lactams (e.g. II) or ferrocenylthiazolidinones (e.g. III).

IT **69228-94-0P 69229-03-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

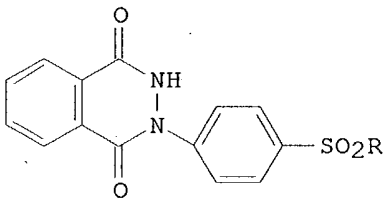
RN 69228-94-0 CAPLUS
CN Ferrocene, [3-chloro-4-oxo-1-[4-(1-piperidinylsulfonyl)phenyl]-2-azetidinyl]- (9CI) (CA INDEX NAME)



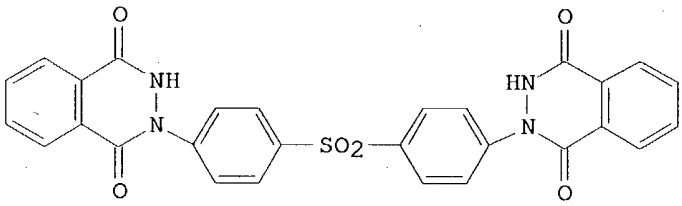
RN 69229-03-4 CAPLUS
CN Ferrocene, [4-oxo-3-[4-(1-piperidinylsulfonyl)phenyl]-2-thiazolidinyl]-
(9CI) (CA INDEX NAME)



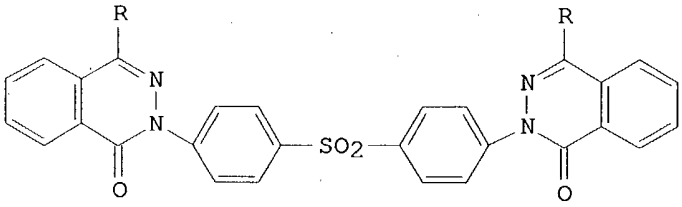
L39 ANSWER 79 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:453188 CAPLUS
DOCUMENT NUMBER: 87:53188
TITLE: Synthesis of sulfonyl derivatives of 2-phenylphthalazine-1,4-dione
AUTHOR(S): Baloniak, Sylwester; Kryk, Wieslawa; Szuscicka, Jadwiga
CORPORATE SOURCE: Inst. Chem. Anal., Sch. Med., Poznan, Pol.
SOURCE: Acta Poloniae Pharmaceutica (1976), 33(3), 329-34
CODEN: APPHAX; ISSN: 0001-6837
DOCUMENT TYPE: Journal
LANGUAGE: Polish
OTHER SOURCE(S): CASREACT 87:53188
GI



II



III



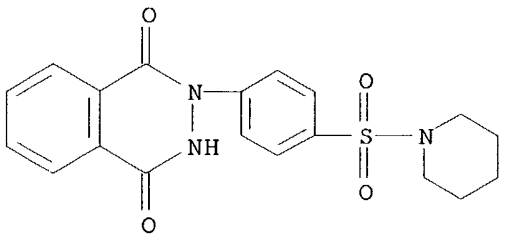
IV

AB Chlorosulfonation of the title compound (I) at 110-20° yielded the sulfonyl chloride II (R = Cl), from which a series of sulfonamides (II, R = NH2, NMe2, 4-morpholinyl, 1-pyrrolidinyl, 1-piperidinyl, NHMe, NHEt, NEt2, NHPh, and 2-, 3-, and 4-pyridylamino) were prepared Chlorosulfonation of I at 0-5° yielded III, which treated with POCl3, Ac2O, Me2SO4, and 80% NH2NH2.H2O gave IV (R = Cl, OAc, OMe, and NHNH2, resp.).

IT **63237-06-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 63237-06-9 CAPLUS

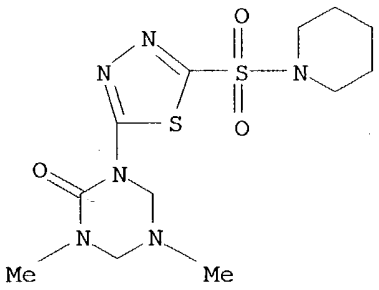
CN Piperidine, 1-[[4-(3,4-dihydro-1,4-dioxo-2(1H)-phthalazinyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



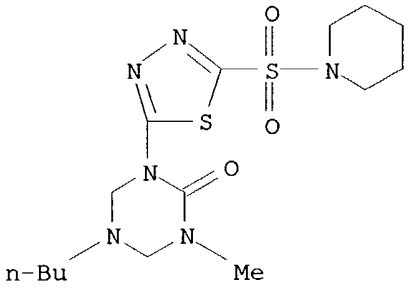
L39 ANSWER 80 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:44174 CAPLUS
DOCUMENT NUMBER: 84:44174
TITLE: Hexahydro(1,3,4-thiadiazol-2-yl)triazinone derivatives
INVENTOR(S): Rathgeb, Paul
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2514228	A1	19751016	DE 1975-2514228	19750401
CH 588208	A	19770531	CH 1974-4689	19740403
NL 7503751	A	19751007	NL 1975-3751	19750327
FR 2266702	A1	19751031	FR 1975-10098	19750401
US 4020065	A	19770426	US 1975-564017	19750401
CA 1065862	A1	19791106	CA 1975-223489	19750401
BE 827460	A1	19751002	BE 1975-155004	19750402
JP 50135231	A2	19751027	JP 1975-40228	19750402
ZA 7502078	A	19760225	ZA 1975-2078	19750402
GB 1498200	A	19780118	GB 1975-13510	19750402

PRIORITY APPLN. INFO.: CH 1974-4689 A 19740403
GI For diagram(s), see printed CA Issue.
AB Thirty triazinones I [R = R1 = Me, Et; R = Me, R1 = Bu; NR1R2 = 1-pyrrolidinyl, morpholino, piperidino; R2 = Me, allyl, R3SCH2CH2 (R3 = Me, Et, CHMe2), MeO(CH2)3, CH2C.tplbond.CH, CMe3,, CHMe2, Bu, Et, Pr, (CH2)5Me, CH2Ph, pyrrolidinyl, (CH2)6Me, CHMeEt], useful as herbicides, were prepared by cyclizing thiadiazolylureas II with 2 equivalent HCHO and 1 equivalent amine R2NH2. Thus, II (R = R1 = Me), 35% formalin, and EtOH was treated within 5 min with 40% aqueous MeNH2; after the reaction moderated, the mixture was refluxed 30 min and worked up to give I. I (R-R2 = Me) killed >50% weeds without permanent damage to cotton and soybeans at 1 kg/hr in preemergence tests and similarly in postemergence tests, except that corn was also not permanently damaged.
IT **57824-89-2P 57824-95-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57824-89-2 CAPLUS
CN Piperidine, 1-[[5-(tetrahydro-3,5-dimethyl-2-oxo-1,3,5-triazin-1(2H)-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 57824-95-0 CAPLUS
CN Piperidine, 1-[[5-(5-butyltetrahydro-3-methyl-2-oxo-1,3,5-triazin-1(2H)-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]- (9CI) (CA INDEX NAME)



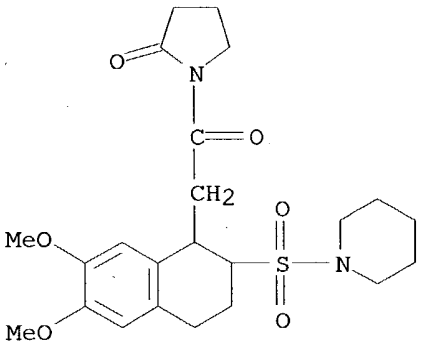
L29 ANSWER 81 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:136188 CAPLUS
DOCUMENT NUMBER: 78:136188
TITLE: New class of sultones and related compounds
AUTHOR(S): Paull, Kenneth D.; Cheng, C. C.
CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO, USA
SOURCE: Journal of Heterocyclic Chemistry (1973), 10(1), 137-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The pyrrolidinone (I) was treated with concentrated H2SO4 and excess Ac2O to give the oxathiin (II, R = MeO)(III). II (R = H) was similarly prepared; III was treated with KOH to give the ester (IV). III was treated with PhCH2NH2 to give the sulfonamide (V). III and piperidine gave the imide sulfonamide (VI).

IT **40633-51-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40633-51-0 CAPLUS
CN 2-Pyrrolidinone, 1-[[1,2,3,4-tetrahydro-6,7-dimethoxy-2-(1-piperidinylsulfonyl)-1-naphthalenyl]acetyl]-, didehydro deriv. (9CI) (CA INDEX NAME)

CM 1

CRN 48227-33-4
CMF C23 H32 N2 O6 S



L59 ANSWER 82 OF 82 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:436081 CAPLUS
DOCUMENT NUMBER: 59:36081
ORIGINAL REFERENCE NO.: 59:6556e-h
TITLE: Anthraquinone azo dyes
INVENTOR(S): Bergstrom, Herman A.
PATENT ASSIGNEE(S): General Aniline & Film Corp.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3079376		19630226	US	19570215

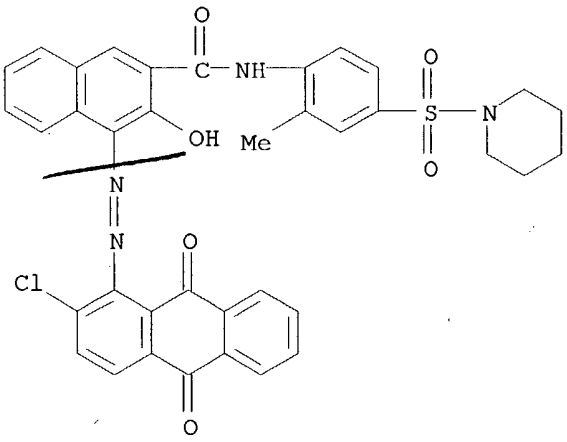
GI For diagram(s), see printed CA Issue.

AB Pigments of high light fastness are obtained by diazotizing leuco sulfuric esters of 2-amino-anthraquinones, coupling with 3-hydroxy-2-naphthanilides, and oxidizing the product to give I. Thus, 42.9 parts of the di-Na salt of 2-aminoanthraquinone 9,10-dihydrodisulfuric acid ester (II) is diazotized, coupled with 33.4 parts 4'-(butylcarbamoyl)-3-hydroxy-2-naphthanilide (III) and the product hydrolyzed and oxidized by heating in 1500 parts H2O with 13 parts 31.5% aqueous NaNO2 and 95 parts 20° Be. HCl for 0.5-1 hr. at 70-90° to give I(V = W = X = Z = H, Y = CONMe2), a red pigment. Similarly, other I are prepared (V, W, X, Y, Z, and color given): 3-Cl, H, H, CONHCHMe2, H, red; 3-Cl, H. H, H, CONHPh, red; 3-Cl, Me, H, SO2R (R = piperidino), H, orange; 1-Cl, Me, H, H, SO2R, red; 3-Cl, H, H, COR, H, red; H, H, H, H, CONHCHMe2, H, red; 6-Cl, H, H, CONHC6H11, H,; 3-Cl, Cl, H, SO2NMe2, H, -; 3-Cl, OMe, H, H, CONMe2; 3-Cl, H, NO2, CONH2, H,; 3-Cl, H, H, CONMe2, H, -. Similarly, the 1-amino isomer of II and the 4-CONHBu analog of III gave a red pigment. The 3-Cl derivative of II was also coupled with 8-hydroxy-4'-(isopropylcarbamoyl)-1-naphthanilide.

IT **106170-93-8**, 2-Naphtho-o-toluidide, 4-[(2-chloro-1-anthraquinonyl)azo]-3-hydroxy-4'-(piperidinosulfonyl)-
(preparation of)

RN 106170-93-8 CAPLUS

CN 2-Naphtho-o-toluidide, 4-[(2-chloro-1-anthraquinonyl)azo]-3-hydroxy-4'-(piperidinosulfonyl)- (7CI) (CA INDEX NAME)



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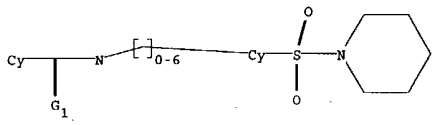
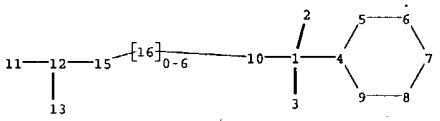


L9



chain nodes :
1 2 3 10 11 13 16
ring nodes :
4 5 6 7 8 9
ring/chain nodes :
12 15
chain bonds :
1-2 1-3 1-4 1-10 10-16 11-12 12-13 15-16
ring/chain bonds :
12-15
ring bonds :
4-5 4-9 5-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-3 1-4 1-10 4-5 4-9 5-6 6-7 7-8 8-9 10-16 11-12 12-13 12-15 15-16

G1:O,S

Match level :
1:CLASS 2:CLASS 3:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS 15:CLASS 16:CLASS

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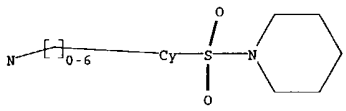

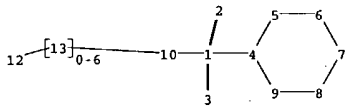

L11

hain nodes :
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ing nodes :
4 5 6 7 8 9 12
hain bonds :
1-2 1-3 1-4 1-10 10-13 12-13
ing bonds :
4-5 4-9 5-6 6-7 7-8 8-9
xact/norm bonds :
1-2 1-3 1-4 1-10 4-5 4-9 5-6 6-7 7-8 8-9 10-13 12-13

1:O,S

atch level :
1:CLASS 2:CLASS 3:CLASS 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS